

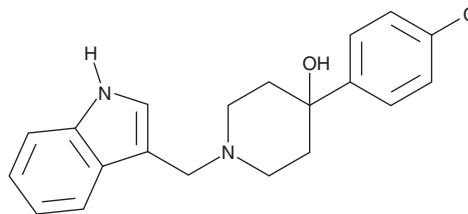
# PRODUCT INFORMATION



**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:** C<sub>20</sub>H<sub>21</sub>ClN<sub>2</sub>O  
**FW:** 340.9  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 221 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

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 D<sub>2</sub> receptor (K<sub>i</sub>s = 2.4, 100, and 220 nM for human D<sub>2</sub>, D<sub>3</sub>, and D<sub>4</sub>, respectively, in a radioligand displacement assay).<sup>1</sup> L-741,626 is selective for D<sub>2</sub> receptors (K<sub>i</sub> = 3.98 nM) over serotonin receptors (K<sub>i</sub>s ≤ 316.2 nM for human 5-HT<sub>1A</sub>, 5-HT<sub>1B</sub>, 5-HT<sub>1D</sub>, 5-HT<sub>2A</sub>, 5-HT<sub>2B</sub>, 5-HT<sub>2C</sub>, and 5-HT<sub>3</sub>).<sup>2</sup> In a functional assay, L-741,626 inhibits quinpirole-stimulated mitogenesis with EC<sub>50</sub> values of 4.46 and 90.4 nM in CHO cells transfected with human D<sub>2long</sub> and D<sub>3</sub> receptors, respectively.<sup>3</sup> L-741,626 (3 μM) reversibly blocks D<sub>2</sub>-mediated currents in *Xenopus* oocytes via G protein-gated inwardly rectifying K<sup>+</sup> (GIRK) channels.<sup>4</sup> In models of potential antipsychotic activity, L-741,626 inhibits apomorphine-induced climbing behavior in mice (ID<sub>50</sub> = 0.3 mg/kg, s.c.) and the conditioned avoidance response (CAR) in rats (ID<sub>50</sub> = 6.1 mg/kg, s.c.).<sup>5</sup> L-741,626 evokes a catalepsy response (AD<sub>50</sub> = 7.0 mg/kg, s.c.) and blocks gnawing induced by methylphenidate (Item No. 11639; ID<sub>50</sub> = 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.

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