

(S)-PROPRANOLOL PREPARATION

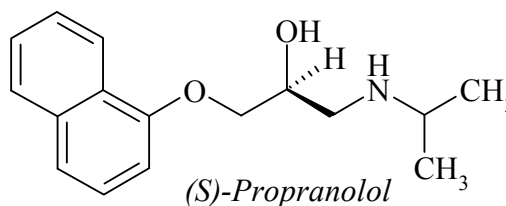
Plakhotna K.Yu., Bylov I.E.

The National University of Pharmacy, Kharkiv, Ukraine

plakhotnaya.katya@bk.ru

One of problems of the modern organic chemistry is synthesis of optically active compounds preserving their enantiomer individuality. Most of the new synthetic pharmaceuticals consist of two or more stereoisomers. However it is known that the pharmacological activity of racemic medications is caused by the activity of one enantiomer. This phenomenon results from the fact that only one of the enantiomers has the needed therapeutic effect, meanwhile the second antipode can cause undesirable side effects. Such distinctions are explained by the features of spatial arrangement and interaction with a receptor. The close interrelation between a configuration and pharmacological activity causes stereo-specificity of the medicinal preparations effect.

Thus Propranolol – 1-(isopropylamino)-3-(1-naphtyloxy)-2-propanol – as a non-selective β -adrenoblocker has a wide spectrum of application. It is proved that its enantiomers differ in physiological effect. (*S*)-isomer of Propranolol provides the desired effect on cardiovascular activity while (*R*)-isomer brings the side effects. That is why the replacement of racemic substance with an individual (*S*)-enantiomer is actual task for this case.



Nowadays several ways of preparation the (*S*)-propranolol are known.

- Biochemical division with the help of esterase producing microorganisms. One of examples to set is the selective hydrolysis of acetate of propranolol, which is catalyzed by pancreatic and bacterial lipases.

- Division of (*S,R*)-propranolol through formation of auxiliary diastereomer, which are received by processing of a racemic substratum dividing agent. One enantiomer can be sorted out from the formed mix via fractional crystallization.

- Also it was revealed that racemic propranolol in the form of its salts with hydrofluoric acid crystallizes as a racemic conglomerate. It allows to split the substance on enantiomer by an inclusion method.

Thus, we can obtain a chiral medicine – (*S*)-propranolol having better result in cardiovascular diseases treatment. The introduction of one-enantiomer preparations to clinical practice will allow using lower doses without any effectiveness loss, to increase safety and to decrease the risk level of side reactions within therapy.