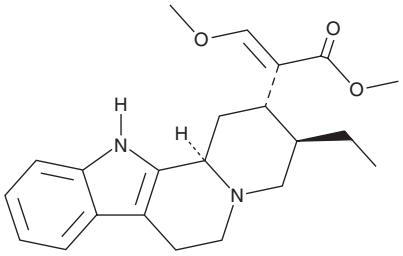
PRODUCT INFORMATION

Hirsutine

Item No. 36403

CAS Registry No.:	7729-23-9
Formal Name:	(αE,2S,3R,12bR)-3-ethyl-1,2,3,4,6,7,12,12b-octahydro-α-(methoxymethylene)-indolo[2,3-a] quinolizine-2-acetic acid, methyl ester
MF:	$C_{22}H_{28}N_2O_3$
FW:	368.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 226, 283 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥2 years
Item Origin:	Plant/*Uncaria rhynchophylla*



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Hirsutine is supplied as a solid. A stock solution may be made by dissolving the hirsutine in the solvent of choice, which should be purged with an inert gas. Hirsutine is soluble in organic solvents such as acetone, chloroform, dichloromethane, DMSO, and ethyl acetate.

Description

Hirsutine is an indole that has been found in *U. rhynchophylla* and has diverse biological activities.[1-4] It selectively inhibits butyrylcholinesterase (BChE; IC_{50}s = 1.97 and 4.97 µM for the human and horse enzymes, respectively) over eel acetylcholinesterase, for which it has no activity.[3] Hirsutine also inhibits the homomeric serotonin (5-HT) receptor subtype 5-HT_{3A} and heteromeric 5-HT_3 receptors containing both A and B subunits (IC_{50}s = 65.35 and 28.44 µM, respectively).[4] It reduces glutamate-induced increases in cell death in HT22 cells when used at concentrations of 50 and 100 µM.[1] Hirsutine (40, 60, and 80 µM) induces apoptosis in A549 and H1299 human non-small cell lung cancer (NSCLC) cells.[2] It also reduces levels of Rho-associated kinase 1 (ROCK1) and phosphorylated glycogen synthase kinase 3β (GSK3β), as well as increases the level of phosphorylated phosphatase and tensin homolog (PTEN), in A549 cells.[2] Hirsutine (10 mg/kg) reduces tumor growth and intratumoral levels of phosphorylated GSK3β in an A549 mouse xenograft model. It also reduces infarct size and cardiac cell apoptosis and prevents cardiac dysfunction in a rat model of ischemia-reperfusion injury when administered at a dose of 20 mg/kg.[4]

References

1. Qi, W., Yue, S.-J., Sun, J.-H., *et al.* Alkaloids from the hook-bearing branch of *Uncariarhynchophylla* and their neuroprotective effects against glutamate-induced HT22 cell death. *J. Asian Nat. Prod. Res.* **16(8)**, 876-883 (2014).
2. Zhang, R., Li, G., Zhang, Q., *et al.* Hirsutine induces mPTP-dependent apoptosis through ROCK1/PTEN/PI3K/GSK3β pathway in human lung cancer cells. *Cell Death Dis.* **9(6)**, 598 (2018).
3. Brunhofer, G., Fallarero, A., Karlsson, D., *et al.* Exploration of natural compounds as sources of new bifunctional scaffolds targeting cholinesterases and beta amyloid aggregation: The case of chelerythrine. *Bioorg. Med. Chem.* **20(22)**, 6669-6679 (2012).
4. Jiang, W., Zhang, Y., Zhang, W., *et al.* Hirsutine ameliorates myocardial ischemia-reperfusion injury through improving mitochondrial function via CaMKII pathway. *Clin. Exp. Hypertens.* **45(1)**, 2192444 (2023).

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