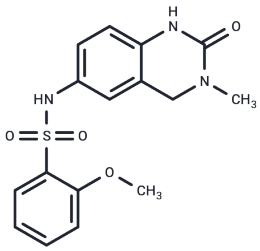
Data Sheet (Cat.No.T6222)

TargetMol

PFI-1

Chemical Properties



CAS No. : 1403764-72-6

Formula: C16H17N3O4S

Molecular Weight: 347.39

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	PFI-1 (PF-6405761), a specific BET (bromodomain-containing protein) inhibitor for BRD4, is with the IC50 of 0.22 μM in a cell-free assay.
Targets(IC50)	Apoptosis,Epigenetic Reader Domain,Autophagy
In vitro	In rats, PFI-1, administered intravenously at a dose of 1 mg/kg, exhibits a distribution volume of 1 L/kg and a plasma clearance rate of 18 mL/kg per minute, with a half-life of 1 hour. Orally administered PFI-1 at a dose of 2 mg/kg demonstrates a low efficacy of 32%. When PFI-1 is administered subcutaneously to mice at a 2 mg/kg dose, the peak concentration (Cmax) reaches 58 ng/mL, the time to peak concentration (Tmax) is 1 hour, and the half-life is approximately 2 hours.
In vivo	In human monocytes stimulated by lipopolysaccharides, PFI-1 (EC50=1.89 μM) suppresses the production of IL-6. It also inhibits cell proliferation in three NET cell lines (pancreatic NET-derived Bon-1 and lung NET-derived H727 and H720). In T4302 CD133+ cells, PFI-1 induces a dose-dependent decrease in cell viability. PFI-1 (KD=49 μM) binds to the cAMP response element-binding protein.
Cell Research	To determine dose response, cells are aliquoted into 96-well plate at 5 × 103 cells per well in triplicates. PFI-1 is added by 2- or 4-fold serial dilutions. Cell number is measured 5 days after plating using the CellTiter-Glo Kit and normalized to corresponding vehicle-treated groups. IC50 values are calculated by the GraphPad Prism 5 software using the 4-parameter logistic nonlinear regression model. To calculate the relative growth of cells, averaged cell titers of each group on day 1 are assigned a value of 1. All subsequent cell titer values are normalized accordingly. (Only for Reference)

Solubility Information

Solubility	DMSO: 40 mg/mL (115.14 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: 2 mg/mL (5.76 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 vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8786 mL	14.393 mL	28.7861 mL
5 mM	0.5757 mL	2.8786 mL	5.7572 mL
10 mM	0.2879 mL	1.4393 mL	2.8786 mL
50 mM	0.0576 mL	0.2879 mL	0.5757 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.

Reference

Fish PV, et al. J Med Chem, 2012, 55(22), 9831-9837.
Cheng Z, et al. Clin Cancer Res, 2013, 19(7), 1-12.
KE Lines, et al. Endocrine Abstracts, 2013.
Picaud S, et al. Cancer Res. 2013, 73(11):3336-46.