

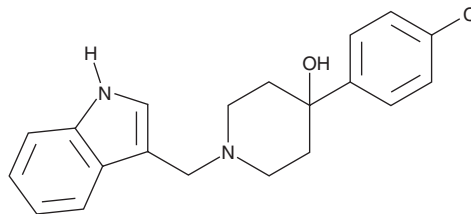
PRODUCT INFORMATION



L-741,626

Item No. 22354

CAS Registry No.: 81226-60-0
Formal Name: 4-(4-chlorophenyl)-1-(1H-indol-3-ylmethyl)-4-piperidinol
MF: C₂₀H₂₁ClN₂O
FW: 340.9
Purity: ≥98%
UV/Vis.: λ_{max}: 221 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

L-741,626 is supplied as a crystalline solid. A stock solution may be made by dissolving the L-741,626 in the solvent of choice. L-741,626 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of L-741,626 in these solvents is approximately 33 mg/ml.

L-741,626 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, L-741,626 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. L-741,626 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

L-741,626 is an antagonist of the dopamine D₂ receptor (K_is = 2.4, 100, and 220 nM for human D₂, D₃, and D₄, respectively, in a radioligand displacement assay).¹ L-741,626 is selective for D₂ receptors (K_i = 3.98 nM) over serotonin receptors (K_is ≤ 316.2 nM for human 5-HT_{1A}, 5-HT_{1B}, 5-HT_{1D}, 5-HT_{2A}, 5-HT_{2B}, 5-HT_{2C}, and 5-HT₃).² In a functional assay, L-741,626 inhibits quinpirole-stimulated mitogenesis with EC₅₀ values of 4.46 and 90.4 nM in CHO cells transfected with human D_{2long} and D₃ receptors, respectively.³ L-741,626 (3 μM) reversibly blocks D₂-mediated currents in *Xenopus* oocytes via G protein-gated inwardly rectifying K⁺ (GIRK) channels.⁴ In models of potential antipsychotic activity, L-741,626 inhibits apomorphine-induced climbing behavior in mice (ID₅₀ = 0.3 mg/kg, s.c.) and the conditioned avoidance response (CAR) in rats (ID₅₀ = 6.1 mg/kg, s.c.).⁵ L-741,626 evokes a catalepsy response (AD₅₀ = 7.0 mg/kg, s.c.) and blocks gnawing induced by methylphenidate (Item No. 11639; ID₅₀ = 2.4 mg/kg, s.c.) in rat models of potential extrapyramidal activity.

References

1. Kulagowski, J.J., Broughton, H.B., Curtis, N.R., *et al.* *J. Med. Chem.* **39**(10), 1941-1942 (1996).
2. Millan, M.J., Gobert, A., Newman-Tancredi, A., *et al.* *J. Pharmacol. Exp. Ther.* **293**(3), 1048-1062 (2000).
3. Grundt, P., Husband, S.L., Luedtke, R.R., *et al.* *Bioorg. Med. Chem. Lett.* **17**(3), 745-749 (2007).
4. Pillai, G., Brown, N.A., McAllister, G., *et al.* *Neuropharmacology* **37**(8), 983-987 (1998).
5. Millan, M.J., Dekeyne, A., Rivet, J.M., *et al.* *J. Pharmacol. Exp. Ther.* **293**(3), 1063-1073 (2000).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM