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SHORT COMMUNICATION

REARRANGEMENT OF SUBSTITUTED PROPIONANILIDES

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ABSTRACT

The rearrangements of substituted anilides of propionic acid to amino ketones in a solvent medium were studied, employing bismuth chloride as a catalyst. Rearranged compounds were then characterized by qualitative tests and spectral data.

We have carried out the present studies in order to develop a simple, one spot synthesis of amino ketones which are pharmacologically important for various pharmaceutical preparations (Goodman and Gilmanss, 1990). Our present studies are based on the already published work on this type of rearrangements (Basha *et al.,* 1976), although that work revealed the formation of undesired products such as deacylated and dimeric products. We are succeeded in carrying out the migration of acyl group from nitrogen to ring carbon through low temperature bismuth chloride catalysed reaction of propionanilides in the presence of solvent (toluene) in high yields (Table 1).

RESULTS AND DISCUSSION

Propionanilides were prepared according to the standard procedure (Vogel, 1980). In a typical reaction 10 millimolar quantities each of anilide and catalyst in 30 ml of toluene were refluxed with constant stirring at 100^{o}C. The rearranged product was obtained after removal of solvent in vacuuo and extraction of basified reaction mixture with ethyl acetate. The crystals, which were obtained after removal of ethyl acetate were recrystallised and purity was checked.

All rearranged compounds afforded positive diazotisation test and carbonyl group test. Rearranged compounds showed diagnostic peak in IR for primary aromatic amine while mass spectrum indicates the fragmentation pattern common to amino compounds.

REFERENCES

Basha A., Ahmad S.S. and Farooqui T.A. (1976). *Tetrahedron Letter,* 36: 3217-20.

Goodman and Gilmanss (1990). "The Pharmacological Basis of Therapeutics." MacMillan Int. Edition.

"Text Book of Practical Organic Chemistry". (1980). Vogel A.I. Longmans, Green & Co.

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Table 1

S.No.	Substrate	Product	Time	Yield	M.P.	I.R.	Mass
1.	Propionanilide	2-aminopropiophenone	3 hrs	65%	98°C	3350-3310, 3010, 1600	149, 120, 93, 77
2.	Propiontoluidide(o-)	2-amino-3-methyl propiohenone	3 hrs	65%	80°C	3320-45, 3010, 1650, 1350	163, 107, 99, 76
3.	p-bromopropionanilide	2-amino-5-bromopropiophenone	2½hrs	89%	148°C	3340-50, 1680, 1550	227, 171, 92, 76
4.	p-methoxypropion-anilide	2-amino-5-methoxy propiophenone	2½hrs	78%	70°C	3360-40, 1690	179, 123, 91, 75
5.	2, 4-dimethylpropio-nanilide	2-amino-3, 5-dimethylpropiophenone	2 hrs	73%	123	3360-50, 1660, 1540	177, 121, 106
6.	O-ethoxypropionanilide	2-amino-3-ethoxy propiophenone	3 hrs	80%	92°C	3320-30, 1690	193, 137, 109
7.	Propion-1-naphthylide	1-amino-2-propina-phthione	5 hrs	40%	115°C	3310-3300, 3000, 1650	199, 143, 115, 65