Data Sheet (Cat.No.T9611)

PF-04802367

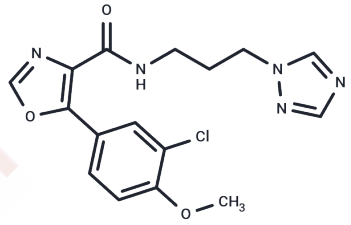
Chemical Properties

CAS No. : 1962178-27-3

Formula: C16H16ClN5O3

Molecular Weight: 361.78

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	PF-04802367 is a highly selective GSK-3 inhibitor with an IC50 of 2.1 nM based on a recombinant human GSK-3β enzyme assay and 1.1 nM based on ADP-Glo assay. It shows desirable central nervous system (CNS) properties and potency. It is equally effective at inhibition of the two known GSK-3 isoforms (GSK-3α and GSK-3β) with IC50 values of 10.0 and 9.0 nM in mobility shift assays, respectively.
Targets(IC50)	GSK-3
In vitro	PF-04802367 (PF-367) effectively inhibits GSK-3β enzymatic activity in vitro, with ligand and lipophilic efficiency scores of 0.46 and 7.0, respectively [1]. It exhibits reasonable in vitro stability in human hepatic microsomes (t1/2=78.7 min) and has excellent passive permeability [1]. In a stable inducible CHO cell line over-expressing GSK-3β and its substrate tau, PF-367 inhibits phosphorylation of tau with an IC50 of 466 nM [1]. PF-367 demonstrates good cell viability (IC50 of 117 μM in THLE cytotoxicity assays) and an IC50 >100 μM in a hERG screening assay [1]. It shows significant right shifts against β-catenin translocation in HeLa cells with an EC50 of 6.2 μM, gene transcription in U2OS cells with an EC50 of 20.6 μM, and cell proliferation in HeLa cells as evaluated by Ki-67 incorporation with an EC50 of 9.0 μM [1].
In vivo	PF-04802367 (PF-367) is a potent type-I dual GSK-3α/β inhibitor with exceptional kinome selectivity that modulates phosphorylated tau levels in vivo. It demonstrates dose-dependent inhibition of tau phosphorylation in the brain at subcutaneous doses of 1, 3.2, 10, 32, or 50 mg/kg. Additionally, PF-367 exhibits promising ADME properties, and robust CNS/peripheral p-Tau and muscle phosphorylated glycogen synthase (pGS) inhibition in vivo.

Solubility Information

Solubility	DMSO: 100 mg/mL (276.41 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: 4 mg/mL (11.06 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*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7641 mL	13.8206 mL	27.6411 mL
5 mM	0.5528 mL	2.7641 mL	5.5282 mL
10 mM	0.2764 mL	1.3821 mL	2.7641 mL
50 mM	0.0553 mL	0.2764 mL	0.5528 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.