

Title (en)

METHOD FOR THE PRODUCTION OF 1-AMINO-3-ARYL-URACILS

Title (de)

VERFAHREN ZUR HERSTELLUNG VON 1-AMINO-3-ARYL-URACILEN

Title (fr)

PROCEDE POUR LA PRODUCTION DE 1-AMINO-3-ARYL-URACILES

Publication

**EP 1257540 A1 20021120 (DE)**

Application

**EP 01902351 A 20010125**

Priority

- DE 10005284 A 20000207
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Abstract (en)

[origin: DE10005284A1] The invention concerns a novel method for the production of 1-amino-3-aryl-uracil of formula (I), wherein R<1> represents optionally substituted alkyl; R<2> represents hydrogen, nitro, cyano, halogen or optionally substituted alkyl; R<3> represents hydrogen, nitro, cyano or halogen; R<4> represents hydrogen, nitro, cyano, carbamoyl, thiocarbamoyl, hydroxy, halogen or optionally substituted alkyl, alkoxy or benzyloxy and R<5> represents hydrogen, hydroxy, mercapto, amino, hydroxyamino, nitro, cyano, carboxy, carbamoyl, Thiocarbamoyl, halogen or one of the following groupings: -R<6>, -Q-R<6>, -NH-R<6>, -NH-O-R<6>, -NH-SO2-R6, -N(SO2R<6>)2, -CQ<1>-R<7>, -CQ<1>-Q<2>-R<6>, -CQ<1>-NH-R<6>, -Q<2>-CQ<1>-R<6>, -Q<2>-CQ<1>-Q<2>-R<6>, -NH-CQ<1>-R<6>, -N(SO<2>-R<6>)-(CQ<1>-R<6>), -NH-CQ<1>-Q<2>-R<6>, -Q<2>-CQ<1>-NH-R<6>, wherein Q represents O, S, SO or SO2, Q<1> and Q<2> independently represent O or S and R represents optionally substituted alkyl, alkenyl, alkinyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycl or heterocyclalkyl.

[origin: DE10005284A1] Preparation of 1-amino-3-phenyl-uracils (II) involves reacting 3-phenyl-uracils (II) with 2-aminooxysulfonyl-1,3,5-trimethylbenzene (III), optionally in presence of a reaction auxiliary and/or a diluent, at -50 to +80 [deg] C. Preparation of 1-amino-3-phenyl-uracils of formula (I) involves reacting 3-phenyl-uracils of formula (II) with 2-aminooxysulfonyl-1,3,5-trimethylbenzene (O-mesitylenesulfonyl-hydro xylamine) of formula (III), optionally in presence of a reaction auxiliary and/or a diluent, at -50 to +80 [deg] C: [Image] R1optionally substituted alkyl; R2H, NO2, CN, halo or optionally substituted alkyl; R3H, NO2, CN or halo; R4H, NO2, CN, CONH2, CSNH2, OH or halo; or alkyl, alkoxy or benzyloxy (all optionally substituted); R5H, OH, SH, NH2, NHOH, NO2, CN, COOH, CONH2, CSNH2, halo, R6, OR6, NHR6, NHOR6, NSO2R6, N(SO2R6)2, C(Q1)R7, C(Q1)Q2R6, C(Q1)NHR6, Q2C(Q1)R6, Q2C(Q1)Q2R6, NHC(Q1)R6, N(SO2R6)C(Q1)R6, NHC(Q1)Q2R6 or Q2C(Q1)NHR6; Q : O, S, SO or SO2; Q1, Q2O or S; and R6alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycl or heterocyclalkyl. - ACTIVITY : None given. - MECHANISM OF ACTION : None given.

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