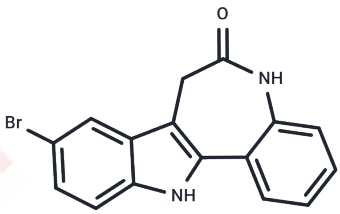
Data Sheet (Cat.No.T2247)

TargetMol

KenPaullone

Chemical Properties



CAS No. : 142273-20-9

Formula: C16H11BrN2O

Molecular Weight: 327.18

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	KenPaullone (9-Bromopaullone), a potent CDK1, CDK2 and CDK5 inhibitor, as new enhancer for iTreg cell differentiation. Kenpaullone promotes iTreg cell differentiation through increased and prolonged transcription of foxp3 gene by enhancing TGFβ-Smad3 signaling pathway.
Targets(IC50)	CDK,GSK-3
In vitro	In HEK-293 cells, phosphorylation of the endogenous GSK3α on Tyr279 is greatly decreased after prolonged incubation with Kenpaullone. Also, the Phosphorylation of the endogenous GSK3β also decreases, although less markedly. Kenpaullone also induces the dephosphorylation of both GSK3 isoforms in SH-SY5Y cells and PC12 cells. Kenpaullone (20 μM) strongly suppresses the autophosphorylation of GSK3β at Tyr216 in vitro whether GSK3 is expressed in Sf21 cells or in E. coli[2][3].
Kinase Assay	IC50 determination: Active GST-LRRK2 (1326-2527), GST-LRRK2 [G2019S] (1326-2527), GST-LRRK2 [A2016T] (1326-2527) and GST-LRRK2 [A2016T+G2019S] (1326-2527) enzyme is purified with glutathione sepharose from HEK293 cell lysate 36 h following transient transfection of the appropriate cDNA constructs. Peptide kinase assays, performed in duplicate, are set up in a total volume of 40 μL containing 0.5 μg LRRK2 kinase (which at approximately 10% purity gives a final concentration of 8 nM) in 50 mM Tris/HCl, pH 7.5, 0.1 mM EGTA, 10 mM MgCl2, 20 μM Nictide, 0.1 μM [γ-32P]ATP (~500 cpm/pmol) and the indicated concentrations of inhibitor dissolved in DMSO. After incubation for 15 min at 30 °C, reactions are terminated by spotting 35 μL of the reaction mix onto P81 phosphocellulose paper and immersion in 50 mM phosphoric acid. Samples are washed extensively and the incorporation of [γ-32P]ATP into Nictide is quantified by Cerenkov counting. IC50 values are calculated with GraphPad Prism using non-linear regression analysis.

Solubility Information

Solubility	Ethanol: 1.6 mg/mL (4.89 mM),Heating is recommended. DMSO: 41.67 mg/mL (127.36 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn oil: < 4.17 mg/mL (12.75 mM),Lower concentrations may be soluble, but exact solubility limit is unknown.

In vivo Formulation	10% DMSO+90% (20% SBE-β-CD in Saline): < 4.17 mg/mL (12.75 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: < 4.17 mg/mL (12.75 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+90% Saline: < 4.17 mg/mL (12.75 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0611 mL	0.3056 mL	0.6113 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Zaharevitz et al. Cancer Res. 1999, 59(11):2566-2569.
Ou J, Li H, Qiu P, et al. CDK9 modulates circadian clock by attenuating REV-ERBα activity. Biochemical and Biophysical Research Communications. 2019 Jun 11;513(4):967-973
Adam COLE, et al. Biochem. J. 2004, 377(1):249-255.
Leclerc S, et al. J Biol Chem. 2001, 276(1):251-260.
Ou J, Li H, Qiu P, et al. CDK9 modulates circadian clock by attenuating REV-ERBα activity[J]. Biochemical and biophysical research communications. 2019 Jun 11;513(4):967-973.