# PRODUCT INFORMATION

## Metergoline

*Item No. 21336*

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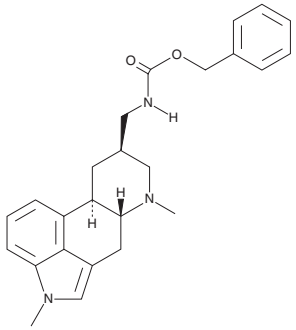
| **CAS Registry No.:** | 17692-51-2 |
| **Formal Name:** | N-[[(8β)-1,6-dimethylergolin-8-yl]methyl]-carbamic acid, phenylmethyl ester |
| **MF:** | $C_{25}H_{29}N_3O_2$ |
| **FW:** | 403.5 |
| **Purity:** | ≥98% |
| **UV/Vis.:** | $\lambda_{max}$: 226, 289 nm |
| **Supplied as:** | A crystalline solid |
| **Storage:** | -20°C |
| **Stability:** | ≥4 years |



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

### Laboratory Procedures

Metergoline is supplied as a crystalline solid. A stock solution may be made by dissolving the metergoline in the solvent of choice. Metergoline is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of metergoline in these solvents is approximately 5, 25, and 30 mg/ml, respectively.

Metergoline is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, metergoline should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Metergoline has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Metergoline is a serotonin (5-HT) receptor antagonist that is active at 5-$HT_1$ ($pK_i$ = 7.8 for 5-$HT_{1B}$), 5-$HT_2$, ($pK_i$s= 8.64, 8.75, and 8.75 for 5-$HT_{2A}$, 5-$HT_{2B}$, and 5-$HT_{2C}$, respectively), and 5-$HT_7$ receptor subtypes.[1,2] It blocks 5-$HT_7$ receptor-stimulated cAMP production ($IC_{50}$ = 321 nM) in HEK293 cells.[3] Metergoline has been widely used to study serotonin receptor function, but it also dose-dependently inhibits voltage-dependent sodium and potassium channels transfected into *Xenopus* oocytes.[4,5] Formulations containing metergoline have been studied for the treatment of hormone dysfunction, seasonal affective disorder, and anxiety.[4]

### References


1. Millan, M.J., Newman-Tancredi, A., Lochon, S., *et al.* Specific labelling of serotonin 5-$HT_{1B}$ receptors in rat frontal cortex with the novel, phenylpiperazine derivative, [$^3$H]GR125,743. A pharmacological characterization. *Pharmacol. Biochem. Behav.* **71(4)**, 589-598 (2002).
2. Knight, A.R., Misra, A., Quirk, K., *et al.* Pharmacological characterisation of the agonist radioligand binding site of 5-$HT_{2A}$, 5-$HT_{2B}$ and 5-$HT_{2C}$ receptors. *Naunyn Schmiedebergs Arch. Pharmacol.* **370(2)**, 114-123 (2004).
3. Knight, J.A., Smith, C., Toohey, N., *et al.* Pharmacological analysis of the novel, rapid, and potent inactivation of the human 5-Hydroxytryptamine$_7$ receptor by risperidone, 9-OH-Risperidone, and other inactivating antagonists. *Mol. Pharmacol.* **75(2)**, 374-380 (2009).
4. Yeom, H.D., and Lee, J.-H. Regulation of human Kv1.4 channel activity by the antidepressant metergoline. *Biol. Pharm. Bull.* **39(6)**, 1069-1072 (2016).
5. Lee, J.-H., Liu, J., Shin, M., *et al.* Metergoline inhibits the neuronal Nav1.2 voltage-dependent $Na^+$ channels expressed in Xenopus oocytes. *Acta. Pharmacol. Sin.* **35(7)**, 862-868 (2014).





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