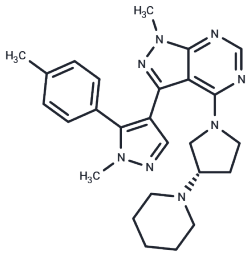
Data Sheet (Cat.No.T21548)

PF-4981517

Chemical Properties



CAS No. : 1390637-82-7

Formula: C26H32N8

Molecular Weight: 456.59

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-4981517 (CYP3cide) is a efficient, specific and time-dependent inhibitor of cytochrome P4503A4 (CYP3A4). The IC 50 values for CYP3A activity are 0.03 μM,17 μM, and 71 μM for CYP3A4, CYP3A5, and CYP3A7, respectively. PF-4981517 is able to be used to distinguish the contributions of CYP3A4 versus CYP3A5 on drug Metabolism.
Targets(IC50)	Cytochromes P450
In vitro	When investigating the inhibition of PF-4981517, an extreme metabolic inactivation efficiency (k inact /K I) of 3300 to 3800 ml min -1 μmol -1 is observed in human liver microsomes from donors of nonfunctioning CYP3A5 (CYP3A5 * 3/ * 3). This observed efficiency equated to an apparent KI between 420 and 480 nM with a maximal inactivation rate (kinact) equal to 1.6 min -1. When PF-4981517 is tested at a concentration and preincubation time to completely inhibit CYP3A4 in a library of genotyped polymorphic CYP3A5 microsomes, the correlation of the remaining CYP3A activity to CYP3A5 abundance is significant.

Solubility Information

Solubility	DMSO: 40 mg/mL (87.61 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 (8.76 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	2.1901 mL	10.9507 mL	21.9015 mL
5 mM	0.438 mL	2.1901 mL	4.3803 mL
10 mM	0.219 mL	1.0951 mL	2.1901 mL
50 mM	0.0438 mL	0.219 mL	0.438 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

Robert L Walsky, et al. Selective mechanism-based inactivation of CYP3A4 by CYP3cide (PF-04981517) and its utility as an in vitro tool for delineating the relative roles of CYP3A4 versus CYP3A5 in the metabolism of drugs. Drug Metab Dispos. 2012 Sep;40(9)