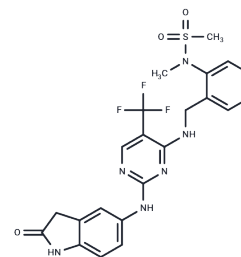


PF-431396

Chemical Properties

CAS No. : 717906-29-1
 Formula: C₂₂H₂₁F₃N₆O₃S
 Molecular Weight: 506.5
 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-431396 is a dual PYK2/FAK inhibitor (IC ₅₀ : 11/2 nM).
Targets(IC ₅₀)	FAK, PYK2
In vitro	PF-431396 consistently inhibits protein tyrosine kinase phosphorylation under both calcium deficiency and the presence of Ca/W-7. It also blocks tyrosine phosphorylation of Pyk2 and FAK induced by anti-Ig- and aggregated LFA-1 in A20 cells, thereby inhibiting B cell proliferation.
Kinase Assay	In Vitro Kinase Assays and Selectivity Screening : To measure Mps1 activity, 25 ng of recombinant, full-length enzyme is incubated in reaction buffer (50 mM Tris-HCl pH 7.5, 10 mM MgCl ₂ , 0.01% Triton X-100 and 5 μM Myelin basic protein (MBP)) containing vehicle (DMSO alone) or inhibitors. Forty μM ATP (2xKm) is added with 1 μCi [γ- ³³ P]ATP and the reaction is incubated at room temperature for 45 minutes. Reactions are terminated with 3% phosphoric acid and transferred to P81 filter plates. Samples are washed in 1% phosphoric acid and ³³ P radioactivity is measured on a TopCount scintillation reader. In-house kinase assays are all carried out at 2xKm ATP concentrations. MPI-0479605 (500 nM) is also screened against a larger kinase panel.

Solubility Information

Solubility	DMSO: 25.3 mg/mL (49.95 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 (3.95 mM), Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9743 mL	9.8717 mL	19.7433 mL
5 mM	0.3949 mL	1.9743 mL	3.9487 mL
10 mM	0.1974 mL	0.9872 mL	1.9743 mL
50 mM	0.0395 mL	0.1974 mL	0.3949 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

Han S, et al. J Biol Chem. 2009, 284(19), 13193-13201.

Xu H, Tan M, Hou G Q, et al. Blockade of DDR1/PYK2/ERK signaling suggesting SH2 superbinder as a novel autophagy inhibitor for pancreatic cancer. Cell Death & Disease. 2023, 14(12): 811.

Tse KW, et al. J Biol Chem. 2009, 284(34), 22865-22877.

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