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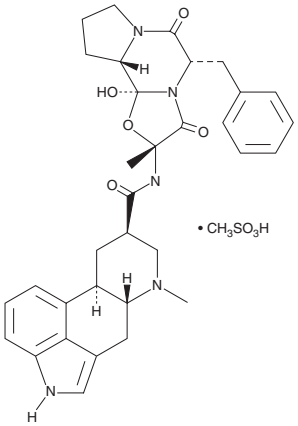
PRODUCT INFORMATION

Dihydroergotamine (mesylate)

Item No. 23847



CAS Registry No.:	6190-39-2
Formal Name:	(5'α,10α)-9,10-dihydro-12'-hydroxy-2'-methyl-5'-(phenylmethyl)-ergotaman-3',6',18-trione, methanesulfonate
Synonym:	(+)-Dihydroergotamine
MF:	$C_{33}H_{37}N_5O_5 \bullet CH_3SO_3H$
FW:	679.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 284 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

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

Laboratory Procedures

Dihydroergotamine (mesylate) is supplied as a crystalline solid. A stock solution may be made by dissolving the dihydroergotamine (mesylate) in the solvent of choice, which should be purged with an inert gas. Dihydroergotamine (mesylate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of dihydroergotamine (mesylate) is approximately 1 mg/ml in ethanol and approximately 20 mg/ml in DMSO and DMF.

Dihydroergotamine (mesylate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, dihydroergotamine (mesylate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Dihydroergotamine (mesylate) has a solubility of approximately 0.05 mg/ml in a 1:20 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Dihydroergotamine is a derivative of ergotamine and an agonist of the serotonin (5-HT) receptor subtypes 5-HT_{1B} and 5-HT_{1D} (K_is = 0.3 and 2.5 nM, respectively, for human receptors).[1] It binds to dopamine D_2 and D_3 as well as 5-HT_{2A} receptors ($K_{0.5}$s = 5, 16, and 38 nM, respectively) but has lower affinity for D_1, 5-HT_{2C}, and 5-HT_3 receptors ($K_{0.5}$s = 2,779, 298, and >10,000 nM, respectively).[2] Dihydroergotamine also binds to α-adrenergic receptors.[3] Dihydroergotamine (50 and 100 mg/kg) increases the mechanical pain threshold in a rat model of neuropathic pain following chronic constriction injury to the infraorbital nerve. Formulations containing dihydroergotamine have been used in the treatment of migraine headaches.[4]

References

1. Lesage, A.S., Wouters, R., Gompel, P.V., *et al. Br. J. Pharmacol.* **123(8)**, 1655-1665 (1998).
2. Toll, L., Berzetei-Gurske, I.P., Polgar, W.E., *et al. NIDA Res. Monogr.* **178**, 440-466 (1998).
3. U'Prichard, D.C., Greenberg, D.A., and Snyder, S.H. *Mol. Pharmacol.* **13(3)**, 454-473 (1977).
4. Kayser, V., Aubel, B., Hamon, M., *et al. Br. J. Pharmacol.* **137(8)**, 1287-1297 (2002).

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