5-HT2A antagonist 2

Cat. No.: HY-161247

CAS No.: 2641482-08-6

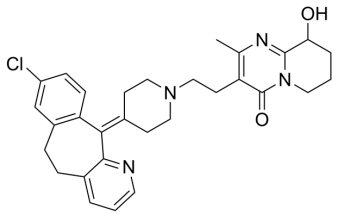
Molecular Formula: $C_{30}H_{33}ClN_4O_2$

Molecular Weight: 517.06

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

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



BIOLOGICAL ACTIVITY

Description

5HT2A antagonist 2 is an orally active, selective antagonist for $5HT_{2A}$ with IC_{50} of 14 nM. 5-HT2A antagonist 2 exhibits good chemical, hepatocyte, and plasma stability, without significant cytotoxicity in cell lines VERO, HFL-1, L929, NIH3T3, CHO-K1 [1].

IC_{50} & Target

$5\text{-}HT_{2A}$ Receptor
14 nM (IC_{50})

In Vivo

5-HT2A antagonist 2 (5-10 mg/kg, p.o., daily for 12 weeks) protects against the HFD-induced MASLD in C57BL6J mice[1].
5-HT2A antagonist 2 (5-10 mg/kg, p.o., daily for 12 weeks) inhibits liver fibrosis and inflammation in CDAHFD fed C57BL6/J mice[1].
5-HT2A antagonist 2 exhibits pharmacokinetic profils in rat and in dog[1]:

Pharmacokinetic Analysis of 5-HT2A antagonist 2 in rat and dog[1]

species	route	Dose (mg/kg)	$T_{1/2}$ (h)	AUC (μg·h/mL)	CL (L/h/kg)	V (L/kg)	F (%)
rat	iv	5	4.4	1.55	2.82	8.86	-
dog	iv	5	8.6	16.79	0.42	4.36	-
dog	po	5	1.02	19.11	-	-	73

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

Animal Model:	HFD-fed C57BL6/J mice[1]
Dosage:	5-10 mg/kg
Administration:	p.o., daily for 12 weeks
Result:	Reduced fat mass and weight of liver and inguinal white adipose tissue (iWAT), improved glucose tolerance.

	Reduced steatosis, lobular inflammation, and hepatocyte ballooning in liver tissue.

Animal Model:	choline-deficient, L-amino acid-defined high-fat diet (CDAHFD) fed C57BL6/J mice[1]
Dosage:	5-10 mg/kg
Administration:	p.o., daily for 12 weeks
Result:	Decreased mRNA expressions of ol1a1 and α-SMA, decreased collagen accumulation and expressions of α-SMA, TNF-α, and IL-1β .

REFERENCES

[1]. Pagire HS, et al., Discovery of a peripheral 5HT2A antagonist as a clinical candidate for metabolic dysfunction-associated steatohepatitis. Nat Commun. 2024 Jan 20;15(1):645.

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