Kenpaullone

Cat. No.: HY-12302

CAS No.: 142273-20-9

Molecular Formula: $C_{16}H_{11}BrN_2O$

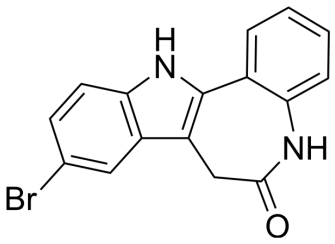
Molecular Weight: 327.18

Target: CDK; GSK-3

Pathway: Cell Cycle/DNA Damage; PI3K/Akt/mTOR; Stem Cell/Wnt

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 : ≥ 35 mg/mL (106.97 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.0564 mL	15.2821 mL	30.5642 mL
5 mM	0.6113 mL	3.0564 mL	6.1128 mL
10 mM	0.3056 mL	1.5282 mL	3.0564 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: ≥ 2.5 mg/mL (7.64 mM); Suspended solution

BIOLOGICAL ACTIVITY

Description

Kenpaullone is a potent inhibitor of CDK1/cyclin B and GSK-3β, with IC_{50}s of 0.4 μM and 23 nM, and also inhibits CDK2/cyclin A, CDK2/cyclin E, and CDK5/p25 with IC_{50}s of 0.68 μM, 7.5 μM, 0.85 μM, respectively. Kenpaullone, a small molecule inhibitor of KLF4, reduces self-renewal of breast cancer stem cells and cell motility in vitro.

IC_{50} & Target

Cdk1/cyclin B 0.4 μM (IC_{50})	cdk2/cyclin A 0.68 μM (IC_{50})	CDK5/p35 0.85 μM (IC_{50})	CDK2/cyclinE 7.5 μM (IC_{50})
GSK-3β 0.023 μM (IC_{50})	erk1 20 μM (IC_{50})	erk2 9 μM (IC_{50})	c-raf 38 μM (IC_{50})

In Vitro

Kenpaullone shows much less effect on c-src (IC_{50}, 15 μM), casein kinase 2 (IC_{50}, 20 μM), erk 1 (IC_{50}, 20 μM), and erk 2 (IC_{50}, 9

μM). Kenpaullone acts by competitive inhibition of ATP binding, and the apparent K_i is 2.5 μM. Kenpaullone can inhibit the growth of tumor cells in culture (mean GI_{50}, 43 μM) and causes altered cell cycle progression most clearly revealed under conditions of recovery from serum starvation[1]. Kenpaullone demonstrates a wide range of biological utility, extending from maintenance of pancreatic β cell survival and proliferation to the induction of apoptosis in cancer cells[2].

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

PROTOCOL

Kinase Assay [1]

The kinase assay is run for 10 min at 30°C with 1 mg/mL histone H1, in the presence of 15 μM [g-^{32}P]ATP (3000 Ci/μmol; 1 mCi/mL) in a final volume of 30 ml. Purification and assays or inhibition of other kinases are performed. In kinetic experiments, the histone H1 concentration is lowered to 3.5 mg/mL; the ATP concentration ranged from 50 to 400 μM, and the kenpaullone concentration ranges from 1 to 4 μM.

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

CUSTOMER VALIDATION

- Mil Med Res. 2020 Nov 1;7(1):52.
- Mil Med Res. 2020 Sep 6;7(1):42.
- Sci Adv. 2021 Apr 14;7(16):eabb2213.

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REFERENCES

[1]. Zaharevitz DW, et al. Discovery and initial characterization of the paullones, a novel class of small-molecule inhibitors of cyclin-dependent kinases. Cancer Res. 1999 Jun 1;59(11):2566-9.

[2]. Lyssiotis CA, et al. Reprogramming of murine fibroblasts to induced pluripotent stem cells with chemical complementation of Klf4. Proc Natl Acad Sci U S A. 2009 Jun 2;106(22):8912-7.

[3]. F Yu, et al. Kruppel-like factor 4 (KLF4) is required for maintenance of breast cancer stem cells and for cell migration and invasion. Oncogene. 2011 May 5;30(18):2161-72.

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