Pyrrolidine Derivative Case
Tokyo High Court
Case H23 (Gyo-Ke) No. 345, Judgment on 1 October 2002

Facts

Plaintiff filed a divisional patent application with priority date of 15 March 1990 (application number H06-229807) entitled "Drug composition wherein pyrrolidine derivative is active ingredient". The application was rejected on 3 September 1999. The appeal was dismissed by the Board of Appeal on 23 March 2002 (appeal number H11-19751). Plaintiff brought an action before Tokyo H.C. for seeking to cancel the appeal decision.

Invention claimed ("Invention") is a pharmaceutical composition, which contains a pyrrolidine derivative specified by chemical formulae ("Compound") serving as the active ingredient, for the treatment of diseases associated with altered motility and/or tone of smooth muscle ("Diseases").

The appeal accepted the rejection of the Invention, which held it to be a violation of the enablement requirement, on the grounds that the specification did not describe a use invention of the drug to a degree which a person skilled in the art could easily exploit because confirmation could not specifically be verified that the Compound promoted an effect in treatment of the targeted Diseases.

Issue

Does the specification of this application fulfill the enablement requirement?

Holding

Dismissal.

The specification describes that the Compound have selective activity for smooth muscle as muscarinic receptor antagonist, suggesting this selective activity provides a basis for the treatment of the Diseases. The specification also describes a method of measuring the selectivity by evaluating activities for various tissues where each activity is expressed by an indicative concentration of the test compound (pA_2 value). It is also suggested that the compounds of the examples have useful activity as selective muscarinic receptor antagonists. However, the specific concentrations as indices are not given in the examples.

In the field of medicine, it is difficult to recognize specific biological activity of a compound from the structure itself. Therefore, without concrete information on said indicative concentration, a person skilled in the art will be skeptical about whether the compounds of the examples actually have significant activities. In view of this, a person skilled in the art is not able to recognize the efficacy of the claimed medicinal

compositions, hence is not able to easily exploit the Invention for treatment of the Diseases. It cannot be said that the Invention is described in the specific description of the invention in the specification to a degree that a person skilled in the art is able to easily exploit.

Complement

Current JPO Examination Guidelines prescribe as follows the enablement requirement for medicinal inventions (Part VII, Chapter 3, 1.2.1 (2) (a)). "Generally, since it is difficult to predict whether the compounds etc. are actually usable for a specific medicinal use from only the structure and name of the compounds etc., it is still difficult for a person skilled in the art to predict whether the compound etc. are actually usable for the specific medicinal use when an effective dose, a mode of administration, and formulation method are described in the description as filed but the result of the pharmacological test is not described. Accordingly, in such a case, in principle, reasons for refusal are notified. It should be noted that even if the result of the pharmacological test is submitted afterward, the reasons for refusal are not overcome."