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5-HT1AR agonist 1

Cat. No.: HY-162585

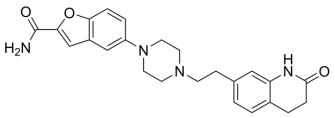
Molecular Formula: $C_{24}H_{26}N_4O_3$

Molecular Weight: 418.49

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

5-HT1AR agonist 1 (Compound A3) emerges as a relatively balanced multi-target activity profile, including 5-HT1AR agonist with an EC_{50} value of 34 nM, SERT reuptake ihibitor (IC_{50} =12 nM), NET reuptake inhibitor (IC_{50} =78 nM) and DAT reuptake inhibitor (IC_{50} =135 nM). 5-HT1AR agonist 1 performs significant antidepressant effects and exhibits excellent bioavailability and low clearance in mice, which is promising for research in the field of antidepressant drugs[1].

IC_{50} & Target

SERT	NET	DAT
12 nM (IC_{50})	78 nM (IC_{50})	135 nM (IC_{50})

In Vivo

5-HT1AR agonist 1 (2.5 MG/ KG, I.V. and 10 MG / KG, P.O.) shows excellent oral bioavailability and low clearance in mice[1].

5-HT1AR agonist 1 (30 MG/KG, i.p., 30 min) shows the robust antidepressant potential in the forced swimming test in mice, similar to the effect of Vilazodone (HY-14262)[1]

In Vivo pharmacokinetic parameters of 5-HT1AR agonist 1 in ICR mice.[1]

□□□□□□□□[1]

Route	Dose (mg/kg)	T_{max} (h)	C_{max} (ng/mL)	AUC_{last} (ng·h/mL)	$T_{1/2}$ (h)	CL (mL/min/kg)	Vd (L/kg)	F (%)
A3	PO.	2.07 ± 0.15	0.42 ± 0.08	4980 ± 1590	16913 ± 2451	/	/	147 %
	10 mg/kg							
	IV.	2.64 ± 0.50	0.08 ± 0.00	2633 ± 104	2842 ± 142	14.62 ± 0.78	3.38 ± 0.79	
	10 mg/kg							

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

Animal Model:	Male ICR mice
Dosage:	2.5 MG/ KG, I.V. and 10 MG / KG, P.O.

Administration:	I.V. and P.O., a single dose
Result:	Showed excellent oral bioavailability in mice[1]

Animal Model:	C57 mice
Dosage:	30 MG/KG
Administration:	i.p., a single dose
Result:	Significantly reduced immobility time in the forced swimming test in mice, similar to the effect of Vilazodone (HY-14262)[1]

REFERENCES

[1]. Zheng J, et al. Synthesis and biological evaluation of multimodal monoaminergic arylpiperazine derivatives with potential antidepressant profile[J]. Eur J Med Chem. 2024 Jun 3;275:116564.

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