Laropiprant sodium

Cat. No.: HY-50175A

CAS No.: 572874-50-1

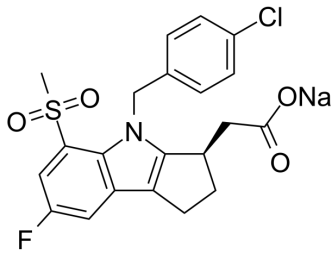
Molecular Formula: $C_{21}H_{18}ClFNNaO_4S$

Molecular Weight: 457.88

Target: Prostaglandin Receptor

Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Laropiprant sodium is a potent and selective DP receptor antagonist with K_i values of 0.57 nM and 2.95 nM for DP receptor and TP Receptor, respectively[1][2][3].

IC_{50} & Target

DP	TP
0.57 nM (Ki)	2.95 nM (Ki)

In Vitro

Laropiprant sodium (0.01-1000 μM; 10 mins; HEK293 cells) is an Inverse Agonist of DP1 cAMP Signaling and reduces DP1 cAMP signaling below basal levels[1].

Laropiprant sodium (1 μM; 0-24 h; HEK293 cells) is a pharmacochaperone in promoting DP1 cell surface expression[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Laropiprant sodium (0-100 mg/kg; p.o. and i.v.; male Sprague-Dawley rats) exhibits good pharmacokinetic profiles[3].

Pharmacokinetic Analysis in Male Sprague-Dawley rats[3]

Route	Dose (mg/kg)	$AUC_{0-\infty}$ (μM·hr)	Cl_p (mL/min/kg)	V_{dss} (L/kg)	$T_{1/2}$ (hr)
PO	1	22.7	1.9	0.7	7.4
PO	5	96.0	2.1	0.9	7.6

Route	Dose (mg/kg)	$AUC_{0-\infty}$ (μM·hr)	C_{max} (μM)	T_{max} (hr)	F(%)
IV	5	52.6	15.6	1.2	/

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Labrecque P, et, al. Inverse agonist and pharmacochaperone properties of MK-0524 on the prostanoid DP1 receptor. PLoS One. 2013 Jun 10;8(6):e65767.

[2]. Sturino CF, et, al. Discovery of a potent and selective prostaglandin D2 receptor antagonist, [(3R)-4-(4-chloro-benzyl)-7-fluoro-5-(methylsulfonyl)-1,2,3,4-tetrahydrocyclopenta[b]indol-3-yl]-acetic acid (MK-0524). J Med Chem. 2007 Feb 22;50(4):794-806.

[3]. Chang SW, et, al. The pharmacokinetics and disposition of MK-0524, a Prosglandin D2 Receptor 1 antagonist, in rats, dogs and monkeys. Xenobiotica. 2007 May;37(5):514-33.

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