

Pyrimidine derivatives R 0510

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Synthesis and Suzuki—Miyaura Reactions of 5-Halo-3,4-dihydropyrimidin-

-2(1H)-ones. — Halo derivatives of type (VI) and (XI) are prepared via the corresponding carboxylic acids following a treatment with NaI or NaBr under conditions A). They are used as starting materials for the preparation of various pharmacologically interesting derivatives. — (ZYCH*, A. J.; WANG, H.-J.; SAKWA, S. A.; Tetrahedron Lett. 51 (2010) 39, 5103-5105, http://dx.doi.org/10.1016/j.tetlet.2010.07.093; Dep. Med. Chem., Albany Mol. Res., Inc., Albany, NY 12212, USA; Eng.) — Mais

$$IV \xrightarrow{A|C|_3, CH_2Cl_2} 0 \xrightarrow{H_2N NH_2 (II), Ph-CHO (III)} 0 \xrightarrow{H_2N NH_2 ($$

A): Oxone, Na₂CO₃, H₂O/MeOH (1:1), 25°C, [20 min]

B): aq. Na₂CO₃, PdCl₂(dppf) (cat.), dioxane, 70°C

$$VIa \xrightarrow{\text{Ar-B(OH)}_2 \text{ (IX), KF, THF, } 25^{\circ}\text{C, } [18 \text{ h}]} \begin{array}{c} \text{Ph} & \text{a Ar: -Ph} & 92\% \\ \text{5 mol\% Pd}_2(\text{dba})_3/5 \text{ mol\% Pd}(\text{P(tBu)}_3)_2 \text{ (cat.)} \end{array} \begin{array}{c} \text{Ph} & \text{a Ar: -Ph} & 92\% \\ \text{HN} & \text{b Ar: } \\ \text{N} & \text{b Ar: } \\ \text{N} & \text{c Ar: } \\ \text{O-Me} & 86\% \end{array}$$