Small Molecules

Kenpaullone

WNT pathway activator; Inhibits GSK3

Catalog # 72782

10 mg

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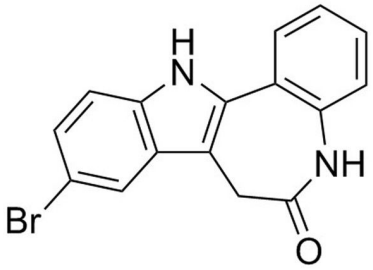
Product Description

Kenpaullone is an ATP-competitive inhibitor of several cyclin-dependent kinases (CDKs) as well as glycogen synthase kinase 3β (GSK-3β; Bain et al.; Leclerc et al.; Zaharevitz et al.). It inhibits GSK-3β, Cdk1/cyclin B, Cdk2/cyclin A, Cdk5/p25, and lymphocyte kinase with IC_{50} values of 0.23, 0.4, 0.68, 0.85, and 0.47 µM, respectively (Bain et al.; Zaharevitz et al.).

Molecular Name:	Kenpaullone
Alternative Names:	9-Bromopaullone; NSC 664704
CAS Number:	142273-20-9
Chemical Formula:	$C_{16}H_{11}BrN_2O$
Molecular Weight:	327.2 g/mol
Purity:	≥ 98%
Chemical Name:	9-bromo-7,12-dihydro-indolo[3,2-d][1]benzazepin-6(5H)-one
Structure:	



Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 30 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 306 µL of fresh DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

REPROGRAMMING
· Replaces Klf4 in the generation of induced pluripotent stem (iPS) cells from mouse embryonic fibroblasts transduced with Oct4, Sox2, and c-Myc (Lyssiotis et al.).
DIFFERENTIATION
· Enhances neuronal differentiation in rat and human neural precursor cell cultures (Castelo-Branco et al.; Lange et al.).
· Promotes survival of motor neurons derived from mouse embryonic stem cells and from amyotrophic lateral sclerosis (ALS) patient iPS cells (Yang et al.).
CANCER RESEARCH
· Inhibits KLF4 expression and self-renewal in breast cancer stem cells in vitro (Yu et al.).

References

Bain J et al. (2003) The specificities of protein kinase inhibitors: an update. Biochem J 371(Pt 1): 199–204.
Castelo-Branco G et al. (2004) GSK-3beta inhibition/beta-catenin stabilization in ventral midbrain precursors increases differentiation into dopamine neurons. J Cell Sci 117(Pt 24): 5731–7.
Lange C et al. (2011) Small molecule GSK-3 inhibitors increase neurogenesis of human neural progenitor cells. Neurosci Lett 488(1): 36–40.
Leclerc S et al. (2001) Indirubins inhibit glycogen synthase kinase-3 beta and CDK5/p25, two protein kinases involved in abnormal tau phosphorylation in Alzheimer's disease. A property common to most cyclin-dependent kinase inhibitors? J Biol Chem 276(1): 251–60.
Lyssiotis CA et al. (2009) Reprogramming of murine fibroblasts to induced pluripotent stem cells with chemical complementation of Klf4. Proc Natl Acad Sci USA 106(22): 8912–7.
Yang YM et al. (2013) A small molecule screen in stem-cell-derived motor neurons identifies a kinase inhibitor as a candidate therapeutic for ALS. Cell Stem Cell 12(6): 713–26.
Yu F et al. (2011) Kruppel-like factor 4 (KLF4) is required for maintenance of breast cancer stem cells and for cell migration and invasion. Oncogene 30(18): 2161–72.
Zaharevitz DW et al. (1999) Discovery and initial characterization of the paullones, a novel class of small-molecule inhibitors of cyclin-dependent kinases. Cancer Res 59(11): 2566–9.

Related Small Molecules

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