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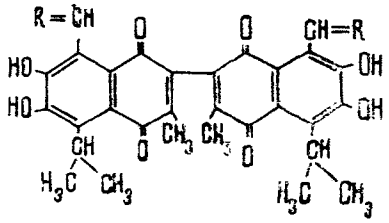
A STUDY OF GOSSYPOLONE AND SOME OF ITS DERIVATIVES

R. Z. Paizieva, N. I. Baram, M. G. Sagdieva, and A. I. Ismailov

UDC 547.567.+582

In connection with the recently established high phytotoxicity of phytoalexins with a quinoid structure in relation to *Verticillium dahliae* Kleb. [1], we have tested the toxicity of gossypolone [2] — gossypol p-quinone (formula below) — and some derivatives of it that we have synthesized for the first time in relation to the fungus — the causative agent of wilt — all the more since it is known that a number of gossypol-like substances are included among the phytoalexins [1].



I. R=O
II. R=NCH$_2$CH$_2$SO$_3$Na
III. R=OC–NH, =C(S)C=S
IV. R=C(CO–NH)(CO–NH)CO
V. R=N–C(=S)–NH–NH$_2$

The properties of gossypolone and its derivatives are as follows [system A: benzene—methanol (9.5:0.5); B: ethanol—acetic acid (9:1); C: chloroform—methanol (2:0.5); D: benzene—acetic acid—ethanol (3:2 drops:1)]:

Compound No.	mp, °C	R_f (*Silufol*)	Color	$\frac{\lambda_{max}(nm)}{\lg\varepsilon}$	*LD*, μg/ml
I	235	0,93, A	Dark orange	$\frac{215}{4,51}$; $\frac{268}{4,43}$; $\frac{310}{4,19}$; $\frac{400}{3,59}$ (in ethanol)	6
II	>350	0,89, B	Dark brown	$\frac{255}{4,17}$; $\frac{288}{4,01}$; $\frac{328}{3,85}$ (in water)	<250
III	>350	0,61, C	Dark violet	$\frac{330}{4,01}$ $\frac{550}{4,20}$ (in acetone)	> 50
IV	>350	0,92, B	Bright red	$\frac{268}{3,76}$; $\frac{330}{3,55}$; $\frac{430}{3,66}$ (in ethanol)	4
V	>350	0,52, D	Orange-brown	$\frac{285}{4,62}$; $\frac{410}{3,96}$ (in ethanol)	—

Division of Bioorganic Chemistry, Academy of Sciences of the Uzbek SSR, Tashkent. Translated from Khimiya Prirodnykh Soedinenii, No. 6, pp. 858-859, November-December, 1977. Original article submitted July 18, 1977.

 0009-3130/77/1306-0724$07.50

The phytotoxicity was determined by the method of bioautography using *Cladosporium* ssp. [3] and the method of agar plates with *V. dahliae* [4] modified by ourselves.

As can be seen from the facts given above, in order of toxicity the substances can be arranged in the sequence (IV) > (I) > (III) > (II). In a study of the mechanism of the biological action of quinones it has been reliably established [5] that, by interacting with the sulfhydryl groups of enzyme systems and inhibiting processes taking place with their participation, the quinones inhibit the activity of, for example, succinate dehydrogenase and ATPase, thereby interfering with the processes of oxidative phosphorylation and the respiration of the cell. It is therefore not fortuitous that the system of oxidative physphorylation localized in the membrane of the mitochondria is used to determine the toxic action of substances shown in a change in the structure and function of the mitochondria.

For gossypolone and some of its derivatives we studied their uncoupling activity *in vitro* on preparations of isolated rat liver mitochondria. The determination was performed by G. R. Sologub and F. Kh. Inoyatova. In agreement with the results on the fungi toxicity, compound (IV) proved to be the most toxic, causing uncoupling of oxidative physphorylation and a lowering of the absorption of oxygen in doses of 1 and 0.1 mM, respectively. Compounds (I) and (III) also proved to be toxic, inhibiting respiration and oxidative phosphorylation in a dose of 1 mM.

On the basis of the results obtained, it may be concluded that, apparently, the preparations studied act on the common links of the metabolism of fungi and the animal organism.

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COUMARINS FROM *Haplophyllum alberty-regelii* AND *H. dubium*

L. I. Tikhomirova, G. A. Kuznetsova, and M. G. Pimenov

UDC 547.597

On chromatographing as ethanolic extract from the roots of *Haplophyllum alberty-regelii* collected in the Sukhandar' oblast between Baisun and Shurchi, we isolated a coumarin derivative with mp 66.5-67°C. The compound was identified from its melting point and IR spectrum as collinin [1].

Collinin was not found in the epigeal part.

In the chromatographic separation of an ethanolic extract of the whole plant of *Haplophyllum dubium* collected in the valley of the R. Tupoland (Sukhandar' oblast) and in southern Tadzhikistan (environs of the town of Kuleba) we isolated a pyranocoumarin with mp 119°C. It was identified from its melting point and IR spectrum as seselin. We have previously detected seselin in *Haplophyllum dshungaricum* N. Rubtz and *H. multicaule* Vved [2].

This is the first time that collinin has been found in plants of the genus *Haplophyllum*. We isolated it previously from the roots of *Flindersia collina* Bailey (Rutaceae) [1].

The detection of collinin in *H. alberty-regelii* shows the similarity of the coumarin composition of this species and of *Haplophyllum pedicellatum* Bge from the epigeal mass of which coumarins of similar structure — 6-methoxycoumarin, pedicellone, and others — have been isolated [3].

V. L. Komarov Botanical Institute, Leningrad. M. V. Lomonosov Moscow State University.

Translated from Khimiya Prirodnykh Soedinenii, No. 6, p. 859, November-December, 1977. Original article submitted July 20, 1977.

0009-3130/77/1306-0725$07.50