ABSTRACT

INDUSTRIAL PROCESS FOR THE PREPARATION OF CARIPRAZINE

In the process of the present invention, cariprazine is prepared by converting (trans-4-amino-cyclohexyl)-acetic acid ethyl ester hydrochloride to trans-4-aminocyclohexyl) acetic acid or its hydrochloride by hydrolysis, from the obtained product with addition of dimethylcarbamoyl derivative as a suitable reagent (trans-4-{[(dimethylamino)carbonyl]amino}cyclohexyl) acetic acid is formed, then the obtained compound is linked to 1-{2,3-dichlorophenyl)~piperazine in the presence of carboxylic acid activating coupling reagent, and so 1,1-dimethyl-3-[trans-4-(2- oxo-2-(4-(2,3-dichlorophenyl)piperazin-1-yl-ethyl)cyclohexyl] urea is formed, which is converted to cariprazine borane adduct of formula (2) in the presence of reducing agent, and finally the product itself is eliminated directly or is obtained from its salt by a known method. The invention also relates to a group of intermediate compounds that are formed and/or used in the process according to the present invention.

(No figure)