J. Indian Chem. Soc.,
Vol. 71, November 1994, pp. 705-706

NOTE

A New Flavonol Bioside from the Flowers of *Hibiscus vitifolius* Linn. and its Hypoglycaemic Acitvity

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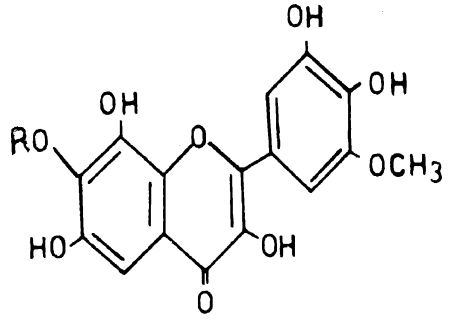
Manuscript received 22 March 1993, revised 16 July 1993, accepted 3 September 1993

Isolation of gossypin, quercetin[1,2], hibiscetin[3] and hibifolin[4] have been reported earlier from the flowers of *H. vitifolius* (Malvaceae). In this paper we report the isolation from its fresh petals a new flavonol bioside endowed with hypoglycaemic activity. The new bioside was assigned[5] the structure of 3′,4′,6,8-tetrahydroxyflavonol-5′-methylether-7-*O*-neohesperidoside (**1**).

The new compound, yellow flakes (1 g/2 kg of the petals), m.p. 225° showed λ_{max} (MeOH) 260, 297sh, 371; +NaOMe 327, 433sh (dec); + $AlCl_3$ 274, 477; +$AlCl_3$-HCl 267, 364, 441; + NaOAc 260, 324, 396; +NaOAc-H_3BO_3 263, 394 nm; ^{1}H nmr δ (90 MHz, DMSO-d_6) 7.2 (1H, s, H-5), 6.2 (1H, d, *J* 2 Hz, H-2′), 6.6 (1H, d, *J* 2 Hz H-6′), 3.9 (3H, s, 5′-O-CH_3), 5.0 (gluc H-1″), 4.7 (rhamn H-1‴), 1.3 (rhamn-Me); ^{13}C nmr δ (67.89 MHz; DMSO-d_6) 156.30 (C-2), 135.50 (C-3), 176.00 (C-4), 121.90 (C-5), 130.80 (C-6), 147.70 (C-7), 124.89 (C-8), 156.30 (C-9), 102.90 (C-10), 122.30 (C-1′), 115.70 (C-2′), 144.80 (C-3′), 147.70 (C-4′), 148.20 (C-5′), 122.10 (C-6′), 52.00 (C- of O-CH_3), 98.40 (C-1″), 76.95 (C-2″), 76.16 (C-3″), 70.00 (C-4″), 75.90 (C-5″), 60.40 (C-6″), 99.20 (C-1‴), 72.00 (C-2‴ and C-3‴), 73.74 (C-4‴), 67.80 (C-5‴), 20.90 (C-6‴).

In the uv spectrum of the compound no change was observed in band II of the glycoside on the addition of NaOAc, which reveals[6] the absence of a free OH at C-7. In ^{13}C nmr values the downfield signals of the *ortho*-related C-6 and C-8 confirm the site of glycosylation[7] at C-7. The glycoside on hydrolysis yielded glucose and rhamnose. In the ^{13}C nmr spectrum of the glycoside the appearance of C-6″ and C-6‴ at 60.40 and 20.90 ppm respectively, confirms the inter-glycosidic linkage to be (1→2), viz. it is a neohesperidoside. Had it been a rutinoside the above two carbons would have resonated at 17.10 and 66.80 ppm respectively[8].

The aglycone of the compound exhibited EI mass fragments at *m/z* 348 (100%), 333 (6%), 330 (10%), 320 (6%), 169 (15%), 168 (4%), 164 (23%) and 139 (15%). The mass values of the ion peaks at *m/z* 348, 169 (RDA fragment of A-ring alongwith proton shift) and were indicative of oxygenation pattern[9,10] of the aglycone as shown in structure **1**.



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The effect of the flavonol bioside on glucose-induced hyperglycaemia is reported in Table 1. It was found to have significant hypoglycaemic activity comparable to the standard drug, glibenclamide.

Experimental

Yellow part of fresh flower petals of *H. vitifolius* collected from the banks of Cauvery in Velur (Salem District) were extracted and fractionated in the usual way[11]. The EtOAc extract was subjected to column chromatography over Si-gel (60–120 mesh) using solvents of increasing polarity. The bioside was crystallised from $CHCl_3$-EtOAc (1 : 3). Hydrolysis of the bioside was done with 10% H_2SO_4 (5 ml) at 100° by refluxing for 2 h and enzymatic hydrolysate was done with pectinase. The aqueous hydrolysate was neutralised with $BaCO_3$ and the sugars were identified with aniline hydrogen phthalate spray.

TABLE 1–EFFECT OF THE FLAVONOL BIOSIDE ON GLUCOSE-INDUCED HYPERGLYCAEMIA

Treatment	Dose mg/100 g	Glucose in 100 ml blood (mg)* + 30 min	+ 60 min	+ 120 min
Normal control	–	93.30 ± 5.61	–	–
Glucose control	150	144.58 ± 1.64	125.83 ± 1.05	95.83 ± 1.39
Bioside	15	120.83 ± 0.53[a]	108.33 ± 2.30[a]	102.08 ± 4.67 (NS)
Glibenclamide	15	114.17 ± 1.90[a]	92.92 ± 2.30[c]	88.33 ± 4.46 (NS)

*Means ± SEM for 6 observations. As compared with glucose control, [a] $p < 0.001$; [b] $p < 0.01$; [c] $p < 0.05$
NS = Statistically not significant.

Hypoglycaemic studies were done with healthy female albino rats (100–150 g) allowed to fast overnight prior to each experiment. Blood glucose was estimted by the method of Folin Wu[12].

Acknowledgement

The authors are thankful to S.I.F., I.I.Sc., Bangalore and R.S.I.C., I.I.T., Madras for spectral measurements, to U.G.C., New Delhi, for awarding minor project grant to one of them (V.R.) and to Dr. K. Balasubramanian, I.B.M.S., Madras, for help in activity studies.

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