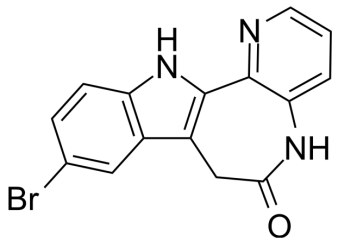
1-Azakenpaullone

Cat. No.:	HY-59090
CAS No.:	676596-65-9
Molecular Formula:	$C_{15}H_{10}BrN_3O$
Molecular Weight:	328.16
Target:	GSK-3
Pathway:	PI3K/Akt/mTOR; Stem Cell/Wnt
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (609.46 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 1.67 mg/mL (5.09 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

1-Azakenpaullone (1-Akp) is a highly selective and ATP-competitive inhibitor of glycogen synthase kinase-3 β (GSK-3β), with an IC_{50} value of 18 nM[1].

IC_{50} & Target

GSK-3β	CDK1/cyclin B	CDK5/p25
18 nM (IC_{50})	2 μM (IC_{50})	4.2 μM (IC_{50})

In Vitro

1-Azakenpaullone (2.5 μM, 48 h) stimulates proliferation in irradiated zebrafish lateral line neuromasts[2].
1-Azakenpaullone (3 μM, 48 h) induces the osteoblastic differentiation and mineralization of human human mesenchymal stem cells (MSCs), by activating Wnt signaling[3].
1-Azakenpaullone (30 μM, 24 h) reduces S6K1 phosphorylation in HCC1806 cells[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Real Time qPCR[3]

Cell Line:	human MSCs
Concentration:	3 μM
Incubation Time:	48 h
Result:	Increased gene expression of osteoblast-specific marker genes (ALP, OC, ON, COL1A1, and OPN).

In Vivo

1-Azakenpaullone (10 or 100 pmol, i.c.v.) reverses Ketamine (20 mg/kg, i.p.)-induced locomotor hyperactivity and behavioral aberrations in Male NMRI mice[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Stem Cell Rev Rep. 2022 Jan 26.
- Research Square Preprint. 2021 Sep.
- Patent. US20180263995A1.

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REFERENCES

[1]. Li R, et al. Ionizing Radiation Blocks Hair Cell Regeneration in Zebrafish Lateral Line Neuromasts by Preventing Wnt Signaling. Mol Neurobiol. 2018 Feb;55(2):1639-1651.

[2]. AlMuraikhi N, et al. Inhibition of GSK-3β Enhances Osteoblast Differentiation of Human Mesenchymal Stem Cells through Wnt Signalling Overexpressing Runx2. Int J Mol Sci. 2023 Apr 12;24(8):7164.

[3]. Chan MH, et al. Inhibition of glycogen synthase kinase-3 attenuates psychotomimetic effects of ketamine. Schizophr Res. 2012 Apr;136(1-3):96-103.

[4]. Shin S, et al. Glycogen synthase kinase-3β positively regulates protein synthesis and cell proliferation through the regulation of translation initiation factor 4E-binding protein 1. Oncogene. 2014 Mar 27;33(13):1690-9.

[5]. Kunick C, et al. 1-Azakenpaullone is a selective inhibitor of glycogen synthase kinase-3 beta. Bioorg Med Chem Lett. 2004 Jan 19;14(2):413-6.

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