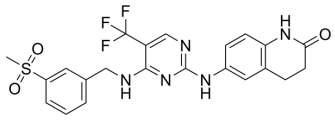
PF-573228

Cat. No.:	HY-10461
CAS No.:	869288-64-2
Molecular Formula:	$C_{22}H_{20}F_3N_5O_3S$
Molecular Weight:	491.49
Target:	FAK; Apoptosis
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (50.87 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0346 mL	10.1731 mL	20.3463 mL
5 mM	0.4069 mL	2.0346 mL	4.0693 mL
10 mM	0.2035 mL	1.0173 mL	2.0346 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.09 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.23 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.23 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-573228 is a potent and selective FAK inhibitor with IC_{50} of 4 nM for purified recombinant catalytic fragment of FAK.

IC_{50} & Target

IC50: 4 nM (FAK)[1]

In Vitro

PF-573228 inhibits purified recombinant catalytic fragment of FAK with an IC_{50} value of 4 nM[1].
PF-573228 inhibits FAK phosphorylation on Tyr_{397} with an IC_{50} value of 30-100 nM[1].
PF-573228 significantly decreased FAK Tyr_{397} phosphorylation[1].

PF-573228 inhibits both chemotactic and haptotactic migration concomitant with the inhibition of focal adhesion turnover [1].

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

PROTOCOL

Kinase Assay [1]

Purified activated FAK kinase domain is reacted with 50 μM ATP, and 10 μg/well of a random peptide polymer of Glu and Tyr (molar ratio of 4:1), poly (Glu/Tyr) in kinase buffer for 15 min. Phosphorylation of poly(Glu/Tyr) is challenged with s

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Cell Assay [1]

REF52 or PC3 cells are seeded into a 24-well plate in triplicate 24 h prior to daily treatment with the indicated concentrations of each inhibitor (PF-573228) for 3 days. Subsequently, the cells are harvested and counted. Apoptosis assays are performed using a cell death detection ELISA. REF52, PC3 or MDCKcells are treated for 24 h (16 h for MDCK) with the indicated concentrations of each inhibitor prior to lysis. Cells suspended for 16-24 h in serum-free medium served as a positive control. The cell lysates are incubated in duplicate in the ELISA system. The data represent the means±standard deviation of one of three experiments performed in duplicate[1].

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

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2022 Aug 31;7(1):290.
- Adv Sci (Weinh). 2020 Jun 17;7(15):1903583.
- Biomaterials. 2018 Oct 15;188:130-143.
- Biomaterials. 2018 Sep;178:281-292.
- Sci Adv. 2022 Nov 16;8(46):eabo1673.

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REFERENCES

[1]. Slack-Davis JK, et al. Cellular characterization of a novel focal adhesion kinase inhibitor. J Biol Chem. 2007 May 18;282(20):14845-52.

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