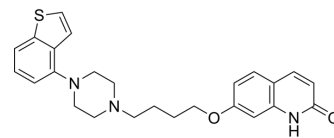


## Brexpiprazole

Cat. No.:	HY-15780		
CAS No.:	913611-97-9		
Molecular Formula:	C <sub>25</sub> H <sub>27</sub> N <sub>3</sub> O <sub>2</sub> S		
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	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

In Vitro	DMSO : 25 mg/mL (57.66 mM); ultrasonic and warming and heat to 80°C				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	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 agent, is a partial agonist of human 5-HT <sub>1A</sub> and dopamine D <sub>2L</sub> receptor with K <sub>i</sub> s of 0.12 nM and 0.3 nM, respectively. Brexpiprazole is also a 5-HT <sub>2A</sub> receptor antagonist with a K <sub>i</sub> of 0.47 nM. Brexpiprazole also shows potent antagonist activity at human noradrenergic α <sub>1B</sub> (K <sub>i</sub> =0.17 nM) and α <sub>2C</sub> receptors (K <sub>i</sub> =0.59 nM) <sup>[1][2]</sup> .			
IC <sub>50</sub> & Target	5-HT <sub>1A</sub> Receptor 0.12 nM (K <sub>i</sub> )	5-HT <sub>2A</sub> Receptor 0.47 nM (K <sub>i</sub> )	D <sub>2L</sub> Receptor 0.3 nM (K <sub>i</sub> )	human noradrenergic α <sub>1B</sub> 0.17 nM (K <sub>i</sub> )
	human noradrenergic α <sub>2C</sub> 0.59 nM (K <sub>i</sub> )			

<b>In Vitro</b>	Brexpiprazole (0-1.0 $\mu$ M, 4 days) potentiates NGF-induced neurite outgrowth in a dose-dependent manner in PC12 cells <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
<b>In Vivo</b>	Brexpiprazole (0-0.1 mg/kg; p.o.; once) improves social recognition deficits in mice <sup>[2]</sup> . 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 <sup>[2]</sup>
	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.
- Pharmacol Rep. 2023 Jan 13.

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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-HT<sub>1A</sub> and 5-HT<sub>2A</sub> 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.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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