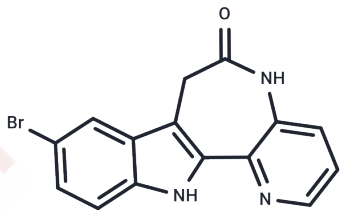
Data Sheet (Cat.No.T6358)

TargetMol

1-Azakenpaullone

Chemical Properties



CAS No. : 676596-65-9

Formula: C15H10BrN3O

Molecular Weight: 328.16

Appearance: no data available

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

Biological Description

Description	1-Azakenpaullone (azakenpaullone) is a potent and selective GSK-3β inhibitor with IC50 of 18 nM, >100-fold selectivity over CDK1/cyclin B and CDK5/p25.
Targets(IC50)	GSK-3
In vitro	1-Azakenpaullone inhibits the CDK1/cyclin B, CDK5/p25, and GSK-3β effectively, with IC50 of 0.018 μM, 4.2 μM, and 2.0 μM, respectively. [1] In human islets, 1-Azakenpaullone (5 mM) in combination with glucose (8 mM) stimulates the β-cell proliferation. [2] 1-Azakenpaullone effectively stimulates INS-1E cells replication and protects INS-1E cells against glucolipotoxicity-induced cell death. [3][4]
In vivo	Pretreatment with 1-Azakenpaullone (10 or 100 pmol, i.c.v.) attenuates the ketamine-induced locomotor hyperactivity, disruption of PPI and cognitive de?cits, and improves the ketamine-induced motor incoordination in rotarod test. [5]
Kinase Assay	Kinase preparations and assays: GSK-3β is assayed, following a 1/100 dilution in 1 mg BSA per mL 10 mM dithiothreitol, with 5 μL 40 μM GS-1 peptide as a substrate, in buffer A, in the presence of 15 μM [γ-32P]ATP (3000 Ci·mmol-1; 1 mCi·mL-1) in a final volume of 30 μL. After 30 min incubation at 30℃, 25 μL aliquots of supernatant are spotted onto 2.5×3 cm pieces of Whatman P81 phosphocellulose paper, and 20 s later, the filters are washed five times in a solution of 10 mL phosphoric acid per L of water. The wet filters are counted in the presence of 1 mL ACS scintillation fluid. The kinase activity of CDK1/cyclin B is assayed in buffer C, with 1 mg/mL histone H1, in the presence of 15 μM [γ-32P]ATP (3000 Ci·mmol-1; 1 mCi·mL-1) in a final volume of 30 μL. After 10 min incubation at 30℃, 25 μL aliquots of supernatant are spotted onto P81 phosphocellulose papers and treated as described above. The activity of CDK5/p25 is assayed in buffer C as described for CDK1/cyclin B. (Buffer A: 10 mM MgCl2 , 1 mM EGTA, 1 mM dithiothreitol, 25 mM Tris/HCl pH 7.5, 50 μg heparin/mL. Buffer C: homogenization buffer but 5 mM EGTA, no NaF and no protease inhibitors.)
Cell Research	Cell replication is determined by BrdUrd incorporation after treatment with 1-Azakenpaullone for 24 h. The relative cell number is determined after treatment with 1-Azakenpaullone for 4 days using the CyQuant cell proliferation assay. Results are presented as fold change relative to control. (Only for Reference)

Solubility Information

Solubility	DMSO: 60 mg/mL (182.84 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0473 mL	15.2365 mL	30.4729 mL
5 mM	0.6095 mL	3.0473 mL	6.0946 mL
10 mM	0.3047 mL	1.5236 mL	3.0473 mL
50 mM	0.0609 mL	0.3047 mL	0.6095 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

Kunick C, et al. Bioorg Med Chem Lett. 2004, 14(2), 413-416.
Liu H, et al. Diabetes. 2009, 58(3), 663-672.
Stukenbrock H, et al. J Med Chem. 2008, 51(7), 2196-2207.
Mussmann R, et al. J Biol Chem. 2007, 282(16), 12030-12037.
Chan MH, et al. Schizophr Res. 2012, 136(1-3), 96-103.