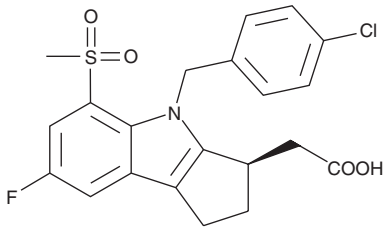
PRODUCT INFORMATION

MK-0524

Item No. 10009835

CAS Registry No.: 571170-77-9
Formal Name: (3R)-4-[(4-chlorophenyl)methyl]-7-fluoro-1,2,3,4-tetrahydro-5-(methylsulfonyl)-cyclopent[b]indole-3-acetic acid
Synonym: Laropiprant
MF: $C_{21}H_{19}ClFNO_4S$
FW: 435.9
Purity: ≥98%
UV/Vis.: λ_{max}: 222, 243, 311 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

MK-0524 is supplied as a crystalline solid. A stock solution may be made by dissolving the MK-0524 in the solvent of choice, which should be purged with an inert gas. MK-0524 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of MK-0524 in ethanol is approximately 5 mg/ml and approximately 20 mg/ml in DMSO and DMF.

MK-0524 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MK-0524 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. MK-0524 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

MK-0524 is a potent, selective prostaglandin D_2 (PGD_2) receptor DP_1 antagonist with K_i values of 0.57 nM and 0.75 µM for the DP_1 and DP_2 receptors, respectively.[1] It inhibits PGD_2-induced accumulation of cAMP in both washed platelets and platelet-rich plasma with IC_{50} values of 0.09 and 4.0 nM, respectively.[1] In a sheep model of allergic rhinitis, 0.1 mg/kg MK-0524 completely blocked PGD_2-induced nasal congestion.[1] At a dose of 4 mg/kg, MK-0524 suppressed a nicotinic acid-induced vasodilatory response by 80% in a mouse model of flushing, an undesirable side-effect of niacin treatment for dyslipidemia.[2] In an *in vitro* model of amyotrophic lateral sclerosis, MK-0524 has been shown to partially protect cultured motor neurons from PGD_2-induced toxicity.[3,4]

References

1. Sturino, C.F., O'Neill, G., Lachance, N., *et al*. Discovery of a potent and selective prostaglandin D_2 receptor antagonist, [(3R)-4-(4-chloro-benzyl)-7-fluoro-5-(methylsulfonyl)-1,2,3,4-tetrahydrocyclopental[b]indol-3-yl]-acetic acid. *J. Med. Chem.* **50(4)**, 794-806 (2007).
2. Cheng, K., Wu, T.-J., Wu, K.K., *et al*. Antagonism of the prostaglandin D_2 receptor 1 suppresses nicotinic acid-induced vasodilation in mice and humans. *Proc. Natl. Acad. Sci. USA* **103(17)**, 6682-6687 (2006).
3. Marchetto, M.C.N., Muotri, A.R., Mu, Y., *et al*. Non-cell-autonomous effect of human SOD1G37R astrocytes on motor neurons derived from human embryonic stem cells. *Cell. Stem Cell* **3(6)**, 649-657 (2008).
4. Di Giorgio, F.P., Boulting, G.L., Bobrowicz, S., *et al*. Human embryonic stem cell-derived motor neurons are sensitive to the toxic effect of glial cells carrying an ALS-causing mutation. *Cell. Stem Cell.* **3(6)**, 637-648 (2008).

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