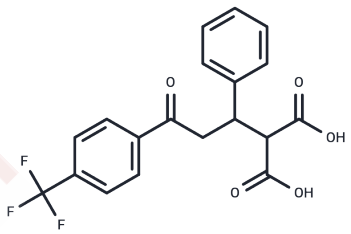
Data Sheet (Cat.No.T16670)

PS210

Chemical Properties



CAS No. :	1221962-86-2
Formula:	C19H15F3O5
Molecular Weight:	380.31
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	PS210 is a potent and selective activator of PDK1 (Kd: 3 μM), specifically targeting the PIF-binding pocket of PDK1 without affecting other protein kinases such as S6K, PKB/Akt, or GSK3. Its prodrug, PS423, serves as a substrate-selective inhibitor of PDK1 in cells, inhibiting the phosphorylation and activation of S6K.
Targets(IC50)	PDK
In vitro	PS210 stabilized the residue Arg131 when PS210 causes stabilization of PDK1 to the temperature gradient, located opposite to the helix a-B at the other extreme of the helix a-C. So, the residues forming part of the phosphate-binding site appear to be a fixed point that allows for the relative movement of the helices in the process of PDK1 activation[1].

Solubility Information

Solubility	DMSO: 60 mg/mL (157.77 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.26 mM),Sonication is recommended. *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	2.6294 mL	13.1472 mL	26.2943 mL
5 mM	0.5259 mL	2.6294 mL	5.2589 mL
10 mM	0.2629 mL	1.3147 mL	2.6294 mL
50 mM	0.0526 mL	0.2629 mL	0.5259 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

Busschots K, et al. Substrate-selective inhibition of protein kinase PDK1 by small compounds that bind to the PIF-pocket allosteric docking site. Chem Biol. 2012 Sep 21;19(9):1152-63.
Rettenmaier TJ, et al. A small-molecule mimic of a peptide docking motif inhibits the protein kinase PDK1. Proc Natl Acad Sci U S A. 2014 Dec 30;111(52):18590-5.