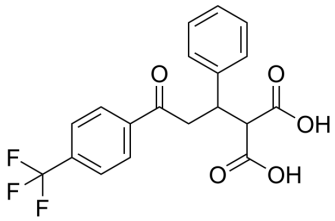
PS210

Cat. No.:	HY-121629
CAS No.:	1221962-86-2
Molecular Formula:	$C_{19}H_{15}F_3O_5$
Molecular Weight:	380.31
Target:	PDK-1
Pathway:	PI3K/Akt/mTOR
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (262.94 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PS210 is a potent and selective PDK1 activator with a K_d of 3 μM and targets the PIF-binding pocket of PDK1. PS210 is inactive against other protein kinases, including PDK1 downstream signaling components such as S6K, PKB/Akt or GSK3. In cells, the prodrug of PS210 (PS423) acts as a substrate-selective inhibitor of PDK1, inhibiting the phosphorylation and activation of S6K[1][2].

IC_{50} & Target

Kd: 3 μM (PDK1)[2]

In Vitro

When PS210 induces a stabilization of PDK1 to the temperature gradien, PS210 stabilized the residue Arg131, located

opposite to the helix a-B at the other extreme of the helix a-C. Thus, 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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.

[2]. 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.

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