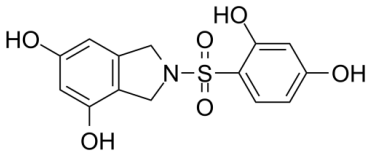
Data Sheet

Product Name:	PS10
Cat. No.:	CS-0083143
CAS No.:	1564265-82-2
Molecular Formula:	$C_{14}H_{13}NO_6S$
Molecular Weight:	323.32
Target:	PDHK
Pathway:	Metabolic Enzyme/Protease
Solubility:	DMSO : 62.5 mg/mL (193.31 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

PS10 is a novel, potent and ATP-competitive pan-**PDK** inhibitor, inhibits all PDK isoforms with **IC_{50}** of 0.8 μM, 0.76 μM, 2.1 μM and 21.3 μM for PDK2, PDK4, PDK1, and PDK3, respectively. PS10 shows high affinity for PDK2 (**K_d**= 239 nM) than for Hsp90 (K_d= 47 μM)[1]. PS10 improves glucose tolerance, stimulates myocardial carbohydrate oxidation in diet-induced obesity. PS10 has the potential for the investigation of diabetic cardiomyopathy[2].PDK: pyruvate dehydrogenase kinase IC50 & Target: IC50: 0.8 μM (PDK2); 0.76 μM (PDK4); 2.1 μM (PDK3); 21.3 μM (PDK1)[1] **In Vitro:** PS10 shows a higher affinity of PS10 for PDK2 (K_d= 239 nM) than for Hsp90 (K_d= 47,000 nM)[1].

PS10 is less potent than cycloheximide in HeLa cells, it shows an IC_{50} value of 284 μM for the growth inhibition and PS10 has low toxicity in cells[1].

In Vivo: PS10 (Intraperitoneal injection; 70 mg/kg; single dose) treatment lead to 11- and 23-fold higher PDC activity in heart and liver, respectively. Meanwhile, there results in a 1.4-fold enhancement of PDC activity in kidneys compared with vehicle-group[1].

PS10 (Intraperitoneal injection; 70 mg/kg; 3 days) treatment results that thePDC activity profiles and the phospho-E1α subunit level is similar to the single-dose. Notably, the three-day treatment attenuates the enhancement of PDK activity in heart[1].

PS10 (intraperitoneal injection; 70 mg/kg; 4 weeks) is treated in mice and subjected to a glucose tolerance test. when challenged with 1.5 g/kg glucose, the plasma glucose level in the vehicle-treated control is at 200 mg/dl at 0 min, peaks at 482 mg/dl at 30 min, and reduces to 210 mg/dl at 120 min. In PS10-treated DIO mice, the glucose level at 168 mg/dl at 0 min is lower than that in vehicle-treated animals, reachs 312 mg/dl at 30 min, and returns to 163 mg/dl at 120 min[1].

PS10 (intraperitoneal injection; 70 mg/kg) and DCA both stimulates flux through PDC as measured by the appearance of hyperpolarized [^{13}C]bicarbonate. It shows similar glucose tolerance response to glucose challenge restores PDC activity in the DIO mouse hearts[2].

References:

[1]. Structure-guided development of specific pyruvate dehydrogenase kinase inhibitors targeting the ATP-binding pocket.J Biol Chem. 2014 Feb 14;289(7):4432-43.

[2]. Wu CY, et al. A novel inhibitor of pyruvate dehydrogenase kinase stimulates myocardial carbohydrate oxidation in diet-induced obesity.J Biol Chem. 2018 Jun 22;293(25):9604-9613.

CAIndexNames:

1H-Isoindole-4,6-diol, 2-[(2,4-dihydroxyphenyl)sulfonyl]-2,3-dihydro-

SMILES:

OC1=CC(O)=CC2=C1CN(S(=O)(C3=CC=C(O)C=C3O)=O)C2

Caution: Product has not been fully validated for medical applications. For research use only.

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