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β-PHENETHYLAMINES FROM THE CACTUS GENUS *LOBIVIA**

W. D. FOLLAS, J. M. CASSADY and J. L. MCLAUGHLIN

Department of Medicinal Chemistry and Pharmacognosy, School of Pharmacy and Pharmacal Sciences,
Purdue University, West Lafayette, IN 47907, U.S.A.

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Key Word Index—*Lobivia*; *Pseudolobivia*; Cactaceae; cactus; alkaloids; hordenine; *N*-methyltyramine; tyramine; 3,4-dimethoxy-β-phenethylamine.

Six species of *Lobivia* and one species of *Pseudolobivia* were investigated as listed in Table 1. Source: specimens of *L. allegriana* and *L. aurea* were obtained from Abbey Garden, Reseda, California and those of *L. backebergii*, *L. binghamiana*, *L. pentlandii* var. *carminea*, and *P. kermesina* were supplied by Grigsby Cactus Gardens, Bella Vista, California. *L. huashua* var. *rubra* was obtained from the Desert Botanical Garden of Arizona, Phoenix, Arizona. All plants conformed to identifications as determined by their commercial suppliers, and reference photographs are on file. Previous work: none.

Present work. Routine alkaloid screening [1] of cactus plants revealed the presence of small quantities of alkaloids in several species of the Bolivian genus, *Lobivia*, and in the closely related species, *Pseudolobivia kermesina*. Alkaloids were crystallized as their hydrochlorides following larger-scale extraction and PLC [2] of *L. backebergii*, *L. binghamiana*, *L. pentlandii*, and *P. kermesina*. Noncrystalline alkaloids were identified by TLC using previously reported procedures [2, 3]. Hordenine, *N*- methyltyramine, and tyramine have often been observed in various plant families as well as in a number of cactus species [4]. The co-occurrence of the related tryamine derivatives has been previously reported in cacti [5, 6 *inter alios*], but their presence in the *Lobivia* genus is novel. The results are summarized in Table 1.

The lack of correlation between psychoactivity and the observed alkaloids combined with the low total alkaloid concentrations eliminates these cactus species as being psychoactive because of their alkaloid content. The observed differences in alkaloid composition between the *Lobivia* species and *Pseudolobivia* support the taxonomic segregation of these two cactus genera [7].

Table 1. β-Phenethylamines identified in *Lobivia* and *Pseudolobivia* species

Plant	Alkaloids identified	(%) Yield	Criteria for identification
L. allegriana Backbg.	Hordenine	—	TLC
	N-Methyltyramine	—	TLC
	Tyramine	—	TLC
L. aurea (Br. and R.) Backbg.	Hordenine	—	TLC
	N-Methyltyramine	—	TLC
	Tyramine	—	TLC
L. backebergii (Werd.) Backbg.	Hordenine.HCl	0.011	mp, mmp, IR, TLC
	N-Methyltyramine.HCl	0.0008	mp, mmp, IR, TLC
	Tyramine	—	TLC
L. binghamiana Backbg.	Hordenine.HCl	0.004	mp, mmp, IR, TLC
	N-Methyltyramine.HCl	0.0003	mp, mmp, IR, TLC
	Tyramine	—	TLC
L. huashua (Weber) W. T. Marshall	Hordenine	—	TLC
	N-Methyltyramine	—	TLC
	Tyramine	—	TLC
L. pentlandii (Hook) Br. and R.	Hordenine.HCl	0.012	mp, mmp, IR, TLC
	N-Methyltyramine	—	TLC
	Tyramine	—	TLC
P. kermesina Kainz	3,4-Dimethoxy-β-phenethylamine	—	TLC, MS
	Tyramine.HCl	0.0002	TLC, MS
	Unknown alkaloids	—	TLC

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N-(3-OXOBUTYL)CYTISINE: A NEW LUPIN ALKALOID FROM *ECHINOSOPHORA KOREENSIS*[*]

ISAMU MURAKOSHI†, KYOKO FUKUCHI†, JOJU HAGINIWA†, SHIGERU OHMIYA‡ and HIROTAKA OTOMASU‡

† Faculty of Pharmaceutical Sciences, University of Chiba, Yayoicho 1-33, Chiba, Japan 280; ‡ Hoshi College of Pharmacy, Ebara 2-4-41, Shinagawa-ku, Tokyo, Japan 141

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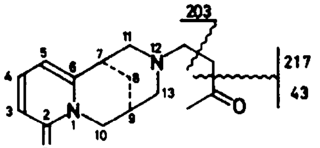
Key Word Index—*Echinosophora koreensis*; Leguminosae; alkaloid; cytisine; *N*-(3-oxobutyl)cytisine.

Abstract—A new lupin alkaloid, *N*-(3-oxobutyl)cytisine, was isolated from the aerial parts of *Echinosophora koreensis*. Its structure was determined by spectrometric data and by direct comparison with a synthetic sample.

As a result of screening plants belonging to the Leguminosae for lupin alkaloids, a new alkaloid, *N*-(3-oxobutyl)-cytisine (**1**), was isolated from the fresh aerial parts of *Echinosophora koreensis* as colourless plates, mp 118°, $[\alpha]_D^{21}$ −211.6°.

Echinosophora koreensis Nakai (Japanese name, inu-kurara) is a perennial shrub, which is native in Korea and closely related to the genus *Sophora* (Leguminosae). It was growing in the medical plant garden at the University of Chiba.

The structure was suggested as *N*-(3-oxobutyl)-cytisine (**1**) by the IR absorption bands at 2700–2800 (*trans*-quinolizidine), 1650 (α-pyridone) and 1700 cm^{-1} (ketone), and by the MS, M$^+$ at *m/e* 260 (12), *m/e* 160 (12), 147 (9) and 146 (20) which were characteristic of lupin alkaloids containing a α-pyridone ring, such as cytisine and *N*-methylcytisine, as described in previous papers [1, 2]. The PMR spectrum (100 MHz, C_6D_6) of the new base was essentially superimposable on that of *N*-methylcytisine except for the signals at 2 (A_2B_2, 4H, *m*, N—CH_2—CH_2—CO) and 1.52 (3H, *s*, —CO—Me). The other significant signals were at 2.4 (2H, *br*, *d*) for equatorial H at C-11 and C-13, as well as *N*-methylcytisine. The IR, MS, and PMR clearly indicated the presence of a Me—CO—CH_2—CH_2— function in the N-12 of cytisine. Therefore, the new alkaloid was presumed to be *N*-(3-oxobutyl)cytisine (**1**). Further confirmation of the identity of the new alkaloid was obtained by comparing the natural compound directly with a synthetic sample, prepared from cytisine and methylvinylketone.



(**1**)

Scheme 1. Characteristic fragment ions in the MS of *N*-(3-oxobutyl)cytisine (**1**).

N-(3-Oxobutyl)cytisine might be an intermediate in the biosynthesis of cytisine from the baptifoline-type compounds or *vice versa*. The biosynthesis and the function of **1** in plants are currently being undertaken in our laboratories.

EXPERIMENTAL

Mps were uncorr. UV and IR spectra were determined in EtOH and KBr pellets, respectively. MS were measured at 70 eV, and NMR spectra on a 100 MHz instrument with TMS as an internal standard.

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[*] This work was presented at the 20th Kanto Branch Meeting of Pharmaceutical Society of Japan at Tokyo, November 27, 1976.