

PF-06751979

Chemical Properties

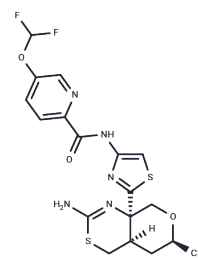
CAS No. : 1818339-66-0

Formula: C₁₈H₁₉F₂N₅O₃S₂

Molecular Weight: 455.5

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-06751979 is an inhibitor of β -site amyloid precursor protein cleaving enzyme 1 (BACE1) (IC ₅₀ of 7.3 nM in BACE1 binding assay).
Targets(IC ₅₀)	Beta-Secretase, BACE
In vitro	PF-06751979 demonstrates enhanced selectivity for BACE2 (IC ₅₀ =194 nM) with a 27-fold improvement compared to literature examples and various chemical series in the BACE1 program.
In vivo	PF-06751979 demonstrates excellent brain penetration, potent in vivo efficacy, and broad selectivity over related aspartyl proteases, including BACE2. Acute administration results in a robust, dose-responsive, and time-dependent reduction of cerebral spinal fluid (CSF) A β x-40, with peak inhibition of over 77% at 3 hours. [1].

Solubility Information

Solubility	Ethanol: 50 mg/mL (109.77 mM), Sonication is recommended. DMSO: 150 mg/mL (329.31 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: 3.3 mg/mL (7.24 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	2.1954 mL	10.9769 mL	21.9539 mL
5 mM	0.4391 mL	2.1954 mL	4.3908 mL
10 mM	0.2195 mL	1.0977 mL	2.1954 mL
50 mM	0.0439 mL	0.2195 mL	0.4391 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

O'Neill BT, et al. Design and Synthesis of Clinical Candidate PF-06751979: A Potent, Brain Penetrant, β -Site Amyloid Precursor Protein Cleaving Enzyme 1 (BACE1) Inhibitor Lacking Hypopigmentation. J Med Chem. 2018 May 24;61(10):4476-4504.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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