

AKT inhibitor VIII

Chemical Properties

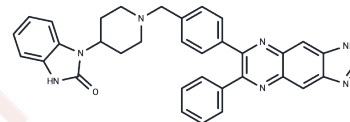
CAS No. : 612847-09-3

Formula: C₃₄H₂₉N₇O

Molecular Weight: 551.64

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	AKT inhibitor VIII (AKTi-1/2) is a highly specific inhibitor of Akt1/2, with IC ₅₀ values of 58 nM and 210 nM respectively, demonstrating approximately 36-fold greater selectivity for Akt1 over Akt3.
Targets(IC ₅₀)	Apoptosis,Akt
In vitro	Akti-1/2 (50 mg/kg, i.p.) inhibits both basal and IGF-stimulated Akt1/2 phosphorylation in the lungs of mice.
In vivo	In cell-based IPKA (C33A) assays, AKT inhibitor VIII suppresses Akt1/2 with IC ₅₀ values of 305 and 2086 nM, respectively. It significantly increases caspase-3 activity, inducing apoptosis in MCF7, HT29, and A2780 cells. In hepatocytes, it inhibits insulin's regulation of PEPCK and the expression of G6Pase and FOXO1 activity. Additionally, AKT inhibitor VIII enhances PAR-1-mediated platelet aggregation by blocking PKB. It inhibits cell growth in HCC827, NCI-H522, NCI-1651, and PC-9 cells with IC ₅₀ values of 4.7, 7.25, and 9.5 μM, respectively. When combined with gefitinib, the effects of suppressing cell growth and inducing apoptosis are enhanced.
Kinase Assay	Kinase screen: Briefly, all assays (25.5 μl at 21°C for 30 min) are performed using a Biomek 2000 Laboratory Automation Workstation in a 96-well format. Reactions contains 5–20 mU purified kinase along with substrate peptide or protein and are initiated by the addition of 10 mM MgAcetate and 5, 20, or 50 μM ATP ([γ- ³³ P]-ATP, 800 cpm/pmol).
Cell Research	The cell growth inhibitory effect of AKTi-1/2 is studied using the 96 h sulforhodamine B assay (SRB). Drug concentrations that inhibited 50% of cell growth (IC ₅₀) are calculated for each compound in GraphPad Prism 6.0 using non-linear regression analysis and sigmoidal dose-response (variable slope) equation.(Only for Reference)

Solubility Information

Solubility	DMSO: 11 mg/mL (20 mM),Heating is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8128 mL	9.0639 mL	18.1278 mL
5 mM	0.3626 mL	1.8128 mL	3.6256 mL
10 mM	0.1813 mL	0.9064 mL	1.8128 mL
50 mM	0.0363 mL	0.1813 mL	0.3626 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.

Reference

Lindsley CW, et al. Bioorg Med Chem Lett. 2005, 15(3), 761-764.

Huang Q, Ru Y, Luo Y, et al. Identification of a targeted ACSL4 inhibitor to treat ferroptosis-related diseases. Science Advances. 2024, 10(13): eadk1200.

Logie L, et al. Diabetes. 2007, 56(9), 2218-2227.

Hunter RW, et al. J Thromb Haemost. 2008, 6(11), 1923-1932.

Puglisi M, et al. Lung Cancer. 2014, 85(2), 141-146.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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