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Synthesis of Methyl 1-anilino cyclohexane carboxylate: intermediate important in the production of carfentanil

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Abstract:
In this process synthesis of Methyl 1-anilino cyclohexane carboxylate is described. These intermediate important can be utilized in the production of commercial synthetic analgesics carfentanil. In summary, we have developed an efficient and original procedure for the synthesis of carfentanil and remifentanil using the trichlorocarbinols reaction. This strategy in mild conditions is suitable for the synthesis of novel structurally varied l-opioid agonists and should prove valuable in library synthesis. As well, being straightforward, rapid and efficient it could be used for the synthesis of radiolabeled fluoroalkyl derivatives of carfentanil or remifentanil.

Keywords: carfentanil, Methyl 1-anilino cyclohexane carboxylate

Introduction

Carfentanil or carfentanyl is an analogue of the popular synthetic opioid analgesic fentanyl, and is one of the most potent opioids known [1-2]. Carfentanil was first synthesized in 1974 by a team of chemists at Janssen Pharmaceutica which included Paul Janssen [3]. It is marketed under the trade name Wildnil as a general anaesthetic agent for large animals such as rhinoceros or elephents [4].The standard synthesis of these drugs consists of eight steps among which is the preparation of a key α-aminonitrile via Strecker reaction [5]. This α-substituted nitrile must be hydrated and the obtained amide hydrolyzed, the aniline acylated and finally the acylaminoacid must be methylated. This reaction sequence is not very powerful because α-substituted nitriles are known to be resistant to hydration [6](Scheme 1).