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Coumarin: Chemical and Pharmacological Profile

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ABSTRACT

Coumarins are classified as a member of the benzopyrone family. all of which consist of a benzene ring joined to a pyrone ring. The benzopyrones can be subdivided into the benzo- α -pyrones to which the coumarins belong and the benzo- γ -pyrones, of which the flavonoids are principal members. Umbelliferone, esculetin and scopoletin are the most widespread coumarins in nature. During the synthesis of these compounds ortho-hydroxylation should respectively take place on p-coumaric, caffeic and ferulic acid. The coumarins are of great interest due to their pharmacological properties. In particular, their physiological, bacteriostatic and anti-tumor activity makes these compounds attractive backbone derivatisation and screening as novel therapeutic agents.

Keywords: coumarin, benzopyrone, flavonoids, anti-tumor activity, bacteriostatic

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INTRODUCTION

Coumarins owe their class name to 'Coumarou', the vernacular name of the tonka bean (*Dipteryx odorata* Willd, Fabaceae), from which coumarin, it was isolated in 1820 (Bruneton, 1999). There are four main coumarin sub-types: the simple coumarins, furanocoumarins, pyranocoumarins and the pyrone-substituted coumarins. The simple coumarins (e.g. coumarin, 7-hydroxycoumarin and 6,7-dihydroxycoumarin), are the hydroxylated, alkoxyated and alkylated derivatives of the parent compound, coumarin, along with their glycosides. Furanocoumarins consist of a five-membered furan ring attached to the coumarin nucleus, divided into linear or angular types with substitution at one or both of the remaining benzoid positions (Ojala T., 2001). Pyranocoumarin members are analogous to the furanocoumarins, but contain a six-membered ring. Coumarins substituted in the pyrone ring include 4-hydroxycoumarin (Keating *et al*, 1997). The synthetic compound, warfarin, belongs to this coumarin subtype. By virtue of its structural simplicity coumarin has been assigned as head of the benzo- α -pyrone, although it is generally accepted that 7-hydroxycoumarin be regarded as the parent compound of the more complex coumarins (Murray *et al*, 1982). Genistein is an isoflavone and belongs to the benzo- γ -pyrones. It is a natural component of soy and has been intensively investigated as a chemopreventive agent, mainly against hormonally regulated breast and prostate cancers in animal models (Constantinou *et al*, 1990, Finn *et al*, 2002).

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