Data Sheet (Cat.No.T2306)



Brexpiprazole

Chemical Properties

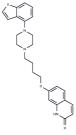
CAS No.: 913611-97-9

Formula: C25H27N3O2S

Molecular Weight: 433.57

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

| Description | Brexpiprazole (OPC-34712) is a partial agonist of human 5-hydroxytryptamine (5-HT) 5-HT1A and dopamine D2 receptors. | | |
|---------------|---|--|--|
| Targets(IC50) | 5-HT Receptor,Adrenergic Receptor,Dopamine Receptor | | |
| In vitro | Brexpiprazole is a potent partial agonist at human 5-hydroxytryptamine (5-HT) 5-HT1A (Ki=0.12 nM) and dopamine D2L (Ki=0.3 nM) receptors, and an antagonist at 5-HT2A receptors (Ki=0.47 nM). It also shows potent antagonist activity at human noradrenergic α 1B (Ki=0.17 nM) and α 2Creceptors (Ki=0.59 nM). Furthermore, this drug displays moderate affinity for human D3, 5-HT2B and 5-HT7 receptors, as well as α 1A, and α 1D adrenergic receptors. Brexpiprazole potentiated NGF-induced neurite outgrowth in PC12 cells. It could significantly potentiate the effects of fluoxetine (or paroxetine) on neurite outgrowth[1]. | | |
| In vivo | Brexpiprazole is able to ameliorate PCP- 191 induced cognitive deficits in mice, via 5-HT1A receptors[2]. | | |
| Cell Research | 2.5 ng/ml of NGF(nerve growth factor) is used to study the potentiating effects of brexpiprazole on neurite outgrowth. Twenty-four hours after plating, the medium is replaced with DMEM medium containing 0.5% FBS and 1% penicillin-streptomycin with NGF (2.5 ng/ml), with or without brexpiprazole (0.001, 0.01, 0.1 or 1.0 µM). Four days after incubation with NGF (2.5 ng/ml) with or without drugs, morphometric analysis is performed on digitized images of live cells taken under phase-contrast illumination, with an inverted microscope linked to a camera. (Only for Reference) | | |

Solubility Information

| Solubility | H2O: < 1 mg/mL (insoluble or slightly soluble), | | | |
|------------|---|--|--|--|
| | DMSO: 4.34 mg/mL (10 mM),Sonication is recommended. | | | |
| | Ethanol: < 1 mg/mL (insoluble or slightly soluble), | | | |
| | (< 1 mg/ml refers to the product slightly soluble or insoluble) | | | |

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Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|------------|------------|
| 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 |
| 50 mM | 0.0461 mL | 0.2306 mL | 0.4613 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Ishima T, et al. Eur Neuropsychopharmacol. 2015, 25(4):505-511. Yoshimi N, et al. Pharmacol Biochem Behav. 2014, 124:245-249.

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