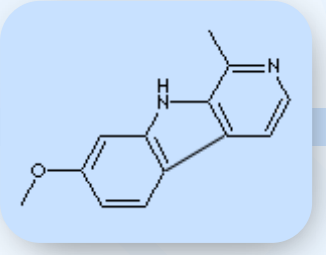
HARMINE

SYNONYMS

7-Methoxy-1-methyl-9H-pyrido(3,4-b)indole; 1-Methyl-7-methoxy-beta-carboline; 6-Methoxyharman; Banisterine; Leucoharmine; Telepathine; Yageine; Yajeine;

PRODUCT IDENTIFICATION

CAS RN	442-51-3
EINECS RN	207-131-4
FORMULA	$C_{13}H_{12}N_2O$
MOL WEIGHT	212.25



PHYSICAL AND CHEMICAL PROPERTIES

PHYSICAL STATE	white to off-white powder
MELTING POINT	262 - 264 C
BOILING POINT	
DENSITY	
SOLUBILITY IN WATER	Insoluble
pH	
VAPOR DENSITY	
REFRACTIVE INDEX	
FLASH POINT	

GENERAL DESCRIPTION

Harmine - MAOI and possible inspiration behind In-A-Gadda-Da-Vida
•β-carboline alkaloid found in several species of plants belongings to the families Malpighiaceae and Zygophyllacae AND in butterflies of the family Nymphalidae (how trippy is that? psychedelic butterflies...IRON BUTTERFLY FOR TEH WIN!)
•plants known and exploited for their harmine content include Banisteriopsis caapi (a vine found in South America) and Peganum harmala (Harmal/Syrian Rue, found in the Middle East)
• reversibly inhibits monoamine oxidase (MAO), an enzyme in the brain that breaks down monoamines, resulting in increased levels of these compounds in the brain (and stimulation of the central nervous system)
◦ monoamines include neurotransmitters (serotonin, dopamine, norepinephrine), hormones (melatonin), and hallucinogenic drugs (tryptamines such as DMT and psilocybin)
◦ MAO inhibitors (MAOIs) have been used to treat depression since they inhibit the breakdown of serotonin and norepinephrine, two neurotransmitters that have a role in the pathophysiology of clinical depression, suggesting that harmine may have antidepressant effects
◦ like synthetic MAOIs, harmine can inhibit the breakdown of tyramine, which can lead to a hypertensive emergency
•plants containing harmine are often used in combination with plants containing DMT (see: yage/ayahuasca) or other tryptamine hallucinogens in order to increase their potency/duration of action (since their breakdown is inhibited by the harmine)
◦harmine is generally used in this manner, although there are reports of it having hallucinogenic effects on its own
•was/is also known as banisterine in the late 1920s, when it was used to treat postencephalitic parkinsonism (it was the first MAOI to be used in parkinsonism) (source: http://www.drugsandpoisons.com/)

Beta-carboline alkaloids such as harmine are present in medicinal plants such as Peganum harmala that have been used as folk medicine in anticancer therapy. In our study, 9 harmine derivatives (including harmine) were investigated for their antitumor effects and acute toxicities in mice, and the structure-activity relationship (SAR) was also analyzed. Administration of these compounds resulted in tumor

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inhibition rates of 15.3-49.5% in mice bearing Lewis Lung Cancer, sarcoma180 or HepA tumor, with the highest value of 49.5% from compound 6. Acute toxicity studies showed that all these compounds except compounds 2 and 5 caused remarkable acute neurotoxicities manifested by tremble, twitch and jumping. SAR analysis indicated that the formate substitution at R3 of the tricyclic skeleton reduced their neurotoxicity, while the short alkyl or aryl substitution at R9 increased the antitumor activity. The harmine and its derivatives resulted in in vitro cytotoxicity (IC50) values of 0.011-0.021 micromol/ml in HepG2 cells, with compound 8 being the most potent among all agents tested. Compounds 1, 6, 7 and 8 induced apoptosis in HepG2 cells, with the highest apoptotic rate (55.34%) from compound 6. Western blotting analysis demonstrated that compound 6 completely inhibited the expression of Bcl-2 gene, and compounds 1 and 8 produced a significant inhibition by 40 and 60%, respectively, compared to the control, while compound 7 did not alter the level of Bcl-2. Compounds 1, 6, 7 and 8 upregulated the expression of death receptor Fas by approximately 50-120%. All these findings indicate that compounds with both substitutions at R3 and R9 (such as compound 5) have high antitumor activity and low toxicity, which might be chosen as lead molecules for further development. Further studies on the effects of harmine derivatives on key regulators for tumor cell apoptosis are needed. 2004 Wiley-Liss, Inc. (source: http://www.ncbi.nlm.nih.gov/)

Harminyl Compounds

Product	CAS RN.
Norharman	244-63-3
Harmaline	304-21-2
Harmine hydrochloride	343-27-1
Harmidine hydrochloride	363-11-1
Harmine	442-51-3
Harman	486-84-0
Harmalan	525-41-7
Harmalol	525-57-5
Adrenoglomerulotropin	1210-56-6
6-Hydroxy-1-methyltryptoline	3000-36-0
6-Methoxyharman	3589-72-8
2-Methylnorharman	5667-11-8
Harmaline hydrochloride dihydrate	6027-98-1
Harmine hydrochloride dihydrate	6028-02-0
Harmalol hydrochloride	6028-07-5
2-Methylharmine	6519-18-2
2-Methyltryptoline	13100-00-0
Tryptoline	16502-01-5
Tetrahydroharmine	17019-01-1
9-Mono-N'-methylnorharman	17994-14-8
6-Methoxytryptoline	20315-68-8
9-Propyl-beta-carboline	21373-41-1
9-Hydroxymethyl-beta-carboline	21373-43-3
3-Methylharman	22314-94-9
5-Hydroxytryptoline	23778-34-9
Harmol glucuronide	24757-60-6
Harmol sulfate	27067-62-5
Harmol hydrochloride	40580-83-4
Tetrahydroharmine hydrochloride	40959-16-8
Metralindole hydrochloride	53734-79-5

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Harminic acid	58795-15-6
Strychnocarpine	59156-98-8
3-Hydroxymethyl-beta-carboline	65474-79-5
Nitroharmidine nitrate	68730-97-2
Harmine hydrochloride hydrate	73840-51-4
Decussine	75375-52-9
Propyl beta-carboline-3-carboxylate	76808-18-9
N-Butyl beta-carboline-3-carboxylate	84454-35-3
3-(Methoxycarbonyl)amino-beta-carboline	91985-74-9
3-Ethoxy-beta-carboline	91985-81-8
tert-Butyl beta-carboline-3-carboxylate	93835-05-3
Ambocarb	96725-29-0
2-Methylharmalinium	96792-93-7
Abecarnil	111841-85-1
3-Acetyl-beta-carboline thiosemicarbazone	119694-68-7
Nazlinine	136945-81-8

STABILITY AND REACTIVITY

STABILITY	Stable under normal conditions.
CONDITIONS OF INSTABILITY	
INCOMPATIBLE MATERIALS	Strong acids.
DECOMPOSITION PRODUCTS	Carbon monoxide, Carbon dioxide, Nitrogen oxides.
POLYMERIZATION	Will not occur

SAFETY

HAZARD NOTES	Harmful. Harmful by inhalation, in contact with skin and if swallowed. Irritating to the eyes.
EYE	Cause eye irritation.
SKIN	Cause skin irritation. May be harmful if absorbed through the skin.
INGESTION	Harmful if swallowed.
INHALATION	May be harmful if inhaled. Causes respiratory tract irritation.
CHRONIC	
NFPA RATING	Health: 2, Flammability: 0, Reactivity: 0

SALES SPECIFICATION

APPEARANCE	white to off-white crystalline powder
ASSAY	98.0% min

TRANSPORT & REGULATORY INFORMATION

UN NO.	
HAZARD CLASS	
PACKING GROUP	
HAZARD SYMBOL	XN
RISK PHRASES	20/21/22-36
SAFETY PHRASES	26-36/37

HARMINE

PACKING

PRICE