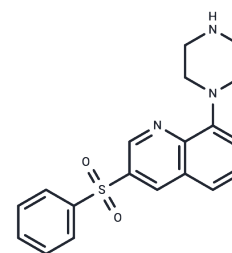


Intepirdine

Chemical Properties

CAS No. :	607742-69-8
Formula:	C19H19N3O2S
Molecular Weight:	353.44
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Intepirdine (GSK-742457) is a highly selective 5-HT6 receptor antagonist, used in trials studying the treatment of Alzheimer's Disease.
Targets(IC50)	5-HT Receptor
In vitro	SB-742457 is a selective 5-HT6 receptor antagonist with cognition, memory, and learning-enhancing effects. [2] SB-742457 is a novel cognitive enhancing agent for Alzheimer's disease. SB-742457 is a potential agent added to stabilize donepezil treatment in subjects with mild-to-moderate Alzheimer's disease. [1]
Kinase Assay	<p>In vitro kinase activity assay: EGFR kinase: Each 100 µL enzyme reaction contained 10 µL of inhibitor in 50% Me2SO, 20 µL of substrate solution (200 mM HEPES pH 7.4, 50 mM Mg-acetate, 2.5 mg/mL poly (EY), 5 µg/mL bio-pEY) and 20 µL enzyme preparation. The enzymatic reaction is started by addition of 50 µL of a 100 µM ATP solution made in 10 mM MgCl2. Assays are carried out at room temperature for 30 min and terminated by the addition of 50 µL of stop solution (250 mM EDTA in 20 mM HEPES pH 7.4). 100 µL are transferred to a streptavidin coated microtiterplate, after an incubation time of 60 min at room temperature the plate is washed with 200 µL of wash solution (50 mM Tris, 0.05% Tween20). A 100 µL aliquot of a HRPO- labeled anti-PY antibody (PY20H Anti-Ptyr:HRP) 250 ng/mL are added to the wells. After 60 min of incubation, the plate is washed three times with a 200 µL wash solution. The samples are then developed with a 100µL TMB Peroxidase Solution (A:B= 1:1). The reaction is stopped after 10 min. The plate is transferred to an ELISA reader and extinction is measured at OD450 nM. HER2-IC enzyme: Enzyme activity is assayed in the presence or absence of serial inhibitor dilutions performed in 50 % Me2SO. Each 100 µL reaction contains similar components as described for EGFR kinase assay with addition of 1000 µM Na3VO4. The enzymatic reaction is started by addition of 50µL of 500 µM ATP solution made in 10 mM Mg-acetate. The dilution of the enzyme is set so that incorporation of phosphate into bio-pEY is linear with respect to time and amount of enzyme. The enzyme preparation is diluted in 20 mM HEPES pH 7.4, 130 mM NaCl, 0.05% Triton X-100, 1 mM DTT and 10% glycerol. Assays are carried out at room temperature for 30 min and terminated by the addition of 50 µL of stop solution. Src kinase assays: Each 100 µL reaction contained 10 µL of inhibitor in 50 % Me2SO, 20µL of enzyme preparation, 20 µL of substrate solution supplemented with 1000 µM Na3VO4.The enzymatic reaction is started by addition of 50 µL of a 1000 µM ATP solution made in 10 mM Mg-acetate. BIRK kinase assay: 250 mM Tris pH 7.4, 10 mM DTT, 2.5 mg/mL poly(EY), 5 mg/mL bio-pEY is used as substrate</p>

solution and enzymatic reaction is started by addition of 50 μ L of a 2 mM ATP solution made in 8 mM $MnCl_2$, 20 mM Mg-acetate. VEGF2 and HGFR kinase assays: Assays are carried out at room temperature for 20 minutes and terminated by the addition of 10 μ L of 5 % H_3PO_4 . The precipitate is then trapped onto GF/B filters using a 96 well filter mate universal harvester. After extensive washing the filter plate is dried for 1 h at 50°C, sealed and incorporated radioactivity is determined by scintillation counting using a TopCount[®] or a Microbeta b counter[®].

Solubility Information

Solubility	Ethanol: 2 mg/mL (5.66 mM), Sonication is recommended. H ₂ O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 70 mg/mL (198.05 mM), Sonication is recommended. (< 1 mg/mL refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8293 mL	14.1467 mL	28.2933 mL
5 mM	0.5659 mL	2.8293 mL	5.6587 mL
10 mM	0.2829 mL	1.4147 mL	2.8293 mL
50 mM	0.0566 mL	0.2829 mL	0.5659 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

- Chuang ATT, et al. *Alzheimers Dement*, 2006, 2, S631-S632 (abstract).
- Rychtyk J, Partyka A, Gdula-Argasińska J, et al. 5-HT₆ receptor agonist and antagonist improve memory impairments and hippocampal BDNF signaling alterations induced by MK-801. *Brain Research*. 2019: 146375
- Upton N, et al. *Neurotherapeutics*, 2008, 5(3), 458-469.
- Wesołowska A, Rychtyk J, Gdula-Argasińska J, et al Effect of 5-HT₆ Receptor Ligands Combined with Haloperidol or Risperidone on Antidepressant-/Anxiolytic-Like Behavior and BDNF Regulation in Hippocampus and Prefrontal Cortex of Rats. *Neuropsychiatric Disease and Treatment*. 2021, 17: 2105.
- Partyka A, Górecka K, Gdula-Argasińska J, et al. Selective 5-HT₆ Receptor Ligands (Agonist and Antagonist) Show Different Effects on Antipsychotic Drug-Induced Metabolic Dysfunctions in Rats. *Pharmaceuticals*. 2023, 16(2): 154.

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