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(57) Abstract :
A METHOD OF SYNTHESIS OF GEFITINIB DRUG AND ONE OR MORE INTERMEDIATES ABSTRACT A method (200) of synthesis of gefitinib drug and one or more intermediates is provided. The method (200) includes condensation (202) of 5 3-morpholinopropyl chloride in the presence of potassium carbonate base in 1,4-dioxane solvent at reflux temperature to form methyl 4-methoxy-3-(3-morpholinopropoxy) benzoate. The method includes nitration (204) of 4-methoxy-3-(3-morpholinopropoxy) benzoate an intermediate under controlled conditions with nitric acid for about four hours to form 10 methyl-4-methoxy-5-(3-morpholinopropoxy)-2-nitrobenzoate. The method includes pairing (206) of hypodiboric acid as the reducing agent and 4, 4'-bipyridine as the organocatalyst to facilitate a reduction process. The method includes stirring (208) a mixture of hypodiboric acid and 4, 4'-bipyridine to form methyl 2-amino-4-methoxy- 5-(3-morpholinopropoxy) benzoate. The method includes cyclization (210) at 70°C for 15 7 hours by introducing formamidine acetate in methanol to form 7-methoxy-6-(3-morpholinopropoxy) quinazolin-4(3H)-one, an intermediate. The method includes chlorination (212) of the intermediate with thionyl chloride and condensation with 3-chloro-4-fluoro aniline to form gefitinib. 20 [FIG. 2]

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