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PYRIMIDIN-5-CARBALDEHYDES AS INTERMEDIATES IN THE SYNTHESIS OF NON-COMMON FUSED PYRIMIDINIC SYSTEMS

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It's well known the biological importance of pyrimidine nucleus in essential natural occurring products such nucleic acids; showing the related compounds a great diversity of pharmaceutical properties, i.e. antibiotic, antitumoral or antifungal agents. Some mimic pteridine derivatives have been prepared by different pathways using 4-Aminopyrimidine-5-carbaldehydes (I) as starting materials. The related compounds are 5,6-dihydropyrimido[4,5-d] pyrmidines (III), 5,6,7,8-tetrahydropyrimido[4,5-d] pyrmidines (IV) and pyrimido [4,5-e] diazepines (V) all obtained via 4-amino-(5-aminomethyl)pyrimidine intermediates (II). In addition, some 7-arylpyrido [2, 3-d] pyrimidines (VI) have been prepared by Friedländer type synthesis starting from the same carbaldehydes (II). The dihydro derivatives (III) were prepared means of a final cyclocondensation carried out with orthoesters, catalysed by acid and assisted by microwaves irradiation under solvent free conditions. The final cyclocondensation with carbonyl compounds forming the tetrahydro derivatives (IV) was done under mild conditions, in which stereochemical induction was carried out on the building of this skeleton, and stereochemistry assignments corroborated by theoretical calculations. Pyrimido [4,5-e] [1,4] diazepines (V) were obtained by a two-step acylation/cyclization sequence from key intermediates 6-amino-5-(amino) methylpyrimidines (II) have been carried out. The 7-arylpyrido [2, 3-d] pyrimidines derivatives (VI) have been synthesized by a Friedländer type reaction with acetophenones under solvent-free conditions and in the presence of BF_3-Et_2O. All these methodologies are straightforward and inspired in Diversity-Oriented Synthesis (DOS). The isolation of the desired products are simple and in good yields.

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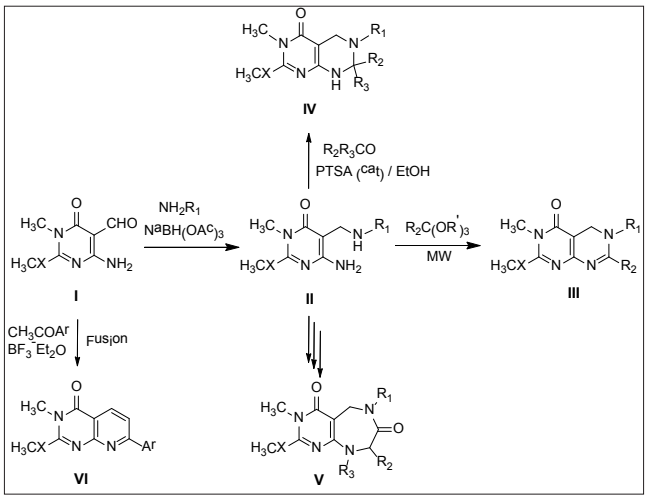

Figure: Diversity Oriented Synthesis of non-common fused pyrimidinic systems