New Method for Radioiodinating Organic Compounds *via* Organoborane Reactions

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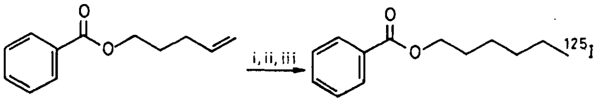
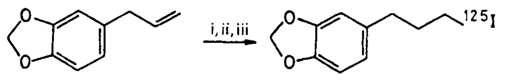
Summary The use of organoboranes in the syntheses of radioiodine labelled materials offers a convenient and mild alternative to traditional methods; iodine-125 labelled materials have been synthesized in good radiochemical yields, and the method is suitable for no-carrier-added isotope incorporation.

THE role of organoboranes in organic synthesis has expanded immensely since Brown first reported their synthesis *via* the hydroboration reaction.[1,2] Their synthetic utility is due, in part, to the fact that the boron atom is readily displaced by a variety of elements. Surprisingly, organoboranes were not utilized for the incorporation of radioisotopes until 1978.[3,4]



(1) (1)



(2) (2)

$$\mathrm{CH_2{=}CH[CH_2]_8CO_2H} \xrightarrow{\text{i,ii,iii}} {}^{125}\mathrm{I{-}CH_2CH_2[CH_2]_8CO_2H} \qquad (3)$$

(3)

i, dicyclohexylborane; ii, Na^{125}I (aqueous); iii, chloramine-T (MeOH)

TABLE. The synthesis of ^{125}I-labelled compounds

Product[a]	% Isolated yield (tracer)[b]	% Isolated yield[c]
(1)	94	54
(2)	78	60
(3)	94	57

[a] Products exhibited physical and spectral characteristics in accord with authentic samples.[5] [b] Na^{125}I (New England Nuclear) was diluted to 3 mCi/mol prior to use. Reactions were carried out at 20 °C using 0·3 M organoborane solutions to which 1 equiv. of Na^{125}I was added followed by 2 equiv. of chloramine-T. Product isolated by thick layer chromatography. [c] Na^{125}I was used as received (17 Ci/mg). Reactions were run on a μmol scale and products isolated *via* thin layer chromatography.

We report here that the reaction of organoboranes with sodium [^{125}I]iodide in the presence of chloramine-T is a convenient method for labelling organic molecules on the tracer level and on the no-carrier-added level.[5] The reaction is successful in the presence of relatively reactive functional groups. Representative examples are presented in equations (1)—(3) and the results are summarized in the Table. The reactions are complete in <15 min.

We thank the National Institutes of Health for support of this research.

(*Received, 8th July* 1981; *Com.* 793.)

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[2] H. C. Brown, 'Boranes in Organic Synthesis,' Cornell University Press, Ithaca, New York, 1973.

[3] G. W. Kabalka, 'Radionuclide Incorporation via Organoboranes,' in 'Aspects of Mechanism and Organometallic Chemistry,' ed. J. H. Brewster, Plenum Press, New York, 1978, pp. 199–205.

[4] G. W. Kabalka, E. E. Gooch, C. J. Collins, and V. F. Raaen, *J. Chem. Soc., Chem. Commun.*, 1979, 607.

[5] G. W. Kabalka and E. E. Gooch, *J. Org. Chem.*, 1981, **46**, 2582.