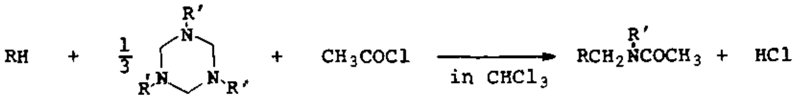
HETEROCYCLES, Vol 16, No 1, 1981

NEW N-ALKYLAMIDOMETHYLATION OF ELECTRON-RICH CARBON IN THE HEXAHYDRO-1,3,5-TRIAZINE-ACETYL CHLORIDE SYSTEM

Kiyoshi Ikeda, Toshiaki Morimoto, Yoshiyasu Terao and Minoru Sekiya
Shizuoka College of Pharmacy
2-2-1 Oshika, Shizuoka-shi, Japan

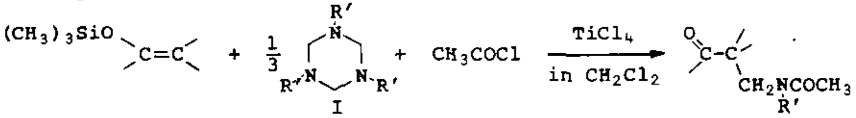
1,3,5-Trialkylhexahydro-1,3,5-triazine (I), which can be easily prepared from primary amine and formaldehyde, is a versatile, synthetic tool, capable of reacting in the same way as N-alkylmethyleneimine. In fact, its reaction with acetyl chloride can afford N-chloromethylamide.

Using 1,3,5-trialkylhexahydro-1,3,5-triazine-acetyl chloride system, a new N-alkylamidomethylation at electron-rich carbons has been developed. This reaction worked well for not only aromatics such as phenols, alkoxybenzenes and aromatic amines, but also olefines such as stylene and vinyl ethers.



R = aromatic or olefinic residue

Introduction of N-alkylamidomethyl unit at α to carbonyl was also realized. Silyl enol ethers of various carbonyl compounds reacted easily with I in the presence of acetyl chloride and titanium tetrachloride to afford α-amidomethylated ketones or aldehydes.



The new reaction is certainly useful for introduction of N-alkylamidomethyl unit at such electron-rich carbons, which is not otherwise easily accesible.