

[A0002]

Pseudo-Michael Reaction of 2-Aminoimidazolines: Synthesis of Imidazo[1,2-a]pyrimidine-carboxylates

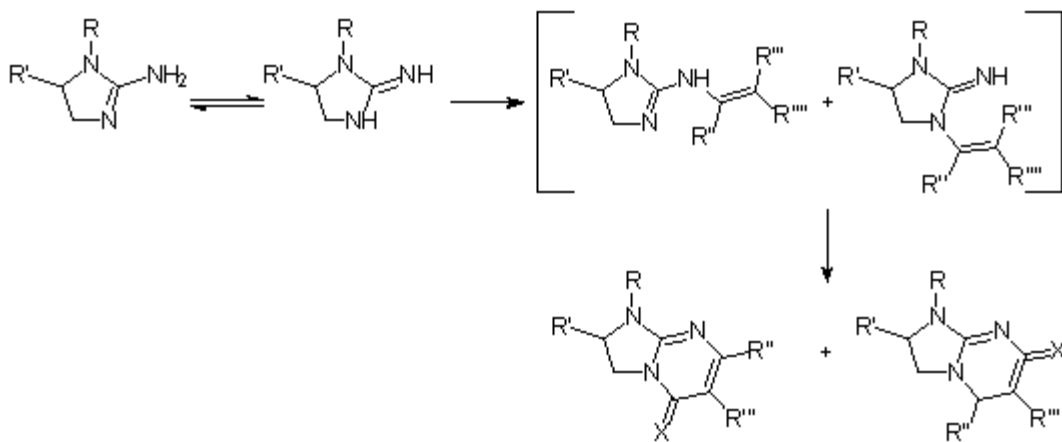
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Pseudo-Michael reaction of 2-aminoimidazolines bearing substituents in position 1 (aryl or alkyl) and 5 (hydrogen or aryl - respectively) can lead to isomeric enamines due to possibility of tautomeric shift of C=N double bond in and out of imidazoline ring. From the literature it is well documented that reaction of α -aminoazoheterocycles and Michael reagents like **DEEM** (diethyl ethoxymethylenemalonate), **DMAD** (dimethyl acethylenedicarboxylate) or **EECA** (ethyl ethoxymethylenecyanoacetate) give chain enamines on *egso*-N nitrogen atom. In many cases Michael reaction is immediately followed by acylation resulting in formation of new fused 5- or 6-membered ring. It has been found that 1-aryl-2-aminoimidazolines in reaction with mentioned above reagents undergo both steps of reaction easily and yield mixture of isomeric 1-aryl--2,3-dihydroimidazo[1,2-a]pyrimidine-carboxylates with **oxo**- or **imino**- groups attached respectively to 5(1H) or 7(1H) positions. It has been also found that 7(1H)-isomers are the main and in few cases sole product of reaction which suggests that reaction starts on *endo*-N nitrogen atom.



R = alkyl, R' = aryl; R = aryl, R' = H;

R'' = H, R''' = R'''' = COOEt, X = O;

R'' = H, R''' = COOEt, R'''' = CN, X = NH;

R'' = R''' = COOEt, R'''' = H, X = O;

This course of reaction was supported by spectral and crystallographic data.

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