

The Patents Act 1970

Section (15)

Decision / Order of Hearing of the Patent Application

[Ref.- Official Hearing letter dated 01/07/2021 (S/14) and 14/11/2024 (Pre-grant)]

1. **Patent Application No.** 602/KOLNP/2013, filed on 05/03/2013
2. **Title of Invention -** BORON-CONTAINING SMALL MOLECULES
3. **Applicant -** ANACOR PHARMACEUTICALS, LLC (USA)
(Represented by - D.P. AHUJA & CO., KOLKATA – 700 025)
4. **Opponent –** GANESH ACHARYA
(Represented by - RAJESHWARI H. IN/PA- 0358, RAJESHWARI & ASSOCIATES, NEW DELHI)
5. **Hearing Date –** 28/07/2021 (S/14) and 21/11/2024 (Pre-grant)

Present –

- Ms. PRAGYA SINGH THAKUR (IN/PA-3329) Opponent's side
- Ms. RUPSA GUPTA (IN/PA-1613) Applicant's side

6. Grounds of opposition (pre-grant):-

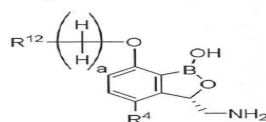
- S. 25 (1) (e): *Obviousness or lack of inventive step*
- S. 25 (1) (f): *Not an invention under section 2(1)(j) / Not patentable u/s 3(d) and 3(e) of the Patents Act.*
- S. 25 (1) (g): *the complete specification does not sufficiently and clearly describe the invention.*
- S. 25 (1) (h): *No proper disclosure under section 8 of the Patents Act, 1970*

7. Reasoning / Analysis:-

- (i) The opposition to the patent application no. 602/KOLNP/2013 was filed by the opponent on 13/11/2020. After receipt of Notice of opposition the applicant has filed reply statement / evidence on 16/07/2022 along with revised claims 1-8. Opponent filed rejoinder on 06/01/2023. Applicant submitted reply to rejoinder along with further amendment of claims 1-2. The latest amended claims 1-2 filed by the applicant after the hearing u/s 25(1) held on 21/11/2024 are mentioned below.

Final amended claims 1-2, filed on 06/12/2024, along with written submission of opposition hearing u/s 25(1)

1. A compound having a structure which is:



wherein

R^4 is chlorine or bromine;

a is 2, 3, or 4 when R^4 is chlorine and a is 1 or 2 when R^4 is bromine; and

R^{12} is selected from the group consisting of H, OH, and NH_2 when R^4 is chlorine and R^{12} is H when R^4 is bromine;

or a pharmaceutically acceptable salt, hydrate or solvate thereof.

2. A pharmaceutical formulation comprising:

- a) the compound as claimed in claim 1, or a pharmaceutically acceptable salt, hydrate or solvate thereof; and
- b) a pharmaceutically acceptable excipient.

- (ii) Opponent has raised the following cited document during the hearing and also in the written arguments of hearing –

WO2008/157726 (WO726), published on 24th December, 2008.

The above prior art document has disclosed compounds similar to that claimed in the present invention excepting only any *chloro* or *bromo* substituent in 4 or 5 position of the aromatic ring / core moiety. Therefore, the compounds claimed by the present invention are nothing but *chloro-* or *bromo-* derivative of the compounds already disclosed in the prior art document WO 726. Therefore, the compounds of the present invention are not patentable under Section 3(d) of the Indian Patents Act, unless it exhibit enhancement of efficacy.

Opponent has further mentioned that the present invention does not disclose any therapeutic efficacy data in respect of the claimed new molecules / compounds and therefore, not patentable under Section 3(d) of the Indian Patents Act.

Opponent has also referred to “Copy of the judgement of Novartis vs UOI, Para 189” delivered by the Apex Court in respect of Patent Application No.1602/MAS/1998.

Para 189 of the judgement is mentioned below -

“189. Thus, even if Mr. Grover’s submission is not taken into consideration on the question of bioavailability, the position that emerges is that just increased bioavailability alone may not necessarily lead to an enhancement of therapeutic efficacy. Whether or not an increase in bioavailability leads to an enhancement of therapeutic efficacy in any given case must be specifically claimed and established by research data. In this case, there is absolutely nothing on this score apart from the adroit submissions of the counsel. No material has been offered to indicate that the beta crystalline form of Imatinib Mesylate will produce an enhanced or superior efficacy (therapeutic) on molecular basis than what could be achieved with Imatinib free base in vivo animal model.”

- (iii) Opponent has also mentioned that the lack of technical advancement also render the invention obvious and not patentable under section 2(1)(j) of the Patents Act.

- (iv) Applicant has contradicted the view expressed by the opponent and mentioned that –
- (a) The claims are amended and restricted to compounds as mentioned in claim 1, as above, which are actually prepared and exemplified in the complete specification.
 - (b) The compounds are novel and differ from the compounds of closest cited prior art document (WO726) by having a substitution of R4 (*chloro-* or *bromo-*) in place of hydrogen (-H).
 - (c) The claimed compounds are highly selective towards the bacteria *M. tuberculosis*, as reflected by the low MIC (minimum inhibitory concentration) data, as mentioned in the Fig.1 of the complete specification.
 - (d) The present invention possess technical advancement by way of adding a *chlorine* or *bromine* at the 4- position of certain *3-aminophenyl, 7-substituted benzoxaboroles* compounds that produces new compounds which have high selectivity for the bacteria that causes tuberculosis, *Mycobacteria tuberculosis*.
 - (e) Selectivity is an important characteristic for antibacterial activity. High selectivity for particular bacteria reduces the potential for cross reactivity and drug resistance. Compound selectivity for bacteria can be ascertained from the MIC data. Low MIC value demonstrates a stronger ability to kill one strain of bacteria.
 - (f) All the novel compounds as claimed in claim1 reflect low MIC values against *tuberculosis* bacteria in comparison to other bacteria, as mentioned in Fig.1 of the complete specification. The compounds actually reflect low MIC and high Selectivity Index (SI) values against the bacteria, *M. tuberculosis* in comparison to the compounds of the cited prior art document (WO726). The comparison tables are demonstrated in the written submission filed by the applicant.
 - (g) The achievement of low MIC and high SI values against the bacteria, *M. tuberculosis* in respect of compounds of the present invention is a clear indication of involvement of technical advancement / inventive step. This also proves higher efficacy of the compounds against the tuberculosis bacteria.
- (v) In view of the technical explanation provided, as above, in the written arguments of hearing by the applicant, the inventive step is hereby acknowledged. Complete specification has provided *Tuberculosis in vivo efficacy experiments* in Examples 6 and 7 and the results in Fig.1. The low MIC and high SI values (against the tuberculosis bacteria) for the compounds of the present invention are clear indication of better therapeutic efficacy that can be obtained against tuberculosis disease. Therefore, the objection of non-patentability under section 3(d) of the Patents Act is hereby turned down.
- (vi) Opponent has cited the Apex Court Order in respect of Patent Application No.1602/MAS/1998 in the written arguments. Such order clearly says in Para 189 -
“.....No material has been offered to indicate that the beta crystalline form of Imatinib Mesylate will produce an enhanced or superior efficacy (therapeutic) on molecular basis than what could be achieved with Imatinib free base in vivo animal model.”
 However, the present invention has provided sufficient material like experimental data of low MIC and high SI values against the tuberculosis bacteria for the novel *chloro* or *bromo* derivative compounds. Thereby, an enhanced or superior efficacy (therapeutic) against the tuberculosis bacteria has been successfully demonstrated.
 In view of the above, the Apex Court Order is not at all violated by the instant application.

- (vii) Hearing under Section 14 for this application also raised the major objections on non-patentability under Section 2(1)(j) – Inventive Step and Section 3(d) based on the same cited prior art document (WO726). In view of written submission and amendment of claims made by the applicant, the said objections are withdrawn based on the same technical grounds, as mentioned above. Other minor objection are also waved or complied with.

8. Opinion:-

- *Opposition filed u/s 25(1) has been rejected u/r 55(5)*
- *Amended claims 1-2, dated 06/12/2024, filed by the applicant along with written submission of opposition hearing u/s 25(1) are accepted.*
 - Application is granted for the amended claims 1-2, as above.

Dated, 8th Jan, 2025

(Soumen Ghosh)
Joint Controller of Patents & Designs