

Title (en)

A NOVEL PROCESS FOR PREPARATION OF ARIPIRAZOLE AND ITS INTERMEDIATES

Title (de)

NEUES VERFAHREN ZUR HERSTELLUNG VON ARIPIRAZOL UND SEINEN ZWISCHENPRODUKTEN

Title (fr)

NOUVEAU PROCÉDÉ POUR LA PRÉPARATION D'ARIPIRAZOLE ET DE SES INTERMÉDIAIRES

Publication

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Application

**EP 06842751 A 20060912**

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Abstract (en)

[origin: WO2007094009A1] A process for the preparation of 7-hydroxy-3,4-dihydro carbostyryl (II) by intramolecular Fridel-Craft alkylation of N-(3-methoxyphenyl)-3-chloropropionamide (I) in which an equivalent of N-(3-methoxyphenyl)-3-chloropropionamide (I) is contacted with a Lewis acid (e.g. aluminium chloride) in dimethyl acetamide (DMA), at an elevated temperature of from about 120°C to about 160°C, is provided. The process produces 7-hydroxy-3,4- dihydro carbostyryl (II) in high yield and a high state of purity such that it may be used in subsequent .reaction towards the preparation of aripiprazole (IV). Thus 7-hydroxy-3,4- dihydro carbostyryl (II) was treated with I-bromo-4-chlorobutane under phase transfer catalyst (PTC) conditions using solvents like acetone or n-butanol at temperature ranging 25°C to 45°C to afford 7-(4-chlorobutoxy)-3,4-dihydro carbostyryl (III). The PTC conditions described in this patent afford 7-(4-chlorobutoxy)-3,4-dihydro carbostyryl (III) in high purity and high yield with the corresponding dimmer formation is considerably low as compared with the other literature methods of preparing 7-(4-chlorobutoxy)-3,4- dihydro carbostyryl (III). Compound (III) was treated with I-(2,3-dichlorophenyl)piperazine, at temperature ranging from 50°C to 100°C, and sodium iodide, potassium carbonate, dimethyl formamide (DMF) as a solvent to afford aripiprazole in high purity and high yield.

IPC 8 full level

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