

A GENERAL METHOD FOR THE SYNTHESIS OF 1-ALKYL-, 1-ARALKYL- AND 1-ARYL-5,5-
-DIPHENYLHYDANTOINS AND -GLYCOCYAMIDINES [1]

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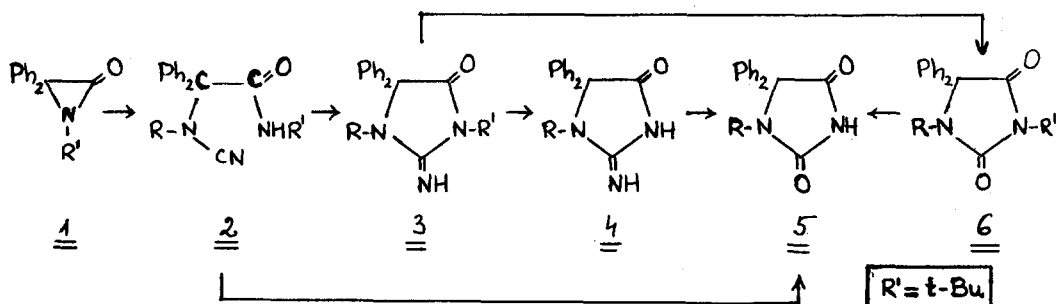
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Selective direct alkylation at N/1/ of N-unsubstituted hydantoin is, apart from a few special cases, impossible [3] and alkylations of glycocyamidines at N/1/ by chemical means have, apparently, never been achieved [4]. A few 1-alkylhydantoin have been prepared by indirect alkylations [2,3,5-7]; and a limited number of 1-alkyl- [3,8] and 1-arylhydantoin [9,10] as well as of 1-alkyl- [4] and 1-arylglycocyamidines [10] have been obtained by ring syntheses. Especially the literature on ring syntheses of 1-alkyl-5,5-diaryl- and 1,5,5-triarylhydantoin and -glycocyamidines is very scarce.

We wish to describe an apparently general method for the syntheses of 1-alkyl, 1-aralkyl and 1-aryl derivatives of 5,5-diphenylhydantoin /2/ and -glycocyamidine /4/.

N-Cyanoamines R-NHCN /10-50% excess/ were allowed to react with 1-t-butyl/-3,3-diphenylaziridinone /1/ [11] in anhydrous benzene at r.t. to furnish the amides 2 in 48-73% yield. Cyclization of the latter to give the glycocyamidines 3 /90-98% yield/ was performed by refluxing with ethanolic triethylamine. De-t-butylation was effected by refluxing with 20% hydrochloric acid and furnished the desired glycocyamidines 4 in 70-90% yield. Deamination of the glycocyamidines 3 with sodium nitrite in acetic acid furnished the hydantoin 5 in 53-96% yield. The latter were de-t-butylated by refluxing with 48% hydrobromic acid - acetic acid mixtures to give 73-93% of the hydantoin 5. Alternatively, 5, R=Et and PhCH₂ were obtained in about 70% yield by refluxing the amides 2 with 20% hydrochloric acid.

All intermediates and final products were characterized by microanalyses and IR spectra and, wherever possible, by comparison with authentic samples.



Melting points [$^{\circ}\text{C}$] of compounds 2-6

R	<u>2</u>	<u>3</u>	<u>4</u>	<u>5</u>	<u>6</u>
Me		127-8	> 360	223-4	97-8
Et	99-100	157-8	346-8	187-8	115-6
t-Bu	125-26	117-8			140-1
PhCH ₂	146-47	158-9	302-4	212-4	128-9
Ph	145-46	153-4		198-9	159-60
p-MeC ₆ H ₄	156-57	142-3	268-9	205-6	157-8
m-ClC ₆ H ₄	135-36	110-1	314-6	73-4	101-2
p-MeOC ₆ H ₄	153-54	134-5			145-6

References and Notes

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