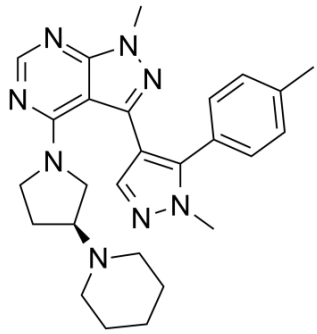
CYP3cide

Cat. No.:	HY-18642
CAS No.:	1390637-82-7
Molecular Formula:	$C_{26}H_{32}N_8$
Molecular Weight:	456.59
Target:	Cytochrome P450
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	CYP3cide (PF-4981517) is a potent, selective and time-dependent inhibitor of **cytochrome P4503A4 (CYP3A4)**. The **IC_{50}** values for **Midazolam 1'-hydroxylase** activity are 0.03 μM, 17 μM, and 71 μM for **CYP3A4**, CYP3A5, and CYP3A7, respectively. CYP3cide can be used to distinguish the contributions of **CYP3A4** versus CYP3A5 on drug metabolism[1].		
IC_{50} & Target	CYP3A4 30 nM (EC50)	CYP3A5 17 μM (EC50)	CYP3A7 71 μM (EC50)
In Vitro	When investigating the inhibitory properties of CYP3cide, an extreme metabolic inactivation efficiency (k^{inact}/K^{I}) of 3300 to 3800 ml • min^{-1} • μmol^{-1} is observed using 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 CYP3cide 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 midazolam 1'-hydroxylase activity to CYP3A5 abundance is significant[1].		

REFERENCES

[1]. 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):1686-97.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA