Intellectual Property Appellate Board

Guna Complex Annexe-I, 2nd Floor, 443 Anna Salai, Teynampet, Chennai-600 018

Tele No: 24328902/03 Fax: 24328905 mail I'd: ipab.tn@nic.in Website: http://www.ipab.gov.in

OA/2/2016/PT/MUM

FRIDAY, THIS THE 21st DAY OF AUGUST, 2020

HON'BLE SHRI JUSTICE MANMOHAN SINGH **CHAIRMAN**

HON'BLE DR. ONKAR NATH SINGH **TECHNICAL MEMBER (PVPAT)**

1. **PFIZER PRODUCTS INC.,**
EASTERN POINT ROAD, GROTON,
CONNECTICUT 06340, USA

…APPLICANT/APPELLANT

(Represented by: Mr. Pravin Anand, Ms. Archana Shanker & Ms. Gitika Suri)

Versus

THE CONTROLLER OF PATENT & DESIGNS
TRADEMARK REGISTRY
BOUDHIK SAMPADA BHAVAN,
ANTOP HILL, S.M. ROAD, MUMBAI – 400 037

…RESPONDENT

(Represented by – None)

ORDER

HON'BLE SHRI JUSTICE MANMOHAN SINGH, CHAIRMAN

1. The present appeal has been filed under Section 117A of the Indian Patents Act against the order dated 3rd September 2015 passed by Respondent no. 1 being the Controller of Patents under Section 15 of the Indian Patents Act, whereby the Appellant's Indian patent application no. 00991/MUMNP/2003 (hereinafter referred to as IN' 991) was rejected.

2. The application is pending since 2016. The urgent application for early hearing has been filed . For the reasons stated, the prayer is allowed. After hearing the counsel

for the appellant, we orally allowed the appeal and order was reserved for giving the reasons on merit.

3. When the appeal was taken up for hearing, it was noticed that no counter –affidavit is filed on behalf of respondents, who also failed to file written submissions. No one appeared on their behalf.

4. A PCT application no. PCT/IB2002/01905 (WO 2002/096909) was filed by the Appellant having an international filing date of 29th May 2002 in respect of invention titled "*Optical Resolution Of (1-Benzyl-4-Methylpiperidin-3-YL)-Methylamine And The Use Thereof For The Preparation Of Pyrrolo 2, 3-Pyrimidine Derivatives As Protein Kinases Inhibitors*" and claims priority from two US patent application nos. 60/294,775 dated 31st May 2001 and 60/341,048 dated 6th December 2001.

5. The Appellant filed a national phase application in India, No. IN 991/MUMNP/2003 on 27th October 2003 as *"a mail box application"* under Section 5(2) of the Indian Patents Act.

6. It is submitted on behalf of appellant that in order to comply with the obligation under Section 8(1), the Appellant filed statement and undertaking on Form-3 on the following occasions:

    a. 27th October 2003
    b. 4th November 2003
    c. 23rd January 2009
    d. 14th February 2011, and
    e. 13th April 2011
    f. 20th January 2015

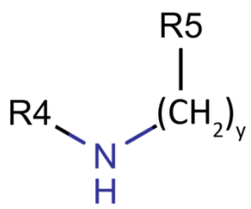
    and under Section 8(2), the Appellant submitted the following information:

    a. On 27th October 2003 along with the application, the Appellant filed the ISR and IPER issued by the European patent office.
    b. On 14th February and 13th April 2011, the Appellant filed copies of US patent nos. 7301023, 7432370, Australian patent no. AU 2002304401, CA 2448281 and a copy of the notice of allowance issued on the corresponding EP patent EP1609781.
    c. On 20th January 2015 the Appellant filed copies of file histories of Canadian patent 2448281, European patent application number 05015454 including documents relating to Opposition proceeding, US patent Number 7301023. It is submitted that the EP opposition division upheld the corresponding EP patent dismissing the opposition.

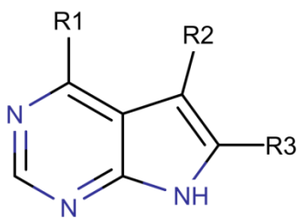
7. OUTLINE OF INVENTION OF IN' 991 as alleged by the appellant

IN ' 991 was filed under Section 5(2) of the Indian Patents Act and originally consisted of 26 claims.

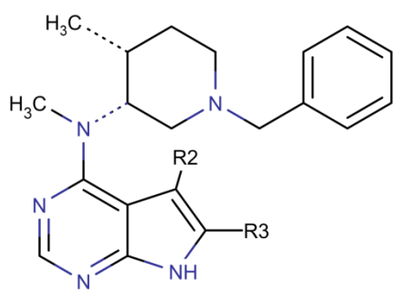
7.1. Of the 26 claims, claims 1 to 13 were directed to a method for resolving enantiomers of a compound of formula



7.2 Claim 14 was directed to a method of preparing compound of formula

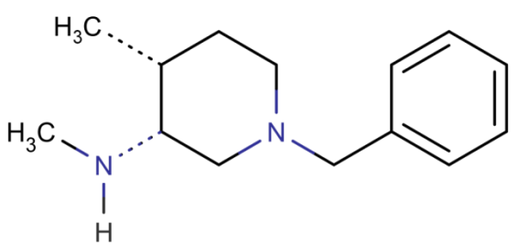


7.3 Claims 15 and 16 was directed to a compound of formula



7.4 Claim 17 was directed to specific compounds. Claims 18 and 19 were directed to a pharmaceutical compositions defined in claim 16.Claims 20 to 23 were directed to method claims.

7.5 Claims 24 to 26 were independent compound claims of a formula



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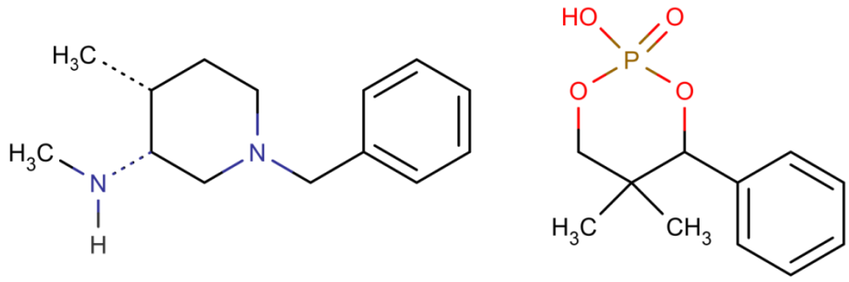
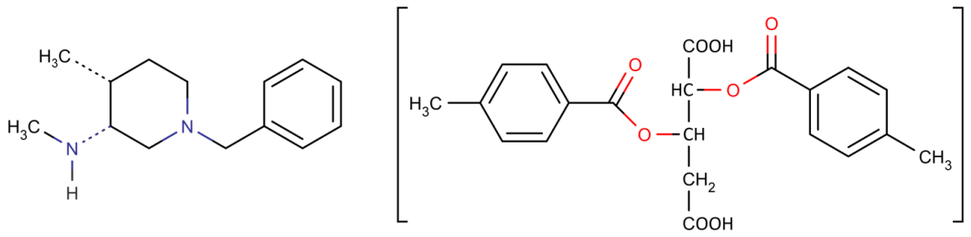


; and



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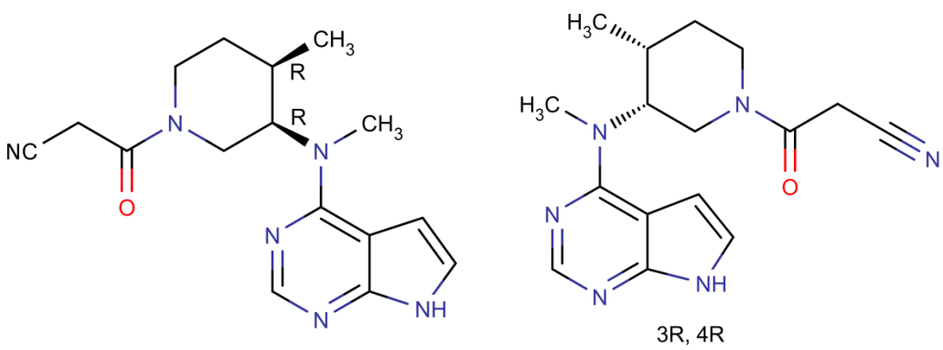
7.6 The claimed compound of IN'991 is 3-**{(3R, 4R)**-4-Methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl}-3-oxo-propionitrile and pharmaceutically acceptable salts thereof.

7.7 The specific compound 3-{(3R, 4R)-4-Methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-I-yl}-3-oxo-propionitrile and its pharmaceutically acceptable salt thereof were claimed as compound no. 6 in original claim 17 (*hereinafter referred to as original compound claim 17.6*). The said compound is also disclosed as Example 6 on page 34 of the patent specification.

7.8 The stereochemical structure of this compound may be represented by either of the two chemical formulae given herein below:



3R, 4R

7.9 Document WO 0142246(D1) relates to novel Pyrrolo[2,3-d] Pyrimdine compounds, and has been granted a patent in India under serial number **IN241773**.The Appellant has disclosed the corresponding Indian application number in the patent specification of IN '991. The compound 3-(4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl)-3-oxo- propionitrile is disclosed in example 14 of D1 and claimed by D1. The said compound is an inhibitor of protein kinase such as enzyme, Janus Kinase 3 (JAK3)

IN '991 discloses and claims 3-**{(3R, 4R)**-4-Methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl}-3-oxo-propionitrile (and pharmaceutically

salts thereof), which is the enantiomericallypureform of the compound, 3-(4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl)-3-oxo-propionitrile. The said enantiomeric pure form has a Pfizer registration number **CP-690,550.**3-(4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl)-3-oxo- propionitrile is disclosed in example 14 of D1 has a Pfizer registration number CP-681560.

The enantiomerically form (**3R,4R**)of the compound of document D1, 3-(4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl)-3-oxo-propionitrile and claimed in IN '991 has been assigned an INN name Tofacitinib by the WHO

7.10 The enantiomeric form (3R, 4R) isomer of thecompound 3-(4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl)-3-oxo-propionitrile) has been approved by several regulatory authorities under the trade name XELJANZ™ for treatment of rheumatoid arthritis.

7.11. The appellant filed Journal of Medicinal Chemistry 2008, 5I, 8012-8018 (Appendix 3) along with the submissions to the review petition and along the submissions dated 3rd February 2015. The said "*independently authored scientific paper"* compares the selective inhibition of the 4 different enantiopure stereoisomers of 3-{4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-piperidin-1-yl]-3-oxo-propionitrile against a panel of over 350 kinases. In the said paper, the claimed compound of IN '991, the 3R,4R-isomer (CP-690,550) is designated as compound **1.** Other stereoisomers of 3-{4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-piperidin-1-yl]-3-oxo-propionitrile are designated compounds **2, 3** and **4.**

7.12. The conclusion section on page 8017, of the said paper, it is stated:

> "A ***primary doctrine*** *of drug design is to* ***limit the number of chiral centers****placed into small molecules intended for clinical use for* a *myriad of reasons.* ***1*** [i.e. tofacitinib] ***goes against convention*** *and incorporates not one, but two chiral centers."*

Other statements in that paper also support superiority of 3R,4R compared to the conformational isomers thereof (*for example the activity and selectivity data reported in the legend for Figure 3 in that paper at page 8014)*. The figures given for JAK3 activity are illustrative, and are summarized in the table below.

Compound	**Jak3 activity (nM)**
Compound 1 (3R,4R**)**	0.7

Compound **2** (3R,4S)	190
Compound 3 (3S,4S)	180
Compound **4** (3S,4R)	150

It is stated that in view of above, according to said paper, the 3R,4R-stereochemistry confers at least a 200-fold better efficacious JAK3 inhibitionthan the other conformational isomers, rendering them essentially inactive in comparison. This unexpectedly large effect could not have been predicted from D1.

8. It is submitted that during the prosecution of present invention, before the hearing in 2015 the Appellant submitted comparative data to support the surprising efficacy of the compound claimed in the present application, tofacitinibmonocitrate, compared to the compound disclosed in the alleged prior art document D1, example 14 (or its Indian counterpart IN241773).

The said comparative data was generated from the JAK3 enzyme assay and IL-2 blast assay test methods disclosed in the patent application IN'991 on pages 28 to 29. The Declaration of Dr. James Clark demonstrates an unexpected **4-6-fold increase in JAK3/IL-2 activity** for tofacitinibmonocitrate compared to compound of Example 14 of D1.

The said test showed that tofacitinibmonocitrate (IC50=0.6 +/- 0.3 nM) when compared to CP-681560 (IC50=3.4 +/- 1.1 nM) is significantly more potent when assayed against the JAK3 kinase domain. The probability (p) that the IC50 values are the same is calculated to be $p = 5.5x10^{-6}$.

Consistent with this assay, tofacitinibmonocitrate (IC50 = 9.1 +/- 4.6 nM) when compared to CP-681560 (IC50 = 40.3 +/- 14.0 nM) is significantly more potent when assayed in the IL-2 Blast proliferation assay. The probability (p) that the IC50 values are the same is calculated to be $p = 1.4 \times 10^{-9}$

Dr. Clark's declaration discusses the multiple tests carried out and the statistical analysis thereof, and confirms that the data is statistically significant. The conclusion in Dr. Clark's declaration states:

> *'This **4-6 fold** increase in potency is biologically and statistically meaningful in terms of the ability of tofacitinibmonocitrate to both lower the dose necessary for activity, and also limit the exposure of the patient to the other diastereomers present in CP-681560 which are essentially inactive."*

9. The Appellant also filed a declaration of the inventor, Dr. Mark E Flanagan. With reference to the Declaration of Dr. Flanagan, repetition of D1 Example 14 provided racemic 3-{4-Methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl}-3-oxo-propionitrile (which is Pfizer registration number CP-681560) in at least 90% purity, which was at least 88% *cis*(3R, 4S).

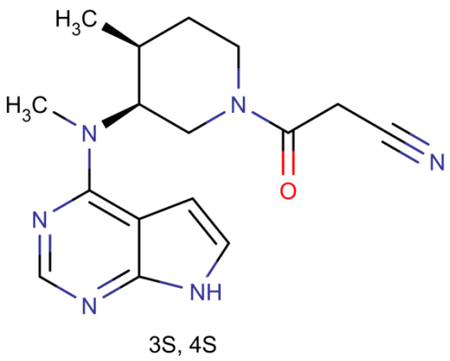
10. It is stated that the declarations of Dr. Flanagan and Dr. Clark were discussed in detail during the hearing with the respondent No.1on 22nd January 2015.The Appellants directed the attention of the Respondent No.1 to data presented in the declarations that clearly established that the compound claimed in the impugned patent application is the enantiomerically pure form of the compound disclosed in example 14 of D1 and that the compound claimed is also therapeutically more efficacious than the compound of example 14 of D1.

11. It is specifically alleged that the Appellant also at the hearing before the Respondent No. 1 submitted an extract from the chemical abstracts which clearly demonstrates that the CAS number for the racemic form of the compound that is disclosed in example 14 of D1 is **3441892-4** and for the enantiomeric pure form (3R, 4R) claimed in the present application is **477600-75-2.** It is submitted that CAS registry number is unique numerical identifier assigned by the Chemical Abstract Service to every chemical substance and its enantiomers described in the open scientific literature and the Appellant during the prosecution of the application also filed the STN transcript from chemical abstract of all the compounds of document D1. It is submitted that the CAS registry number 344418-92-4P has been identified therein and refers to a racemic mixture of all the enantiomers of the compound 3-(4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl)-3-oxo-propionitrile.

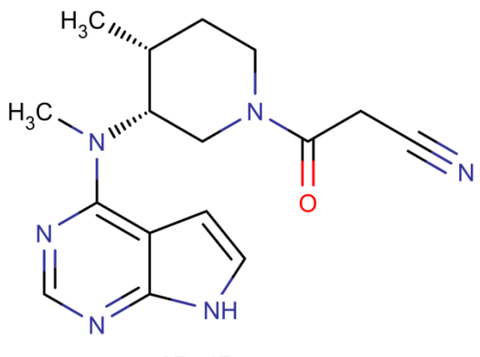
12. It is a matter of fact that 5the WHO assigned the compound with CAS registry number 477600-75-2 (enantiomeric pure 3R, 4R of the claimed compound in IN'991) with an INN name, tofacitinib. The WHO listing of INN name is filed as **ANNEXURE P-8.**

13. It is submitted that in view of the unique CAS registry number being assigned to the racemic mixture of compound of example 14 and D1 and the claimed compound according to IN 991, it is very clear that both the mixture of enantiomers disclosed in Example 14 of D1 and enantiomeric pure 3R, 4R of the claimed compound in IN'991 have different registry numbers and in order to further demonstrate inventive step, the Appellant notes that tofacitinib has been approved by several regulatory authorities and is commercially marketed under the trade name Xeljanz. A copy of the product insert is enclosed and marked as **ANNEXURE P-9**and

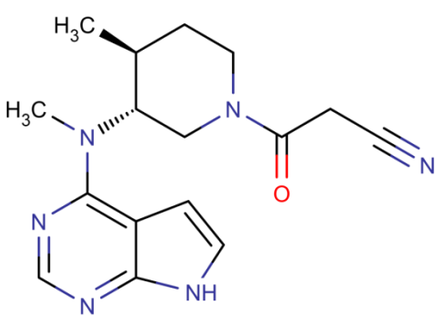
Tofacitinib has the Pfizer registration number CP-690,550 and is the enantiopure (3R,4R) isomer of the compound 3-(4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl)-3-oxo-propionitrile. All the enantiomers of the compound 3-(4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl)-3-oxo-propionitrile are depicted below:



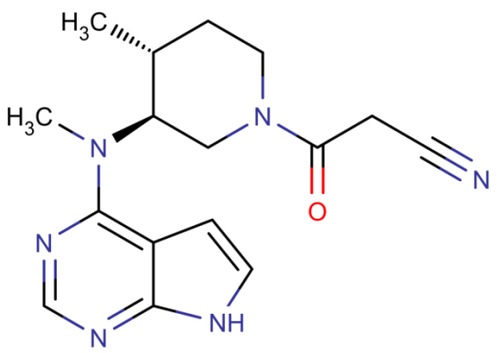
3S, 4S



3R, 4R



3R, 4S



3S, 4R

The Corresponding European patent application of present application was under Opposition. The European opposition division issued an order, which rendered the claimed compound of IN'991 as being novel and inventive. The said order of **27th October 2014,** is enclosed herewith and marked as **ANNEXUREP-10.**

14. In the first examination report (FER), the Respondent no. 1 raised the following objections:

1. *"Claims do not sufficiently define the invention, please see comments marked thereof.*
2. *Distinguishing features as compared with prior art is not clear and the same should be pinpointed clearly.*
3. *Claims are not clear in respect of the portion where indicated please see. Comments where indicated, and claims are not clearly worded.*
4. *What is claimed in claims 19 to 23 are not allowable under section 3(i).*
5. *What is claimed in claims 18,17,24, 25, 26, are distinct*
6. *Reference to foreign specification given should be replaced by Indian specification or replaced or supplemented by equivalent description.*

7. *Detailed regarding the search and /or examination report including claims of the applications allowed, as referred to in Rule 12 (3) of the Patent Rule 2003, in respect of the same or substantially the same inventions filed in any one of the major patent offices, such as USPTO, EPO, and JPO etc, along with appropriate translation where applicable, should be submitted within a period of 6 months from the date of receipt of this communication as provided under Section 8(2) of the Indian Patents (Amendment) Act 2005."*

The Appellant in their response dated 23rdJanuary 2009 cancelled claims 1 to 14, 18 to 23 and 27 and retained claims 15, 16, 17, 24, 25 and 26.The Appellant also added new claims 7, 8, 9, 10, 11 and 12.

15. After filing of a response, after the lapse of two years, the Respondent no. 1 issued a hearing notice on 14th March 2011 with the following objections:

"1. Invention claimed in the amended claims vide your letter dated 23/01/2009 are not persuasive. Claim 1 and its dependent claims 2 and 3 form one group of invention and claims (4-6) forms another group of invention because the said precursors do not share the essential structural element with the final products or the intermediates (the chemical structure of the precursors and the final products are technically not closely interrelated). So these two groups of invention can't be accommodated in a single application.

2. It is not clear as to how these claims (7-8) and (9-12) have been made during the amended stage. Such claims are not allowable u/s 59 of Patents Act.

3. Invention claimed in any of the claims are not novel in view of the prior art documents. See the International Search Report as well as a further citation WO0142246.

4. The applicant is advised to furnish the information relating to the objections, if any, in respect of the novelty and patentability of the invention including the claims of the application allowed of the corresponding application prosecuted before European Patent Office and USPTO within prescribed period under Rule 12(3) of Patents Rule2003, as amended.

5. In view of the above outstanding objections, a hearing is fixed on dt.29th March 2011 at 12.30 PM before me. The case will be decided on basis of merit if you fail to appear for hearing. Further, adjournment of hearing is not allowed since the last date for putting the application in order for grant has already expired."

In response to the said objections, the Appellant attended the hearing scheduled for 29th March 2011 and deleted all the claims except claim 7. Only two claims remained pending before Respondent No. 1, compound claim 7 (*original claim 17.6)* and a pharmaceutical composition of the compound claimed in claim 7.

16. The Appellant also filed their written submissions on 13th April 2011.

17. The Respondent No. 1 in their order dated 9th June 2011 rejected the application for patent IN'991 on the following grounds:

    a. *That the Respondent no. 1 is permitted to raise new objections based on the amended specification in the hearing notice even if such an objection is not raised in the first examination report under Section 13(3) of the Indian Patents Act.*
    b. *That the Appellant did not disclose WO 01/42246 document that was raised in the European patent office action and suppressed the said information from the Controller.*
    c. *The compound in WO 01/42246 (published on 14th June 2001) discloses the compound 3-(4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl)-3-oxo-prpionitrile which compound is the **same** as the claimed compound and hence the subject invention is not novel.*
    d. *The claimed compound of IN'991 does not have enhanced efficacy over the prior art compound WO 01/42246 and hence not patentable under Section 3(d).*
    e. *Amended claim 2 is not patentable as the claimed compound is not novel.*

18. The Appellant filed a review petition under Section 77(1)(f) of the Indian Patents Act on the following grounds:

    a. That the ground of novelty was not raised in the first examination report based on WO 01/42246 (D1) and was the "first time" raised in the hearing notice, which jeopardize the rights of the Appellant;
    b. That the Respondent No. 1 erroneously refused the application under Section 15 despite directing the Appellant to amend the claims of the Indian application in accordance with the claims of corresponding EP application;
    c. That there was no concealment of the alleged prior art citation of WO 01/42246;
    d. That the amended claims filed by the Appellant were not revised compound claim as it was covered by the original claim 17.6; and
    e. That no objection was raised either in the first examination report or in the second examination report (hearing notice) in relation to Section 3(d).

19. The Respondent no. 1 in their order of 27th March 2014 dismissed the review petition as not being maintainable on the following grounds:

    a. That there was no mistake or error apparent on the face of the record in order for the Respondent No. 1 to set aside its original order of 9th June 2011;
    b. That the Appellant did not establish enhancement of known efficacy of the claimed compound over compound disclosed in example 14 of document D1;
    c. That the grant of patents in US, EP, Australia and Canada has no bearing on the application in India;

d. That the Appellants contention of not providing opportunity to argue under Section 3(d) of the Indian Patents Act is misleading and frivolous; and

e. That it is denied that the Controller directed the Appellant to amend the claims in accordance with the claims of the corresponding Europe application.

20. Aggrieved by the order of the Respondent no. 1 dated 9th June 2011 and 27th March 2014,the Appellants filed an Appeal (which was numbered **OA/41/2014/PT/MUM**) on several grounds including the following:-

a) For that the Respondent No. 1 erred in rejecting the application under Section 3(d) in his order of 9th June 2011 when such an objection was never raised in the FER or the hearing notice;

b) For that the Respondent No. 1 erred in holding **WO 01/42246 (D1) published on 14th June 2001** as being a prior art for the purpose of Section 2(1)(j) and Section 3(d);

c) For that the Respondent No. 1 erred in holding that the claimed compound of IN'991 is not novel in view of document D1;

d) For that the Respondent no. 1 erred in stating that Section 13(3) of the Indian Patents Act permits the Controller to issue objections of substantive nature at a belated stage particularly after three years from the date of issuance of the first examination report;

e) For that the Respondent No. 1 erred in stating that the amended claims filed in response to the first examination report were not contained in the original claims that necessitated the issuance of an objection on novelty and inventive step in view of the Document D1;

f) For that the Respondent no. 1 erred in holding the claimed invention as lacking in novelty given the fact that the Respondent No. 1 themselves recognize the claimed compound as being "enantiomer" of a known compound of document D1 thereby admitting that the said compound is not disclosed in D1;

g) For that the Respondent No. 1 erred in applying Section 3(d) despite recognizing the fact that the alleged prior art document D1 does not have any disclosure of any IC50 value (known efficacy);

h) For that the Respondent No. 1 erred in disregarding the documents filed by the Appellant to demonstrate improved therapeutic efficacy of the enantiomerically pure compound over the enantiomers disclosing document D1;

i) For that the Respondent No. 1 failed to recognize that the compounds disclosed in document D1 and the claimed invention are different as they are identified by different CAS registry numbers; and

j) For that the Respondent No. 1 erred in stating that the Appellant did not disclose document D1 that was cited by the European patent office.

21. After hearing conducted on 31st October 2014.On hearing the Appellant's, the IPAB held that the order of the Respondent No.1 was against the Principles of natural Justice as the Respondent No.1rejected the application on a ground that was never communicated to the Appellants and the appellants therefore were not given an opportunity to deal with the objection of section 3(d).

The IPAB remanded the case back to the Respondent no.1 to reconsider the matter afresh within three months after communicating to the Appellants all the objections.

22. Thereafter the respondent No. 1 issued a hearing Notice appointing a hearing on 21st January 2015 to reconsider the matter afresh. The objections raised by the Respondent No.1 in the haring notice were as follows:-

a) Subject-matter claimed in claims 1-2 is not novel in view of the prior documents. See the International Search report as well as further citation WO0142246.

b) Subject matter of claim 1falls within the scope of sub clause (d) of section 3 of the Patents Act 1970 as amended.

c) Claim 2 does not sufficiently define the composition with respect to its ingredients with their percentage. Further, it appears to be an intended use of a claimed compound. Therefore, claim 2 does not constitute an invention u/s.2 (1) (j) of the Patents Act 1970 as Amended.

d) The applicant is advised to furnish the information relating to the objections, if any, in respect of novelty and patentability of the invention including the claims of the application allowed of the corresponding application prosecuted before European Patent Office and USPTO within the prescribed period under rule 12(3) of the Patents Rules 2003, as amended.

23. The hearing notice was issued by respondent No.1, listing the objections raised and appointing a hearing on 21st January 2015. Hearing was adjourned by a day and attended by the Counsel of the Appellants on 22nd January 2015. Evidence in support of the Application was filed by the Appellants. Evidence in the form of affidavits of Dr. Flanagan and Dr. Clarke was filed on January 20, 2015. The original affidavits

followed on 29th January 2015. Written submissions to the hearing were filed on 3rd February 2015.

24. The hearing was conducted on 22nd January 2015 and after almost 7 months the Respondent No.1 issued the impugned order dated 3rd September 2015 rejecting the present application on the grounds that the application is anticipated by prior claiming and is not patentable under section 3(d) .

25. The main findings *inter alia* of the Respondent No.1 in his order dated 3rd September 2015 are as follows:-

Findings on Anticipation by Prior Claiming: -

a) Example 14 of WO 01/42246 (D1) discloses the compound of present claim without reference to the stereo chemical configuration. However D1 teaches that the compounds have asymmetric centres and exist in different enantiomeric and diastereomeric forms (page 5 and bridging page 6).

b) D1 reference to enantiomeric and diastereomeric forms of the compounds represents an unambiguous technical teaching making available to the public all four stereo chemical configurations of the compounds according to example 14. Therefore the present form (3R, 4R) of the compound claimed by the applicant is also disclosed in the prior art.

c) The subject-matter of D1 was filed as national phase application on 10th June 2002 bearing an application no. IN/PCT/2002/00588/DEL which proceeded to grant on 24/07/2010 bearing a patent no. IN241773. Compound (6) of claim 20 of D1 is known to the applicant Pfizer Product Inc. is the same for the both the application.

d) The Applicant's claim in the instant invention is prior claimed in India **except the fact that the compound claimed is merely the enantiomer of** the compound of application No. IN/PCT/2002/00588/DEL wherein significant efficacy has not been established by the applicant in the specification as well as through their arguments.

e) As per section 46(2) of the Patents Act 1970 as amended "a patent shall be granted for one invention only" which consequently establish the fact that two patents cannot be granted for one invention since the compound has been granted earlier.

f) Relying on the comparative data with other enantiomeric forms which is published in Journal of Medicinal Chemistry dated 19th November 2008 is misleading and not a comparison with compound of D1.

g) The applicant has never informed to the controller that the D1 having priority US 60/170,179 dated 10.12.1999 entered in to the national phase is the invention of Pfizer Products Inc.

h) D1 is the applicant's invention and therefore, the inventors had knowledge of D1, hence is a relevant prior art.

Findings of the Respondent No.1 on Section 3(d)

a. From the recently pronounced judgment of the apex court in case of the NOVARTIS AG V/S UNION OF INDIA. It is held that the applicant will have to establish the therapeutic enhanced efficacy of the claimed compound over the base compound.
b. Certainly the enantiomer of the known compound 3-{4-Methyl-3-[methyl-(7H-pyrrolo [2, 3-d] pyrimidin-4-yl)-amino]-piperidin-1-yl}-3-oxo-propionitrile which the applicant claims should show an enhanced efficacy over the compound of the prior art.
c. The explanation and experimental data given on page 7-8 of the hearing submission which showed the efficacy of the claimed compound by comparing with the compound 2, 3 and 4 disclosed on page 8012 of Appendix 3 to the hearing submissions. The said article describes the superiority of 3R, 4R isomer over the other 3 possible stereoisomers, namely, S, S; R, S and S, R. Such superiority of 3R, 4R isomer could not have been predicted with a reasonable expectation of success.
d. The applicant is supposed to establish the enhancement of therapeutic efficacy of the specifically claimed form over D1 by substantive research data. They failed to provide such findings.
e. The applicant's contention that D1 can't be the document to assess the patentability aspect of the invention claimed, since not known only to the public is not acknowledged.

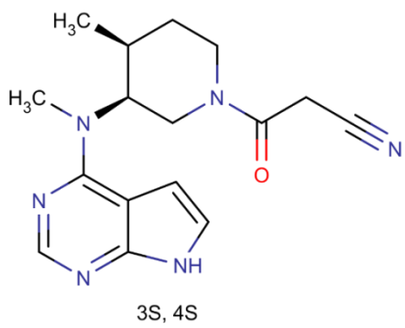
26. The said impugned order challenged before us. One of the grounds is taken that it has been passed against the principles of natural justice but is also against the law.

27. **ABOUT THE INVENTION**

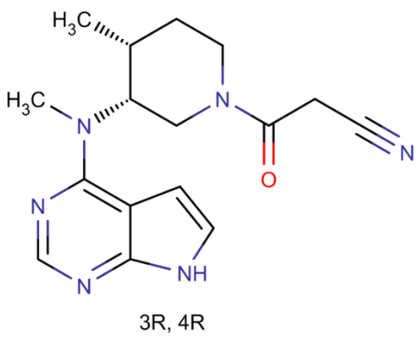
Mr. Pravin Anand and Ms. Archana Shankaer have made their submissions in support of grounds raised in the appeal. The written submission also filed on behalf of appellant.

28. The claimed compound of IN'991 is 3-**{(3R, 4R)**-4-Methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl}-3-oxo-propionitrile and pharmaceutically acceptable salts thereof. The claimed compound is called **TOFACITINIB** and the commercialized pharmaceutically acceptable salt is **TOFACITINIB MONOCITRATE**. The said compound is disclosed as Example 6 in the patent specification.

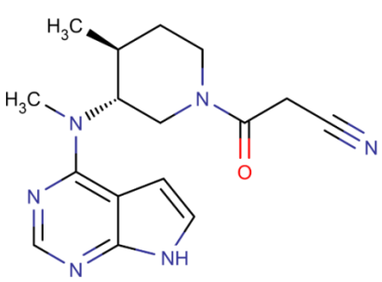
29. The claimed compound is the enantiopure (3R,4R) isomer of the **compound 3-(4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl)-3-oxo-propionitrile.** All the enantiomers of the compound 3-(4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl)-3-oxo-propionitrile are depicted below:



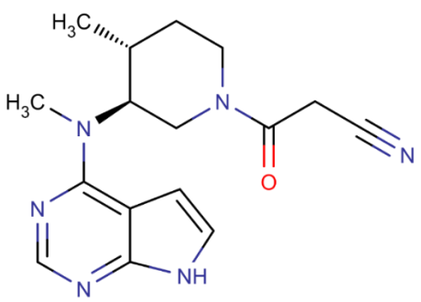
3S, 4S



3R, 4R

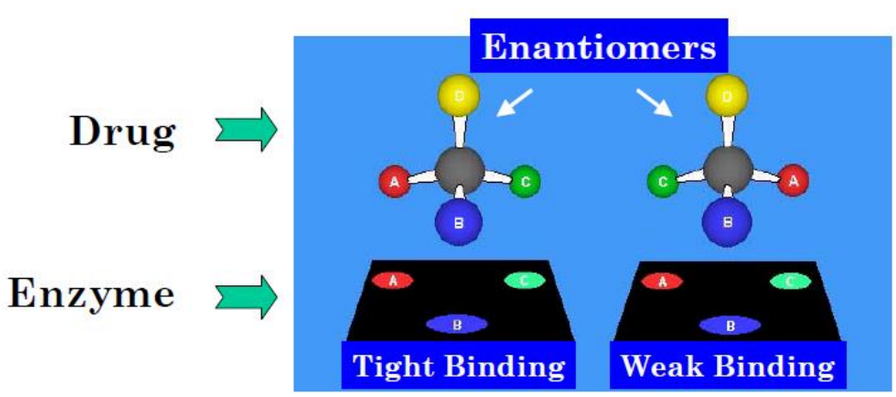


3R, 4S



3S, 4R

30. Enantiomers are isomers that have the same chemical formula but differ in arrangement in space. Due to different arrangement the enantiomers may bind differently at the binding site and may have different potency/efficacy.



31. Document WO 0142246(D1)relates to novel Pyrrolo[2,3-d] Pyrimdine compounds, and has been granted a patent in India under serial number **IN241773**.The Appellant has disclosed the corresponding Indian application number in the background of the patent specification of IN '991 The compound 3-(4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl)-3-oxo- propionitrile referred above is disclosed in example 14 of D1 and claimed by D1. The said compound is an inhibitor of protein kinase such as enzyme, Janus Kinase 3 (JAK3)

32. The order of the Respondent No. 1refused the application on being hit by section 13(1)(b) and being non-patentable under section 3(d).

33. It is a matter of fact that by the order of the IPAB dated 31st October 2014 directed the respondent to reconsider the matter afresh after furnishing the objections in advance so that they can be responded by the Applicant. The respondent No. 1 issued a hearing Notice appointing a hearing on 21st January 2015 to reconsider the matter afresh. The objections raised by the Respondent No.1 in the haring notice were as follows:-

a) Subject-matter claimed in claims 1-2 is not novel in view of the prior documents. See the International Search report as well as further citation WO0142246.
b) Subject matter of claim 1 falls within the scope of sub **clause (d) of section 3** of the Patents Act 1970 as amended.
c) Claim 2 does not sufficiently define the composition with respect to its ingredients with their percentage. Further, it appears to be an intended use of a claimed compound. Therefore, claim 2 does not constitute an invention **u/s.2 (1) (j)** of the Patents Act 1970 as Amended.
d) The applicant is advised to furnish the information relating to the objections, if any, in respect of novelty and patentability of the invention including the claims of the application allowed of the corresponding application prosecuted before European Patent Office and USPTO within the prescribed period under rule 12(3) of the Patents Rules 2003, as amended.

34. However, the Respondent no. 1 has rejected the patent on the ground of **Section13 (1)(b)** –prior claiming. Respondent no. 1 did not even raise an objection under **Section13 (1)(b)** of the Indian Patents Act in the hearing notice despite of order dated 31.10.2014 passed by the IPAB. Thus, it is against the principles of natural justice that an application is rejected without even communicating an objection to the Appellant as required under Section 14 of the Indian Patents Act. It is an error made by Respondent No. 1 on the face of record and direction issued by

the IPAB order dated 31st October 2014 wherein the Board had clearly directed the Respondent to furnish all objections in advance.

35. As per the case of appellant WO0142246 not defined as a prior art for section 3(d) in the hearing notice, nor a known substance from wo0142246 identified – against direction of the IPAB dated 31 October 2014

It is admitted position that as per direction, the respondent No. 1 issued a hearing Notice appointing a hearing on 21st January 2015 to reconsider the matter afresh. The objection raised by the Respondent No.1 in the hearing notice with regard to section 3(d) is as follows:-

a) *Subject matter of claim 1 falls within the scope of sub* ***clause (d) of section 3*** *of the Patents Act 1970 as amended.*

36. Section 3 defines what are not inventions within the meaning of the act and sub section (d) reads as follows:

Section 3(d)

the mere discovery of a new form of a ***known substance*** *which does not result in the enhancement of the* ***known efficacy of that substance*** *or the mere discovery of any new property or new use for a known substance or of the mere use of a known process, machine or apparatus unless such known process results in a new product or employs at least one new reactant.*

Explanation.—For the purposes of this clause, salts, esters, ethers, polymorphs, metabolites, pure form, particle size, isomers, mixtures of isomers, complexes, combinations and other derivatives of known substance shall be considered to be the same substance, unless they differ significantly in properties with regard to efficacy."

e) It is submitted that the impugned order is passed against the order of the IPAB dated 31st October 2014 wherein the Board had clearly directed the Respondent to furnish all objections to the applicant in advance. Counsel appearing on behalf of the appellant stated that it is a matter of fact that WO0142246 is published after priority date of present application and infact it cannot be a prior art for section 3(d)

Further, in the order, the respondent considered **WO0142246** as a prior art for section 3(d) and identified compound 3-(4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl)-3-oxo-propionitrile as the known/base compound.

37. It is submitted that there is no "Known substance" as document **WO0142246** is not a prior art document and therefore cannot serve as the basis for conducting comparative studies with respect to compound claimed in the present application. It is therefore submitted that Respondent no. 1 clearly erred in applying Section 3(d) in relation to the alleged known compound disclosed in **WO0142246**:

	First Priority date	**International Publication date**
Present application	**31 May 2001**	5 December 2002
WO0142246	10 December 1999	**14 une 2001**

For section 3(d) to be applicable the invention should be "*a mere discovery",* there should be "*known substance*" and the "*known substance should have a known efficacy*". If for a compound claimed, a known substance with a known efficacy can be identified (as per the explanation of section 3(d)), for the said claimed compound to be patentable, the applicant is required t furnish data to show enhancement in efficacy vis-à-vis the known substance.

38. The Respondent No.1 did not identify any substance with known efficacy for section 3(d) , leave apart from identifying the known substance, the Respondent No. 1 did not even identify as appeared any prior art which disclosed known substance in the hearing notice.

39. No doubt, it is against the principles of natural justice that an application is rejected without clearly communicating an objection to the Appellant as required under Section 14 of the Indian Patents Act. In the absence of a citation, and a known substance being identified, the applicant must be aware as to what the known substance is, and against which an enhancement of efficacy is to be shown to show patentability.

40. The Respondent No.1 has hold that **WO0142246** is a valid prior art for Section 3(d) as it is applicant's own application and "known" to the Applicant. The prior art has to be **known to the public** and not the inventor/ applicant of the patent specification. Inventor's knowledge is immaterial in patentability analysis. While D1 was filed earlier, it was not a prior public document and therefore the compound disclosed therein, in particular compound of Example 14 was published after the priority date of the present application. Therefore, the reasoning is not correct.

41. On the issue of test of anticipation/lack of novelty, as laid down by judicial precedents -

a) ***Dr. Reddy's Laboratories vs. Eli Lilly & Co.*** **[2009]F.S.R.5 -**

It follows from the above that a generic disclosure will not normally take away the novelty of a subsequent claim to a member of the class. For example disclosure of "fixing means" is not a disclosure of a nail.

Para 79

The particular question which arises in this case concerns the effect of a particular kind of disclosure, namely that made by a chemical class formula or "Markush" formula. Such formulae are capable of encompassing many millions of compounds. In theory a person (or more likely a computer) could sit down and create a list of all possible individual compounds covered by the formula. As I have mentioned, 235 contains one such formula which extends to more than 10 19 compounds. Does the fact that the skilled person or robot could write down all those compounds satisfy the requirements of a disclosure of an individual compound made the subject of a later claim?

Para 80

This question is addressed on a regular basis by the EPO in deciding applications for chemical patents under the EPC. They have developed a doctrine that a chemical class disclosure does not necessarily take away the novelty of an individual compound falling within the class.

The Court of Appeal in H. Lundbeck A/S v Generics (UK) Ltd [2008] EWCA Civ 311; [2008] R.P.C. 19 regarded para.6.2 of the reasons set out above as settled jurisprudence of the EPO and applied it: see per Lord Hoffmann at [9].

The notion that a prior disclosure does not take away the novelty of a claim to a specific compound unless the compound is disclosed in "individualised form" is, I believe, a sound one. I will endeavour to explain why.

First, a general formula is an extremely powerful way of covering large number of chemical compounds: hence their frequent use in patent disclosure. It is, of course possible that someone could write down in succession all the compounds covered by all possible permutations of the variable substituents of the formula: but it is wholly artificial to suppose that anyone would. Attention would focus on compounds actually described, the remainder of the class being no more than a theoretical penumbra around those compounds.

*Secondly, in those circumstances, I do not think it can be said that the prior document "contains a clear description of, or clear instructions to do or make, something which would infringe the patentee's claim". The description is not *300 clear because of the need to make a combination of substituents before the compound could be regarded as "unalterably established".*

I would accordingly hold that a general formula with multiple substituents chosen from lists of some length will not normally take away the novelty of a subsequent claim to an individual compound.

b) ***Dr. Reddy's Laboratories vs. Eli Lilly & Co.*** **[2010] R.P.C.9 -**

Lack of Novelty

"23 Olanzapine is one of the 1019 compounds of formula (I) and one of the 86,000compounds of the "preferred" class. It is not mentioned specifically. 24 DRL contends that nonetheless this specific compound lacks novelty – that in the language of EPC Art.54 it formed "part of the state of the art" having been "made available to the public by means of a written description." The contention amounts to this: that every chemical class disclosure discloses each and every member of the class. It would, it seems, even apply if the formula had simply been written down without any suggested utility.

25 I reject the contention for two reasons: firstly as a matter of a priori reasoning and secondly because it is inconsistent with settled EPO Board of Appeal case law.

26 First then, the a priori considerations apart from case-law. An old question and answer runs as a follows: "Where does a wise man hide a leaf? In a forest." It is, at least faintly, ridiculous to say that a particular leaf has been made available to you by telling you that it is in Sherwood Forest. Once identified, you can of course see it. But if not identified you know only the generality: that Sherwood Forest has millions of leaves.

27 The contention has no logical stopping place. If there is disclosure of olanzapine here, why would one not regard an even more general disclosure as a disclosure of it. Suppose the prior art had merely been of "3-ringed organic compounds?" Such a description would encompass much bigger numbers than the 1019 of formula I. Yet the logic of the argument would be the same – that there is a disclosure of each and every member of the class.

"30 Thus logic dictates rejection of the argument that a disclosure of a large class is a disclosure of each and every member of it. ….
So what one must look for by way of an anticipation is an "individualised description" of the later claimed compound or class of compounds. This case is miles from that."

c) ***The General tire & Rubber Company vs Firestone Tyre& Rubber Company*** **1972] R.P.C.457**

"……To anticipate the patentee's claim the prior publication must contain clear and unmistakeable directions to do what the patentee claims to have invented: 10 Flour Oxidizing Co. Ltd. v. Carr& Co. Ltd. ((1908) 25 R.P.C. 428 at 457, line 34, approved in B.T.H. Co. Ltd. v. Metropolitan Vickers Electrical Co. Ltd. (1928) 45 R.P.C. 1 at 24, line 1). A signpost, however clear, upon the road to the patentee's invention will not suffice. The prior inventor must be clearly shown to have planted his flag at the precise destination before the patentee.

d) ***Farbwerke Hoechst AktiengesellschaftVormals Meister Lucius and Bruning a Corporation Etc. vsUnichem Laboratories and Others*** **AIR 1969 BOM 255**

15. That brings me to the next ground of alleged invalidity of the plaintiffs' patent viz. want of novelty. The test of novelty as formulated by Halsbury, is in the following terms : "To anticipate a patent, a prior publication or activity must contain the whole of the invention impugned; i.e., all the features by which the particular claim attacked is limited. In other words, the anticipation must be such as to describe, or be an infringement of the claim attacked……."

e) ***Eli Lilly & Company Limited v Apotex Pty Ltd*** **[2013] FCA 214**

Para 325

In these circumstances, I cannot accept that Professor Black's evidence established that a person skilled in the art could clearly and unmistakably arrive at olanzapine based on the teachings of the 235 Patent, or that olanzapine is produced as an inevitable result of following the teachings in this patent

Para 326

I do not consider that it is as simple as he suggests, namely, that the skilled addressee would begin with the thienobenzodiazepine of the core and "build" appropriate analogies by the use of the variable substituents that need to be added to the core to arrive at olanzapine. No other witness accepted that this was the position. I accept the submission of Eli Lillythat it is only by the ex post facto "cherry-picking" of specific substituents that one is able to reach olanzapine from the compounds disclosed in the 235 Patent. The large number of compounds disclosed in the 235 Patent reflects the breadth of the teaching of that patent, and underscores the magnitude of the difficulty in selecting a single compound from the extensive class identified. Even if the skilled addressee limited attention to the most preferred class, there is no real guidance as to why it is preferred.

f) It is clear from the law laid down that the whole of the patented compound, and not only its constituents, their positioning and arrangement must be disclosed completely in a singular prior art publication. Mosaicing of prior art publication to establish anticipation is not permissible. A generic disclosure does not take away the novelty of specific disclosure. The Controller has committed grave error of law by arriving at erroneous finding of lack of novelty/anticipation without applying the test of anticipation laid down by the judicial pronouncements set out.

g) **Test of Inventive Step – Case laws:**

i) In the judgment reported as *Merck vs. Glenmark*, this Hon'ble Court, while rejecting the plea of invalidity in respect of Sitagliptin held that "*para 112 and 113".*

> *"In conclusion, none of the "prior art" documents cited by the Defendant, taken separately, or in the aggregate, would provide any motivation to the skilled person to envision Sitagliptin. As I have shown with respect to each prior art document, the defendant has "cherry picked" both core structures and attached substituents so as to arrive at structures that resemble to some extent Sitagliptin. In every case, the number of possible substituents taught in any of the documents that would lead to the structures proposed by the Defendant. In my opinion, it is completely impossible that any person skilled in the art could have arrived at Sitagliptin based on any prior art documents.*
>
> *Para 113.*

None of the cited prior art documents lists the exact structural pieces that can be combined or attached to any of the core structures in order to arrive at Sitagliptin and the Defendant admits as much by stating that the fragments they have crafted in their arguments will have to be combined, as illustrated for example in paragraph 91 above. Yet the Defendant never tells us what will motivate the skilled person to carry out this combining of substituents and fragments. In fact, the only obvious motivation could be knowledge of the structure of Sitagliptin beforehand, which clearly derives only from hindsight.

- ***Merck vs. Glenmark*2015(64)PTC417(Del)**

ii) In the judgment reported as *Takeda Chemical Industries vs Alphapharm*, the US Federal Court of Appeals rejected the plea of invalidity on the ground of obviousness raised on the basis that the claim compound is identical to prior art compound b of prior art TZD compound. The only difference between the two was the ethyl substitution at fifth position of Pyridyl ring in claimed compound vis-à-vis methyl substitution at sixth position of Pyridyl ring in compound b. The said plea was rejected on the ground that there was nothing in the prior art US'200 or its prosecution history which suggest to an ordinary person skilled in the art that those nine compounds out of hundreds of millions of compounds covered by patent application one of the best targets for modification. The court further said that there was no suggestion or reasonable expectation in the prior art that changing the position of a substituent of Pyridyl would result in beneficial changes that would cause the compound to be more efficacious and less toxic

Takeda Chemical Industries vs Alphapharm 492 F. 3d 1350 (2007)

The Federal Court of Appeal, applying *KSR International vs. Teleflex Inc – 127 S.Ct. 1727* held "*Thus, in cases involving new chemical compounds, it remains necessary to identify some reason that would have led a chemist to modify a known compound in a particular manner to establish prima facie obviousness of a new claimed compound….page 6".*

iii) ***Bristol-Myers Squibb V. BDR Pharmaceuticals International Pvt. Ltd* CS(COMM) 27/2020 (MANU/DE/0299/2020)**

36. From the judgments as noted above, some of the principles which govern the field to find out whether an invention is obvious or not can be summed up as under:-

(i) A hindsight reconstruction by using the patent in question as a guide through the maze of prior art references in the right way so as to achieve the result of the claim in the suit, is required to be avoided.

(ii) The patent challenger must demonstrate the selection of a lead compound based on its promising useful properties and not hindsight driven search for structurally similar compounds.

(iii) There should be no teachings away from the patent in question in the prior art.

(iv) Mere structural similarity cannot form the basis of selection of lead compound in a prior art and the structural similarity in the prior art document must give reason or motivation to make the claim composition.

(v) Though mosaic of prior art documents may be done in order to claim obviousness, however, in doing so, the party claiming obviousness must be able to demonstrate not only the prior art exists but how the person of ordinary skill in the art would have been led to combine the relevant components from the mosaic of prior art.

(vi) It has to be borne in mind, small changes in structures can have unpredictable pharmacological effects and thus, structural similarity alone is not sufficient to motivate to selection of the lead compound.

(vii) Though it would be tempting to put together a combination of prior arts but this requires a significant degree of hindsight, both in selection of relevant disclosures from these documents and also in disregarding the irrelevant or unhelpful teachings in them.

42. In the case of United States Court of appeals decision in LIFE TECHNOLOGIES, INC., v. CLONTECH LABORATORIES, INC. which points that inventor's knowledge had no bearing on issue of patentability. The Order was passed in respect of 5808/CHENP/2015 where prior art published after priority date was not considered for 3(d)). In the impugned order, it is incorrectly that no data is provided by applicant for section 3(d).

43. Mr. Pravin Anand and Ms. Archana Shanker submit that notwithstanding the above, even if we assume that Section 3(d) is applicable to the present application in view of the compound of example 14 of **WO0142246** being disclosed therein and being the known substance, 3(d) is overcome by the compound claimed in the present application as the Applicant conducted studies and filed abundant evidence to establish the difference between the claimed form and the compound disclosed in example 14 of **WO0142246**.In this regard, we refer to the affidavits of Dr. James D.

Clark and Dr. Mark Edward Flanagan that were filed before the respondent. In Dr. Clark's ecidence, JAK3 and IL2 assay data were shown in para 10, tables 1-4 wherein the **compound of the present invention (Tofacitinib) was compared to example 14 of WO0142246**and compound of the present invention **(Tofacitinib)** clearly had a significantly high potency of 4-6 fold which is biologically and statistically meaningful in terms of the claimed compound to bring out the desired therapeutic effect.

44. Therefore the data was presented before Respondent No.1, in order to show that the claimed compound of the present invention is indeed compared with WO0142246 and results in enhanced therapeutic efficacy over WO0142246compound example 14. After acknowledging the above affidavit, the Respondent No.1 still opined that the Appellants did not give data comparing the compound claimed and compound of example 14 of **WO0142246.**

45. As already mentioned that the Respondent No.1 admits that a data comparing Tofacitinib and example 14 can overcome section 3(d), however, still rejects the application on grounds of section 3(d), even in the presence of such data.

46. In order to show the efficacy of the claimed compound, reference was also made to Appendix III filed along with our letter of December 9, 2013.

It is rightly submitted that the said article clearly reveals that only enantiopure 3-{(3R, 4R)-4-Methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-I-yl}-3-oxo-propionitrile isomer as claimed in the present application were capable of blocking stat 5 phosphorilation Jak 3 dependent inhibition and revealed high level of selectivity for the Jak family kinases.

46.1 Compound 1 referred to in the said Article is the compound of the present invention which is 3-{(3R, 4R)-4-Methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-I-yl}-3-oxo-propionitrile.

46.2 Compound 2, 3 and 4 disclosed on page 8012 of Appendix III are as follows:

- Compound 2: 3R, 4S
- Compound 3: 3S, 4S
- Compound 4: 3S, 4R

46.3 Col. 2 on page 8012 further states that IC 50 value of compound 1 (3R, 4R) was 1nm and said compound is a remarkably selective kinase inhibitor. The binding of any small molecule to a protein target is inextricably linked to the structure, it was found that the stereo specific nature of the compound 1 (3R, 4R) and it is

selectively against over 300 kinases resulted in an improved therapeutic efficacy of the compound as the binding of the molecule to the protein target is what is responsible for any drug molecule to exhibit efficacy.

47. On behalf of the appellant our attention was drawn to figure 3 on page 8014 of the said article. Figure 3 provides the selectivity profile for kinase inhibition by compound 1, 2, 3 and 4. It can be seen from the figure legend that compound 1 which is the compound of the present invention has an IC 50 value of 0.7 nm for Jak3 inhibitor. The IC 50 values for Compounds 2, 3 and 4 are 190nm, 180 nm, and 150 nm, respectively. Therefore, It is stated that compound 1 is 200 fold better than other enantiomers:

Compound	**Jak3 activity (nM)**
Compound 1 (3R,4R, compound 1)	0.7
Compound 2 (3R,4S)	190
Compound 3 (3S,4S)	180
Compound 4 (3S,4R)	150

48. The above further establishes the superiority of the claimed form over the other enantiopure forms of the compound of D1. The compound claimed therefore meets a higher standard, the compound claimed has an efficacy higher than not only the racemic mixture of 3-{4-methyl-3-[methyl-(7H-pyrrolo[2,3-d] pyrimidin-4-yl)amino]-piperidin-1-yl}-3-oxopropionitrile, but also other possible enantiopure forms of example 14 of D1.

49. Therefore, in the light of above, Section 3(d) therefore is not applicable to the present case as there is no "Known compound". D1 was not publically available at the priority date of the present application. Further, even if Section 3(d) is applied without prejudice, the applicant by evidence has established the superiority of claimed form over 3-{4-methyl-3-[methyl-(7H-pyrrolo[2,3-d] pyrimidin-4-yl)amino]-piperidin-1-yl}-3-oxopropionitrile, and other enantiopure forms of compound of D1.

APPLICATION OF SECTION 13(1)(B)

50. Section 13(1)(b) provides that the invention claimed is anticipated by prior claiming if the same is "Claimed" in any claim of any other complete specification published on or after the date of filing of the complete specification, being a specification filed in

pursuance of an application for a patent made in India and dated before or claiming priority date earlier than that date.

51. In order to have valid objection about anticipation by prior claiming, the following has to be established (section 13(1)(b)):

a. that there is an invention for which an application for patent has been made in India (hereinafter referred to as 'the first application')

b. the second invention for which a patent has been granted is "claimed in any claim" of the complete specification of the first application.

c. The first application is published after the priority date of the claim of the patentee.

d. The claim of the first application has a priority date that is earlier than the claim of the patentee.

52. The Respondent No. 1 in the present case held that the compound in Example 14 of WO 01/42246 (D1) claimed in claim 20 as being the same compound as the present invention. In the same breath the Respondent No. 1 has further held that the claimed compound of IN '991 as being an enantiomerically pure form of the compound of Example 14 of document WO 01/42246 (D1). These are the two contradictory positions.

The findings made by Respondent no. 1 with regard to section 13(1)(b) of the impugned order is not sustainable that for the purpose of prior claiming, "***the claims"*** of the Indian Prior art have to be mapped with the claims of the Indian applications/patents. The claims of IN241773 claimed "3-(4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl)-3-oxo- propionitrile" and the claims of present application are directed to enantiomerically pure form of the compound of D1, i.e. 3-{(3R, 4R)-4-Methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl}-3-oxo-propionitrile. IN241773 claims the basic compound of which IN '991 is the enantiomerically pure form.

53. In the impugned order and for the purpose of "prior claiming", the Respondent no. 1 relied upon the specification of D1 or 241773 and not on the claim.

> For the purpose of "prior claiming", the Respondent no. 1 held that the Appellants did not submit comparative data with respect to compound of D1. For the purpose of anticipation by prior claiming only the claimed subject matter of the prior art is to be considered. The onus on the Appellant upto the extent of proving that the subject matter claimed in the Indian application /patent is different form that claimed in subject

application. An enhancement in therapeutic efficacy is not to be proved to overcome the ground of anticipation.

54. The appellant had in fact filed data that compared the efficacy of the example 14 of compound of D1 with that of IN'991. Reliance in this regard is placed on the evidence filed by way of affidavit of Dr. Clarke. The Respondent No. 1 acknowledges the filing of the affidavit, notes the data presented in the evidence, but the explanation given in the affidavit are ignored and rejected the application on the grounds of Anticipation by Prior Claiming and Section 3(d).

55. It is settled law and principle of anticipation that a generic disclosure does not take away the novelty of a claim of a specific disclosure, or else several provisions of the Indian Patents Act including section 3(d) would be rendered otiose. The party/ applicant is to only establish that 3R, 4R has not been specifically claimed in the cited art. The Appellant also provided evidence to prove that the compound claimed in D1 is the base compound and its enantiomerically pure form was claimed in IN '991. The reasons are given as under:-

a)The Respondent No. 1 acknowledges in the patent specification of IN '991 that the compound of example 14 of D1 is the racemic form and impugned application claims an enantiomerically pure form as per Annexure P6.

b) The CAS registry number of the racemic mixture of the compound disclosed in example 14 of D1 is different from that of present application;

c) A STN transcript from chemical abstract for D1 identifies the compound of example 14 of D1. On page 4 of the said document, CAS No. 344418-92-4P is in respect of compound [3-(4-methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-1-yl)-3-oxo-propionitrile] and does not refer to the CAS registry no. 477600-75-2 which is for 3-{(3R,4R)-4-Methyl-3-[methyl-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-amino]-piperidin-I-yl}-3-oxo-propionitrile (CP No. 690550).

d) The Appellants also submitted an affidavit of Dr. Flanagan, which establishes the fact that the compound of Example 14 of D1 is a racemic mixture and the enantiomerically pure form is claimed in IN'991

e) The Respondent No. 1 has provided no findings on the observation made by the expert in the evidence, nor has Respondent No. 1 provided any reason for holding against experts affidavits

56. The Respondent No. 1 held that the prior document D1 anticipated the present impugned application as it gives an enabling disclosure of the claimed compound. The finding of the Respondent No.1 is incorrect as only the claims of a prior art need to be considered when assessing the objection of anticipation by prior claiming. The disclosure is not to be considered. In D1 there is no direct, clear and unambiguous disclosure of any stereo chemistry associated with the compound 3R, 4R- isomer of any of the compounds of the examples of D1, let alone a specific disclosure of the 3R, 4R-isomer of the compound of Example 14 of D1.There is no direct and unambiguous disclosure in D1 of 3R,4R-stereochemistry.

57. The Respondent No.1 incorrect in holding that the Appellants Application is anticipated by prior claiming as the Appellants did not compare the compound of present application with the compound of IN 773 and that the data comparing the claimed compound with other enantiomers of compound of D1 is without any substance as it is a legally established principle that no data, establishing superiority of unexpected results is required to overcome the objection of anticipation be it anticipation by prior claiming or anticipation by prior publication. Despite of that the applicant did submit data comparing the compound of the IN '991 subject patent with the racemic mixture disclosed in example 14 of D1, and said data has also been presented in the form of affidavit of Dr. Clark.

58. The respondent no.1 also incorrectly held that the Appellants never informed the Respondent that D1 has a national phase. The US equivalent of D1, namely US'669 is mentioned in the background of impugned patent application and the applicant filed the revised specification to replace the US equivalent of D1, namely US'669 with the Indian equivalent as per evidence available on record.

59. In the light of above, the impugned order is set-aside. The appeal is accordingly allowed. It shall be proceeded further for grant of Patent.

60. **DELAY IN PROTECTION OF VALID INVENTION AND GROUND REALITIES**

(a) Under Section 53 of the Patents Act, 1970, the term of the Patent is twenty years from the date of filing of the application for the patent. During this period, Section 48 of the Act gives the exclusive rights to the patentees to prevent third parties, who do not have their consent from the act of making, using, offering for sale, selling or importing for those purposes that product in India. Where the Patent is a process, the exclusive right is to prevent third parties, who do not have his consent from the act of using that process.

(b) No suit or other proceedings can be commenced or prosecuted in respect of an infringement of a Patent, unless the Patent is granted. No common law remedy/passing of act or equity action under the Specific Relief Act is sustainable under the Scheme of Patent Act. Criminal remedy is not available to the Patent under the Act.

(c) After the expiry of exclusive right or the said rights are ceased to exist, the product or process is become public property. It means the patentee is granted right from the date of grant of patent till its expiry.

(d) Section 25 provides two layers procedure for filing oppositions. The first one is the Sub Section (1) of Section 25 where any person may, in writing, by way of opposition when the application for a Patent has been published on the grounds available under this provision i.e. (a) to (k).

(e) Sub Section 2 of Section 25 stipulates that any time after grant of Patent but before the expiry of a period of one year from the date of publication of grant of a patent, any person interested may give notice of opposition to the controller in the prescribed manner, as per grounds available (a) to (k).

(f) If the grounds of opposition available under Section 25(1) and 25(2) are compared, those are almost same. Under Section 25(1), the opposition can be filed by any person when the application is published. Under Section 25(2), the second stage is after grant of patent by any person interested. The meaning of person interested defines in Section 2(t) of the Act, which includes a person engaged in , or in promoting research in the same filed as that to which the invention relates. Meaning thereby, three categories of persons interested can file notice of opposition.

(g) It has been noticed that number of years are consumed in the Patent Office till the stage of publication of patent application under Section 25(1) of the Act as there is a long procedure of multiples examiner reports. The same can not be ignored.

(h) When the application is published, thereafter, it is open to any person from the entire world to file the opposition. It is of lately seen that in many cases in order to delay the process of registration, pre-grant oppositions are being filed by the imposter for fraudulent gain.

(i) It is a matter of fact that after losing the opposition under Section 25(1) of the Act, the same party is filing opposition under Section 25(2) of the Act (being person interested) either directly or indirectly, mostly on the same grounds under Section 25(2) of the Act.

(j) Once the opposition under Section 25(2) is filed, it is become endless litigation. Many times patent expires during the pendency of opposition proceedings, even due to long delay, the patentee lost its interest. After the rejection of opposition under Section 25(2) filed by person interested, further remedy is available to file appeal under Section 117 of the Act before IPAB. If opponent looses the appeal, further remedy to file the Writ Petition to challenge the order of IPAB before the High Court.

(k) The order passed by the Hon'ble High Court can be challenged before Supreme Court on filing of Special Leave Petition. By this time, the genuine inventor is so tired when comes to know the terms of patent is almost ended. Under distress, withdraws its patent application.

(l) It is true that the infringement suit can be filed in order to protect the patent during the pendency of opposition proceedings under Section 25(2) of the Act. But once the proceedings of oppositions are pending and due to lack of clear rules, many times, it is difficult for the patentee/inventor even having of valid invention to get the relief for protection of his rights. Many times patent term expires during the pendency of infringement action. The only remedy

left to the patentee to claim damages which has to be proved in accordance with law.

(m) Sitting in the appellant jurisdiction before IPAB and dealing in number of appeals, the same are being withdrawn by the counsel either the term of patent /appeal is expired or the inventor /patentee lost its interest because of long delay.

(n) The parties who are infringing exclusive rights are aware that a particular invention has a valid invention which cannot be beaten by law on merit, they must see all facts so that the invention/patent must die either during the pendency of opposition proceedings under Section 25(1)/25(2) of the Act or during the pendency of appeal before IPAB or in the pending of infringement action before court.

(o) The best example we have noticed in Patent Application no. IN/PTC/2002/00705/Delhi to as IN 00705 where the application was derived from PTC international application no. PCT/FR00/03759 dated 29.12.2000 filed by Allany Ferid who already obtained the similar invention in 13 most welfare countries of the world. Even after the expiry of 19 years and about seven months, the patent application is now accepted for grant of patent as per the order passed by the IPAB on 20th July, 2020. Less than four months are left before expiry of twenty years. Under these circumstances, where is the question of protection of exclusive rights.

(p) Take the example of present case, where 18 years already expired, the patent is yet to be granted. The Object and Reasons of the Patent Amendment Act, 2005 states that –

> *While considering the third set of amendments of the Act, efforts have been made not only to fulfil our final obligation under the TRIPS Agreement* **but also to simplify and rationalize the procedure grant of patents so as to make the system more efficient and User friendly.**

(q) We are of the view that the objection to bring the amendment to simplify the procedure and to make system efficient in order to protect the exclusive rights to the genuine inventor already defeated. Unless due care is taken, it will continue.

(r) We have noticed from the various cases that once the infringers become aware that it is a valid, genuine and commercially successful invention/patent and if any action is taken or likely to be taken before the Court for infringement, they make all efforts and see that the life of the genuine patentee must become miserable by raising all types of false and frivolous objections.

(s) In the light of above, we are of the view that the practice of filing of Benami opposition by the Benami opponent and crooked imposter has to be stopped. It is the duty of the respondent that such person(s) may not be allowed to take the advantage of multiple layers of opposition. If any opposition is filed either under Section 25(1) or 25(2), which is bogus and without any merit, the same is to be thrown out at the earliest by the respondent with heavy costs and penalty. Only the genuine oppositions are to be entertained.

(t) It would be appropriate if the opposition proceedings under Section 25(2) of the Act be decided within maximum period of 12 to 15 months after the

filing of the opposition and the appeal filed under Section 117 before IPAB against the final order passed in the opposition proceedings under Section 25(2) also within the period of 12 months in order to save the reasonable term of patent.

61. The hearing in the appeal was concluded on 10.08.2020. After hearing, we allowed the appeal and the order was reserved for giving the reason. On 18.08.2020, one representation was received from Tarun Khurana, Patent Agent on behalf of his client Dhoval Dayabhai Diyora, informing that his client has filed the pre-grant opposition before respondent on 18.8.2020 under Section 25(1) of the Act. He wishes to mention the matter.

62. The appeal was fixed for pronouncing the reasons on 21.08.2020.

63. Before pronouncement, Tarun Khurana mentioned the matter informing that his client has filed pre- grant Opposition.

64. The mentioning of matter is strongly opposed by the Ms. Archana Shankar counsel appearing on behalf of appellate. It is submitted by her that the opponent is a straw man and in fact, he is simply an impostor and not competent to file the same. It is also stated that Tarun Khurana is a Patent Agent who has no legal authority to appear and to make any representation. The opponent is not a party in the appeal. It is a clear case of an abuse of process. It is also submitted by her that the application was published in 2007. There were more than ten opportunities were available for the opponent able to file pre- grant opposition . The same is filed after the expiry of thirteen years.

65. It is stated by her that once the final order was passed, the opponent is now has stopped to file opposition and under any circumstances the said issue cannot be raised before appeal court who is not empowered to decide the same.

66. As per scheme of the Act, the opposition under Section 25(1) is to be filed before Controller before the grant of Patent. In the present case the application for registration was rejected though no opposition was filed. Once the application was rejected, the question of filing opposition in the rejected application does not arise. After hearing the appeal was orally allowed.

67. Tarun Khurana has admitted before us that the opponent is not a party when the arguments were addressed and no prescribed application has been filed. The opponent has filed the opposition after 13 years from the date of publication of application and no opposition was filed at various stages when the opponent had the opportunities and particularly before passing the impugned order. It is admitted no representation was made before or after hearing of appeal. Mr. Khurana has also not produced any legal authority to appear or to make any representation. He has been sending representations by emails with copy of seven addresses time and again. Apart from official email address he is sending copies to Chairman , member, and other many official staff without any authority which is not allowable . We are not

aware how he has obtained the email addresses of all addressee to whom copies were sent.

68. The opponent has not come before us as per the prescribed procedure. No application was filed as per procedure. Once the appeal is kept for pronouncement of orders , any suggesting to us not to pronounce the orders is not acceptable.

69. The representation made before us at this stage is not maintainable and the same is rejected.

70. Tarun Khurana is requested not to send any copy of email either to Chairman, any member and official staff in future. He may address the email at the designated official email address of the same is already circulated.

71. No costs.

-Sd/- -Sd/-

(Dr. Onkar Nath Singh)
Technical Member (PVPAT)

(Justice Manmohan Singh)
Chairman