Preparation of 1,3,5-Trisubstituted Pyrazoles, Methyltriphenyl-5-phenylpyridazin-3-ylphosphonium Bromide, and 4-Substituted 2-Methylquinolines from Triphenyl(prop-2-ynyl)phosphonium Bromide

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Summary Triphenyl(prop-2-ynyl)phosphonium bromide is a useful reagent for the preparation of the heterocycles listed in the title.

The reactions of triphenyl(prop-2-ynyl)phosphonium bromide (1) with N-substituted iminotriphenylphosphoranes (2)gave the N-substituted iminopropylphosphonium bromides $(3),\dagger$ in essentially quantitative yields.

The synthetic utility of the ylid salts (3) was demonstrated by preparing the pyridazinylphosphonium bromide (4) (24% yield) by an intramolecular Wittig reaction of (3d). Compound (4) is an unsymmetrical pyridazine, a structural type which is relatively difficult to obtain.¹

Further utility of (3) was shown by preparing the pyrazoles (7a) and (7b). Hydrolysis of (3d) with aqueous 10%Na₂CO₃ gave the stabilized ylid (5). Treatment of (5) with *p*-chlorobenzaldehyde, gave the phenacylpyrazole (7a) (63%). Reaction of (3d) with ethanolic sodium ethoxide followed by the addition of *p*-chlorobenzaldehyde (2 equiv.) gave the phenacylpyrazole (7b) (53%).

Treatment of the propynyl salt (1) with *o*-aminobenzophenone (8a) and methyl anthranilate (8b) gave the corresponding adducts (9a) (95%) and (9b) (92%). The 4-phenyl- (10a) (64%)² and 4-methoxy-2-methylquinoline³ (10b) (53%) were produced by reaction of corresponding salts (9a) and (9b) withNaH in refluxing MeCN overnight.

These results all show the synthetic utility of the prop-2-ynylphosphonium bromide (1) as a reagent for the preparation of a variety of heterocycles. Further synthetic uses are being explored.

⁺ All new compounds give satisfactory elemental analyses and spectral data.

¹ M. Tisler and B. Stanovnik, Adv. Heterocyclic Chem., 1968, 9, 211.

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