
Product Data Sheet

Product Name: Harmalol hydrochloride

Cat. No.: GC60894

Chemical Properties

Cas. No. 6028-07-5

SMILES CC1=NCCC2=C1NC3=C2C=CC(O)=C3.[H]ClFormula $C_{12}H_{13}ClN_2O$ M.Wt 236.7

Solubility DMSO : 100 mg/mL (422.48 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure **Background**

Harmalol is a β -carboline alkaloid and an active metabolite of harmaline that has been found in *P. harmala* and has diverse biological activities.^{1,2,3,4,5} It is an inhibitor of dual-specificity tyrosine phosphorylation-regulated kinase 1A (DYRK1A; $IC_{50} = 0.63 \mu M$) and monoamine oxidase A (MAO-A; $IC_{50} = 0.66 \mu M$).³ It is selective for DYRK1A over Cdk1, Cdk5, CK1 α 1, Clk4, DYRK2, Pim-1, and GSK3 β but also inhibits DYRK1B and Clk1 at 10 μM . It inhibits proliferation of H4 human glioblastoma cells ($IC_{50} = 23.7 \mu M$).

Harmalol (0.5-12.5 μM) reduces increases in the levels of the cytochrome P450 (CYP) isoform CYP1A1 induced by 2,3,7,8-tetrachlorodibenzo-*p*-dioxin (TCDD) in HepG2 cells and prevents TCDD-induced activation of the aryl hydrocarbon receptor (AhR) in guinea pig hepatic cytosolic extracts.⁴ It reduces glutamate-induced cytotoxicity, cytochrome *c* release, caspase-3 activation, and the production of reactive oxygen species (ROS) in PC12 cells when used at a concentration of 25 μM .⁵ This product is also available as an analytical reference standard .

1. Brierley, D.I., and Davidson, C. Developments in harmine pharmacology - implications

Caution: Product has not been fully validated for medical applications. For research use only.

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for ayahuasca use and drug-dependence treatment *Prog. Neuropsychopharmacol. Biol. Psychiatry* 39(2)263-272(2012) 2. Nikam, T.D., Nitnaware, K.M., and Ahire, M.L. Alkaloids derived from tryptophan: Harmine and related alkaloids *Natural products. Phytochemistry, botany and metabolism of alkaloids, phenolics and terpenes* 553-574(2013) 3. Tarpley, M., Oladapo, H.O., Strepay, D., et al. Identification of harmine and β -carboline analogs from a high-throughput screen of an approved drug collection; profiling as differential inhibitors of DYRK1A and monoamine oxidase A and for in vitro and in vivo anti-cancer studies *Eur. J. Pharm. Sci.* 162105821(2021) 4. El Gendy, M.A.M., Soshilov, A.A., Denison, M.S., et al. Harmaline and harmalol inhibit the carcinogen-activating enzyme CYP1A1 via transcriptional and posttranslational mechanisms *Food Chem. Toxicol.* 50(2)353-362(2012) 5. Han, E.S., and Lee, C.S. Inhibition of glutamate-induced change in mitochondrial membrane permeability in PC12 cells by 1-methylated β -carboline *Biomol. Ther. (Seoul)* 11(2)112-118(2003)

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