Amidoalkylation of Aromatic Compounds with 5-Butoxyhydantoin

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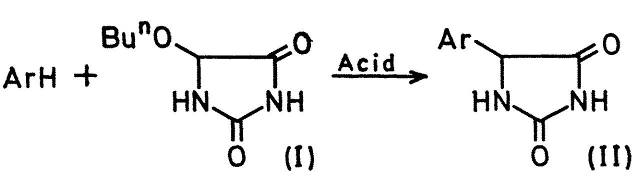
BROMINATION of hydantoin in glacial acetic acid and subsequent treatment of the solution with n-butanol afforded 5-butoxyhydantoin (I), m.p. 105—106°, in 48% yield. The butoxyhydantoin was found to amidoalkylate[1] aromatic compounds in the presence of an acid catalyst to give 5-substituted hydantoins (II) (see Table).

The alkylations were carried out in concentrated sulphuric acid or in boiling chloroform solution in the presence of boron trifluoride–ether complex as catalyst. In the cases of toluene and xylene the reagent was used as solvent.

The assignment of structure of the 5-arylhydantoins is based on their i.r. and n.m.r. spectra and satisfactory analyses. 5-Phenyl-,[2] 5-*p*-tolyl-,[3] and 5-α-naphthyl-hydantoin[3] are described in the literature. In the case of the monosubstituted benzene derivatives the crude products contained a mixture of *ortho*- and *para*-isomers. The *para*-isomer, which predominated, was obtained on crystallization.

3-Benzyl-5-methoxyhydantoin (oil) was prepared by bromination of 3-benzylhydantoin and subsequent treatment with methanol. It was found to react with benzene and toluene (procedure c) to give 3-benzyl-5-phenylhydantoin[4] (49%) and a mixture of 3-benzyl-5-*ortho*- and *para*-tolylhydantoin (87%). Three crystallizations gave the pure *para*-isomer (m.p. 111°) in 38% yield.



TABLE

Aromatic compound (ArH)	Procedure	M.p.	Yield (%)
Benzene	a	179—180°	95
Toluene	c	156—158	53
Chlorobenzene ..	a	116—117	93
Acetanilide	a	312—314	51
Anisole	b	157—159	63
p-Xylene	c	186—188	70
Naphthalene	b	223—225	67
Phenanthrene ..	b	214—217	74

[a] Conc. sulphuric acid, room temperature for 24 hr.

[b] Refluxing chloroform for 20 hr. with boron trifluoride–ether complex as catalyst.

[c] The reagent was used as solvent with boron trifluoride–ether complex as catalyst.

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