Brexpiprazole

Cat. No.: HY-15780

CAS No.: 913611-97-9

Molecular Formula: $C_{25}H_{27}N_3O_2S$

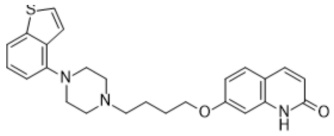
Molecular Weight: 433.57

Target: 5-HT Receptor; Dopamine Receptor; Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (57.66 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3064 mL	11.5322 mL	23.0643 mL
	5 mM	0.4613 mL	2.3064 mL	4.6129 mL
	10 mM	0.2306 mL	1.1532 mL	2.3064 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.77 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Brexpiprazole (OPC-34712), an atypical orally active antipsychotic drug, is a partial agonist of human 5-HT1A and dopamine D_{2L} receptor with K_is of 0.12 nM and 0.3 nM, respectively. Brexpiprazole is also a 5-HT2A receptor antagonist with a K_i of 0.47 nM. Brexpiprazole also shows potent antagonist activity at human noradrenergic α_{1B} (K_i=0.17 nM) and α_{2C} receptors (K_i=0.59 nM)[1][2].

IC_{50} & Target

5-HT_{1A} Receptor 0.12 nM (Ki)	5-HT_{2A} Receptor 0.47 nM (Ki)	D_{2L} Receptor 0.3 nM (Ki)	human noradrenergic α_{1B} 0.17 nM (Ki)
human noradrenergic α_{2C} 0.59 nM (Ki)			

In Vitro	Brexpiprazole (0-1.0 μM, 4 days) potentiates NGF-induced neurite outgrowth in a dose-dependent manner in PC12 cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Brexpiprazole (0-0.1 mg/kg; p.o.; once) improves social recognition deficits in mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male C57BL/6NCrSlc mice, Dizocilpine (0.1 mg/kg) (HY-15084B) induced social recognition deficits[2]
Dosage:	0.01, 0.03 and 0.1 mg/kg
Administration:	Oral administration, once
Result:	Significantly ameliorated Dizocilpine-induced social recognition deficits, without sedation or a reduction of exploratory behavior.

CUSTOMER VALIDATION

- Acta Pharmacol Sin. 2021 May 11.
- Eur J Pharmacol. 2021 Oct 6;174557.

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REFERENCES

[1]. Ishima T, et al. Potentiation of neurite outgrowth by brexpiprazole, a novel serotonin-dopamine activity modulator: a role for serotonin 5-HT1A and 5-HT2A receptors. Eur Neuropsychopharmacol. 2015 Apr;25(4):505-11.

[2]. Yoshimi N, et al. Improvement of dizocilpine-induced social recognition deficits in mice by brexpiprazole, a novel serotonin-dopamine activity modulator. Eur Neuropsychopharmacol. 2015 Mar;25(3):356-64.

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