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(54) Title: SYNTHESIS OF (4-FLUORO-3-PIPERIDIN-4-YL-BENZYL)-CARBAMIC ACID TERT-BUTYL ESTER AND INTERMEDIATES THEREOF

(57) Abstract: The present invention is an improved method for the preparation of 4-fluoro-3-piperidin-4-yl-benzyl)-carbamic acid tert-butyl ester, compound of formula I. The invention is directed to a method of synthesis for the compound of formula I in three steps, comprising formation of 5-((tert-butoxycarbonyl)aminomethyl)-2-fluorobenzeneboronic acid (compound 11), reaction of compound 11 under Suzuki coupling conditions to yield (4-fluoro-2-pyridin-4-yl-benzyl)-carbamic acid tert-butyl ester and selective hydrogenation of the aforementioned product under hydrogenation conditions yields compound I. The invention is also directed to the intermediates 5-((tert-butoxycarbonyl)amino-methyl)-2-fluorobenzeneboronic acid (compound 11), and (4-fluoro-2-pyridin-4-yl-benzyl)-carbamic acid tert-butyl ester (compound 13).

