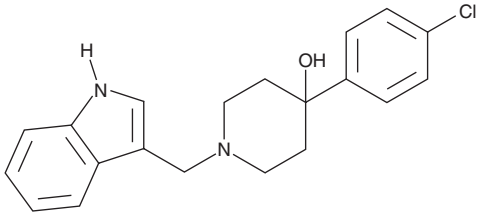
PRODUCT INFORMATION

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:	$C_{20}H_{21}ClN_2O$
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 D_2 receptor (K_is = 2.4, 100, and 220 nM for human D_2, D_3, and D_4, respectively, in a radioligand displacement assay).[1] L-741,626 is selective for D_2 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-HT_3).[2] In a functional assay, L-741,626 inhibits quinpirole-stimulated mitogenesis with EC_{50} values of 4.46 and 90.4 nM in CHO cells transfected with human D_{2long} and D_3 receptors, respectively.[3] L-741,626 (3 µM) reversibly blocks D_2-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 (ID_{50} = 0.3 mg/kg, s.c.) and the conditioned avoidance response (CAR) in rats (ID_{50} = 6.1 mg/kg, s.c.).[5] L-741,626 evokes a catalepsy response (AD_{50} = 7.0 mg/kg, s.c.) and blocks gnawing induced by methylphenidate (Item No. 11639; ID_{50} = 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).

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