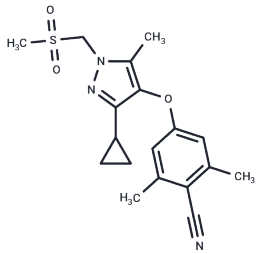
Data Sheet (Cat.No.T28362)

PF-02413873

Chemical Properties



CAS No. : 936345-35-6

Formula: C18H21N3O3S

Molecular Weight: 359.44

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-02413873 is a competitive antagonist of nonsteroidal progesterone receptor with a Ki of 2.6 nM. PF-02413873 can be used in studies about the treatment of gynecological conditions such as endometriosis.
Targets(IC50)	Progesterone Receptor
In vitro	PF-02413873 induces nuclear translocation only at high concentrations (>3 μM). PF-02413873 shows a derived Ki of 9.7 nM in the T47D native functional assay[3].
In vivo	In cynomolgus macaques, PF-02413873 (3 mg/kg; p.o.) exhibits a t1/2 of 4.2 h, a Cmax of 162 ng/mL and CL/F of 41 mL/min/kg. PF-02413873 (2.5 and 10 mg/kg; p.o.) reduces the endometrial thickness of 43 and 56%, respectively[3].

Solubility Information

Solubility	DMSO: 95 mg/mL (264.3 mM),Sonication and heating to 60°C are 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 (5.56 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	2.7821 mL	13.9105 mL	27.8211 mL
5 mM	0.5564 mL	2.7821 mL	5.5642 mL
10 mM	0.2782 mL	1.3911 mL	2.7821 mL
50 mM	0.0556 mL	0.2782 mL	0.5564 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

Bungay PJ, et, al. Preclinical and clinical pharmacokinetics of PF-02413873, a nonsteroidal progesterone receptor antagonist. Drug Metab Dispos. 2011 Aug;39(8):1396-405.

Jones HM, et al. Application of PBPK modelling in drug discovery and development at Pfizer. Xenobiotica. 2012 Jan; 42(1):94-106.

Howe DC, et, al. The translational efficacy of a nonsteroidal progesterone receptor antagonist, 4-[3-cyclopropyl-1-(mesylmethyl)-5-methyl-1H-pyrazol-4-yl]oxy,-2,6-dimethylbenzonitrile (PF-02413873), on endometrial growth in macaque and human. J Pharmacol Exp Ther. 2011 Nov;339(2):642-53.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481