THE TETRAHYDROISOQUINOLINE OXIDATIVE REARRANGEMENT

Hiroshi HARA, Hiroshi SHINOKI, Osamu HOSHINO,
and Bunsuke Umezawa
Faculty of Pharmaceutical Sciences, Science University of Tokyo,
12, Funagawara-machi, Ichigaya, Shinjuku-ku, Tokyo, 162, JAPAN

Lead tetraacetate (LTA) oxidation of 7-hydroxy-6-methoxy-1,2,3,4-tetrahydroisoquinoline (1) in acetic acid (AcOH) gives p-quinol acetate (2). On the other hand, oxidation in AcOH of 6-hydroxy-7-methoxy congener (3) having no substituent at 1-position afforded 5-acetoxy-8-hydroxy-7-methoxy derivative (4), through a novel rearrangement. 8-Hydroxy-7-methoxy- (5) and 5-hydroxy-6-methoxy- (6) tetrahydroisoquinoline were oxidized in AcOH to yield 5-acetoxy-8-hydroxy- (4) and 8-acetoxy-5-hydroxy- (7) derivative, respectively. AcOH treatment of o-quinol acetate (8, 9, 10, or 11), obtained from LTA *oxidation of the* corresponding phenol (1, 3, 5, or 6) in methylene chloride at 0°, gave the same product (2, 4, 4, or 7) as above. It was revealed that oxidation of the tetrahydroisoquinoline having a guaiacol moiety formed initially an o-quinol acetate, which was transformed to the final product via a p-quinol acetate as shown on the scheme.