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Synthesis of Vertilecanin C and Two New Derivatives of Vertilecanin A via Nicotinic Acid

of


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**Abstract:** Vertilecanin C and 2 new phenyl-substituted derivatives of vertilecanin A were synthesized. Lithiation of 5-benzoylpicolinamide with BuLi at -78 °C followed by treatment with methyl bromoacetate gave vertilecanin C [methyl 2-(3-benzoylpicolinamido)acetate], a natural product. Vertilecanin A type phenopicolinic acid derivatives were synthesized starting from nicotinic acid in 4 steps. Chlorination of nicotinic acid with SOCl<sub>2</sub> followed by treatment with anisole in the presence of AlCl<sub>3</sub> gave (4-methoxyphenyl)(pyridin-3-yl)methanone. The Minisci reaction of the ketone afforded 5-(4-methoxybenzoyl)picolinamide. TiCl<sub>4</sub>-catalyzed acidic hydrolysis of the picolinamide gave 5-(4-methoxybenzoyl) picolinic acid, from which 5-(hydroxy(4-methoxyphenyl) methyl)picolinic acid was obtained by selective reduction with NaBH<sub>4</sub>. The same reaction sequence performed with toluene instead of anisole afforded 5-(hydroxy(p-tolyl)methyl)picolinic acid.

**Key Words:** Vertilecanin A, Vertilecanin C, diarylketones, Nicotinic acid

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