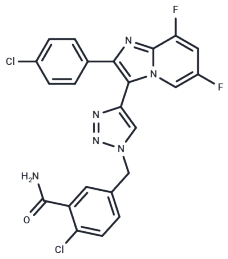
Data Sheet (Cat.No.T211422)

MI891

Chemical Properties



CAS No. : 2530027-77-9

Formula: C23H14Cl2F2N6O

Molecular Weight: 499.30

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	MI891 is a highly selective PXR antagonist (IC50= 3.76 μM, Kd= 1.7 μM) and inverse agonist (IC50= 6.1 μM). It selectively disrupts the interaction between PXR and its coactivator SRC1. MI891 effectively inhibits Rifampicin-induced PXR activation and can be utilized in research on metabolic and other diseases.
Targets(IC50)	Others,Cytochromes P450
In vitro	MI891 effectively inhibits Rifampicin-induced activation of PXR, displaying antagonistic activity with an IC50 of 378 nM. At concentrations of 0-20 μM over 48 hours, MI891 suppresses both Rifampicin-induced and constitutive (basal) CYP3A4 mRNA expression in HepaRG cells. In HepG2 cells, MI891 (1-10 μM) disrupts the interaction between wt-PXR-LBD and SRC1-VP16 without activating the wild-type (wt-PXR LBD) or the triple-mutant (S208W/S247W/C284W) PXR LBD. It shows no binding activity to wild-type CAR in human CARwt and HepG2 cells (EC50 > 10 μM); high concentrations are necessary to activate CAR, with an EC50 of 137 μM, in human CARwt or CAR3 variants without significant activation of CAR3. MI891 (10 μM, 48 hours) demonstrates selective antagonistic and inverse agonistic effects on hPXR without agonism or antagonism effects on human VDR, FXR, LXRβ, LXRα, PPARα, PPARγ, AhR, or ERα/β receptors. It does not affect the viability of various cell lines, such as COS-1, HepG2, and HK-2, at concentrations up to 30 μM over 24 hours. Additionally, MI891 (5-10 μM, 48 hours) inhibits the expression of CYP3A4, CYP7A1, HMGCS2, PCK1, and MKI67 mRNA in primary human hepatocytes.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0028 mL	10.014 mL	20.028 mL
5 mM	0.4006 mL	2.0028 mL	4.0056 mL
10 mM	0.2003 mL	1.0014 mL	2.0028 mL
50 mM	0.0401 mL	0.2003 mL	0.4006 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.

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