3050 Spruce Street
Saint Louis, Missouri 63103 USA
Telephone 800-325-5832 • (314) 771-5765
Fax (314) 286-7828
email: techserv@sial.com
sigma-aldrich.com

ProductInformation

Dihydroergotamine methanesulfonate salt

Product Number **D 2763**
Store at Room Temperature

Product Description

Molecular Formula: $C_{33}H_{37}N_5O_5 \cdot CH_4O_3S$
Molecular Weight: 679.8
CAS Number: 6190-39-2
Melting point: 230-235 °C[1]
Extinction coefficients: E^{mM} = 6.03 (291 nm), 7.08 (282 nm)[2]
Synonym: 9,10-dihydro-12'-hydroxy-2'-methyl-5'-(phenylmethyl)ergotaman-3',6',18-trione[1]

The semi-synthetic, hydrogenated ergot alkaloid dihydroergotamine is an α-adrenergic blocker and vasoconstrictor, with lower oxytoxic and vasoconstrictor properties compared to ergotamine. It is also a competitive serotonin receptor antagonist and a partial agonist at α-adrenergic and D_2 dopamine receptors.[3,4] A study of the activity of dihydroergotamine and other 5-HT_1 receptor agonists on human 5-HT_{1B} and 5-HT_{1D} receptors expressed in mammalian cell lines has been reported.[5]

The modulation of cytochrome P450 metabolism in rat liver microsomes by dihydroergotamine has been probed.[6] A *in vivo* study of dihydroergotamine uptake in the rat brain has been published.[7]

Several methods have described the analysis of dihydroergotamine in serum and plasma, by a combination of HPLC and fluorescence detection.[8,9]

Precautions and Disclaimer

For Laboratory Use Only. Not for drug, household or other uses.

Preparation Instructions

This product is soluble in a chloroform:methanol (1:1) mixture (20 mg/ml), yielding a clear, yellow to dark yellow solution. It is also soluble in 45% (w/v) aqueous 2-hydroxypropyl-β-cyclodextrin (5.45 mg/ml), but is insoluble in water.

References

1. The Merck Index, 11th ed., Entry# 3217.
2. Stoll, A., and Schlientz, W., Über Belichtungprodukte von Mutterkornalkaloiden. Helv. Chim. Acta, **38**, 585-594 (1955).
3. Doggrell, S. A., Further analysis of the inhibitory effects of dihydroergotamine, cyproheptadine and ketanserin on the responses of the rat aorta to 5-hydroxytryptamine. J. Auton. Pharmacol., **12(4)**, 223-236 (1992).
4. den Boer, M. O., et al., Carotid vascular effects of ergotamine and dihydroergotamine in the pig: No exclusive mediation via 5-HT_1-like receptors. Br. J. Pharmacol., **104(1)**, 183-189 (1991).
5. Lesage, A. S., et al., Agonistic properties of alniditan, sumatriptan and dihydroergotamine on human 5-HT_{1B} and 5-HT_{1D} receptors expressed in various mammalian cell lines. Br. J. Pharmacol., **123(8)**, 1655-1665 (1998).
6. Moubarak, A. S., et al., Modulation of cytochrome P450 metabolism by ergonovine and dihydroergotamine. Vet. Hum. Toxicol., **45(1)**, 6-9 (2003).
7. Wang, Y., et al., Brain uptake of dihydroergotamine after intravenous and nasal administration in the rat. Biopharm. Drug Dispos., **19(9)**, 571-575 (1998).
8. Romeijn, S. G., et al., Simplified solid-phase extraction method for determination of dihydroergotamine in rabbit and human serum using high-performance liquid chromatography with fluorescence detection. J. Chromatogr. B Biomed. Sci. Appl., **692(1)**, 227-232 (1997).
9. Murday, M., et al., Determination of dihydroergotamine in human plasma by high-performance liquid chromatography with fluorescence detection. J. Chromatogr. B Biomed. Sci. Appl., **735(2)**, 151-157 (1999).

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