Flumexadol

Cat. No.: HY-133024

CAS No.: 30914-89-7

Molecular Formula: $C_{11}H_{12}F_3NO$

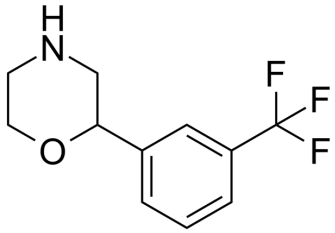
Molecular Weight: 231.21

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Pure form	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (144.15 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.3251 mL	21.6254 mL	43.2507 mL
5 mM	0.8650 mL	4.3251 mL	8.6501 mL
10 mM	0.4325 mL	2.1625 mL	4.3251 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.81 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.81 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.81 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Flumexadol is a selective and affinity 5-HT_{2C} receptor agonist with a K_i of 25 nM for the (+)-enantiomer of Flumexadol, and is 40-fold selective over the 5-HT_{2A} receptor. Flumexadol is an orally active non-narcotic analgesic[1][2].

IC_{50} & Target

5-HT_{2C} Receptor
25 nM (Ki)

In Vivo

In rats and dogs dosed with ^{14}C-Flumexadol (CERM1841), the ^{14}C is excreted in the urine. The ^{14}C eliminated in the faeces of

dog is significantly higher than for rat. Conjugated metabolites, mostly glucuronides, accounted for the greater part of the urinary radioactivity in both species. Biotransformation products are predominantly acids in both species, follows by significant amounts of basic metabolites, with very little neutral substances. The major urinary metabolite in rats is 3-trifluoromethylbenzoic acid and 3-trifluoromethylhipuric acid. In the dog it is 3-trifluoromethylmandelic acid in addition to the benzoic acid and its conjugate. The basic products identified in the urine of both species are unchanged drug and 1-amino-2-hydroxy-2-(3-trifluoromethylphenyl)ethane, with the first predominating[3].

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

REFERENCES

[1]. Hache J, et al. The pharmacology of 1841 CERM, a new analgesic. Arzneimittelforschung. 1978;28(4):642-5.

[2]. Nilsson BM. 5-Hydroxytryptamine 2C (5-HT2C) receptor agonists as potential antiobesity agents. J Med Chem. 2006 Jul 13;49(14):4023-34.

[3]. Kucharczyk N, et al. Metabolites of 2-(3-trifluoromethylphenyl)tetrahydro-1,4-oxazine (CERM) 1841) in rats and dogs. Xenobiotica. 1979 Nov;9(11):703-11.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA