# PRODUCT INFORMATION

## Methysergide (maleate)

*Item No. 27658*

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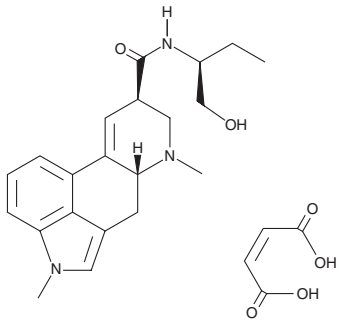
|---|---|
| **CAS Registry No.:** | 129-49-7 |
| **Formal Name:** | 9,10-didehydro-N-[(1S)-1-(hydroxymethyl) propyl]-1,6-dimethyl-ergoline-8β-carboxamide, 2Z-butenedioate |
| **Synonyms:** | Desernil, NSC 186061 |
| **MF:** | $C_{21}H_{27}N_3O_2 \bullet C_4H_4O_4$ |
| **FW:** | 469.5 |
| **Purity:** | ≥95% |
| **UV/Vis.:** | $\lambda_{max}$: 213, 321 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

Methysergide (maleate) is supplied as a crystalline solid. A stock solution may be made by dissolving the methysergide (maleate) in the solvent of choice, which should be purged with an inert gas. Methysergide (maleate) is slightly soluble in DMSO and methanol.

### Description

Methysergide is an agonist of the serotonin (5-HT) receptor subtype 5-$HT_1$ and an antagonist of 5-$HT_2$ receptors.[1,2] It binds to recombinant human 5-$HT_{1A}$ ($K_D$ = 23.44 nM), 5-$HT_{1E}$ ($K_i$ = 229.09 nM), 5-$HT_{1F}$ ($K_i$ = 33.88 nM), and rodent 5-$HT_{1B}$ receptors ($K_D$ = 1,513.56 nM).[2] It also binds to recombinant human 5-$HT_{2A}$ ($K_i$ = 2.69 nM) and 5-$HT_{2C}$ receptors ($K_D$ = 1.26 nM) and is an insurmountable antagonist at 5-$HT_{2B}$ receptors. It inhibits vasoconstriction induced by 5-HT (Item No. 14332) in isolated postmortem human basilar arterial spiral strips ($pA_2$ = 8.07).[3] Methysergide decreases external carotid blood flow in a dose-dependent manner in vagosympathectomized dogs, an effect that is inhibited by the 5-$HT_{1B/1D}$ receptor antagonist GR127935 (Item No. 29651).[4] It has antinociceptive activity in mouse models of pain induced by intrathecal injection of substance P (Item No. 24035), glutamate, NMDA (Item No. 14581), AMPA (Item No. 14571), or kainic acid.[5] Methysergide reduces zymosan-induced paw edema in rats when administered at a dose of 10 mg/kg.[6] Formulations containing methysergide were previously used in the prevention and treatment of vascular headaches.

### References


1. Hoyer, D., Clarke, D.E., Fozard, J.R., *et al. Pharmacol. Rev.* **46(2)**, 157-203 (1994).
2. Ramírez Rosas, M.B., Labruijere, S., Villalón, C.M., *et al. Expert Opin. Pharmacother.* **14(12),** 1599-1610 (2013).
3. Müller-Schweinitzer, E. *Gen. Pharmacol.* **14(1),** 95-102 (1983).
4. Villalón, C.M., De Vries, P., Rabelo, G., *et al. Br. J. Pharmacol.* **126(3),** 585-594 (1999).
5. Chung, K.M., Choi, S.S., Han, K.J., *et al. Pharmacology* **69(2),** 93-101 (2003).
6. Tarayre, J.P., Delhon, A., Aliaga, M., *et al. Pharmacol. Res.* **21(4),** 375-384 (1989).





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