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Synthesis of 2-Methyl-5,8-dimethoxy-6,7-benzochromone

Synthesis of 2-methyl-5,8-dimethoxy-6,7-benzochromone (\mathbb{II}), in which the furan ring of khellin (\mathbb{V} I) was replaced by a benzenoid ring, was attempted in several ways^{1,2)} but has not been successful.

The authors tried hydroxylation of 2-methyl-8-hydroxy-6,7-benzochromone (I)¹⁾ by means of potassium persulfate, and could obtain 2-methyl-5,8-dihydroxy-6,7-benzochromone (II), m.p. 250° (decomp.) (red prisms, *Anal.* Calcd. for $C_{14}H_{10}O_4$: C, 69.42; H, 4.16. Found: C, 69.24; H, 4.21), though in poor yield (ca. 10%).

The product (II) could be converted to the dimethyl ether, 2-methyl-5,8-dimethoxy-6,7-benzochromone (III), m.p. 146° , by etherial solution of diazomethane in the presence of methanol (colorless needles, *Anal.* Calcd. for $C_{16}H_{14}O_4$: C, 71.10; H, 5.22. Found: C, 70.89; H, 5.16).

Heating the product (II) with hydrochloric acid on water bath gave yellow needles (V), m.p. 224° , which was proved to be 2-methyl-5,6-dihydroxy-7,8-benzochromone reported previously.²⁾ Probably such a rearrangement might proceed through cleavage of γ -pyron ring to the intermediate 1,3,4-trihydroxy-2-acetacetylnaphthalene (IV), followed by cyclization of the diketone to the new chromone (V).

This fact demonstrated that the hydroxyl group was introduced undoubtedly in 5-position of benzochromone.

The further details will be reported later.

Shizuoka College of PharmacySeigo Fukushima (福島清吾)Oshika, Shizuoka.Akira Ueno (上野 明)April 5, 1962.Hisayo Maekawa (前川久代)

1) S. Wawozoneck et al.: J. Org. Chem. 17, 1419 (1952).

²⁾ K. Yamaguchi, S. Fukushima, H. Yamada: This Bulletin, 8, 1028 (1960).