PF-4800567

Cat. No.: HY-12470

CAS No.: 1188296-52-7

Molecular Formula: $C_{17}H_{18}ClN_5O_2$

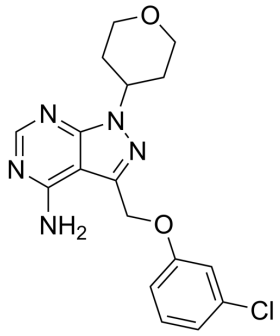
Molecular Weight: 359.81

Target: Casein Kinase

Pathway: Cell Cycle/DNA Damage; Stem Cell/Wnt

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 : 62.5 mg/mL (173.70 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7792 mL	13.8962 mL	27.7924 mL
	5 mM	0.5558 mL	2.7792 mL	5.5585 mL
	10 mM	0.2779 mL	1.3896 mL	2.7792 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 (6.95 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.95 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-4800567 is a potent and selective inhibitor of casein kinase 1 (CK1), with an IC_{50} of 32 nM, which is greater than 20-fold selectivity over CK1δ (IC_{50}, 711 nM).

IC_{50} & Target

CKIδ
711 nM (IC_{50})

In Vitro

PF-4800567 is a potent and selective inhibitor of casein kinase 1? (CK1?), with an IC_{50} of 32 nM, which is greater than 20-fold

	selectivity over CK1δ (IC_{50}, 711 nM). PF-4800567 shows inhibitory activity against CK1? and CK1δ in whole cells, with IC_{50}s of 2.65 and 20.38 μM, respectively. PF-4800567 (0.01-10 μM) blocks CK1?-mediated PER3 nuclear localization mediated by CK1? and suppresses PER2 degradation at 1 μM. In addition, PF-4800567 has little effect on the circadian clock at 32 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PF-4800567 (100 mg/kg, s.c.) is rapidly absorpted and distributed in plasma and brain of mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	For drug treatment, 24 h after synchronization, individual dishes of cells under PMT recording are treated with CK1 inhibitors (PF-4800567 or PF-670462 at a range of doses) or DMSO (vehicle control). The compounds are left continuously with the samples thereafter, and the luminescence patterns are recorded for at least 6 days. Periods are analyzed by use of RAP software[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.

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REFERENCES

[1]. Walton KM, et al. Selective inhibition of casein kinase 1 epsilon minimally alters circadian clock period. J Pharmacol Exp Ther. 2009 Aug;330(2):430-9.

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