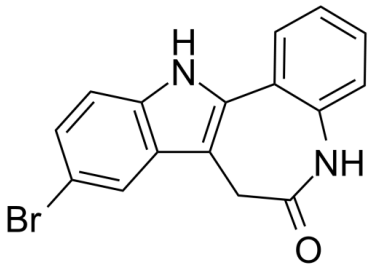
Data Sheet

Product Name:	Kenpaullone
Cat. No.:	CS-5049
CAS No.:	142273-20-9
Molecular Formula:	C16H11BrN2O
Molecular Weight:	327.18
Target:	CDK; GSK-3
Pathway:	Cell Cycle/DNA Damage; PI3K/Akt/mTOR; Stem Cell/Wnt
Solubility:	DMSO : ≥ 35 mg/mL (106.97 mM)



BIOLOGICAL ACTIVITY:

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. IC50 & Target: IC50: 0.4 μM (CDK1/cyclin B), 0.68 μM (CDK2/cyclin A), 7.5 μM (CDK2/cyclin E), 0.85 μM (CDK5/p25)[1], 23 nM (GSK-3β)[2] **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].

PROTOCOL (Extracted from published papers and Only for reference)

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.

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.

CAIndexNames:

Indolo[3,2-d][1]benzazepin-6(5H)-one, 9-bromo-7,12-dihydro-

SMILES:

O=C1NC2=CC=CC=C2C(NC3=C4C=C(Br)C=C3)=C4C1

Caution: Product has not been fully validated for medical applications. For research use only.

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