Dihydroergotamine (mesylate)
Item No. 23847

CAS Registry No.: 6190-39-2
Formal Name: (5α,10α)-9,10-dihydro-12'-hydroxy-2'-methyl-5'-(phenylmethyl)-ergotaman-3',6',18-trione, methanesulfonate
Synonym: (+)-Dihydroergotamine
MF: C₃₃H₃₇N₅O₅ • CH₃SO₃H
FW: 679.8
Purity: ≥98%
UV/Vis.: λmax = 284 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 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. Dihydroergotamine (mesylate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. 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-HT₁B and 5-HT₁D (Kᵣ = 0.3 and 2.5 nM, respectively, for human receptors). It binds to dopamine D₂ and D₃ as well as 5-HT₂A receptors (Kᵣ = 5, 16, and 38 nM, respectively) but has lower affinity for D₁, 5-HT₂C, and 5-HT₃ receptors (Kᵣ = 2,779, 298, and >10,000 nM, respectively). Dihydroergotamine also binds to α-adrenergic receptors. 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.

References