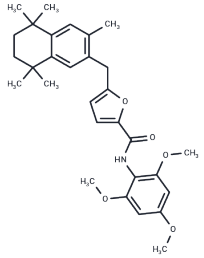
Data Sheet (Cat.No.T22025)

TargetMol

AG 045572

Chemical Properties



CAS No. : 263847-55-8

Formula: C30H37NO5

Molecular Weight: 491.62

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	AG 045572 is a potent GnRH receptor antagonist that inhibits the GnRH receptor in humans and rats with Ki values of 6.0 nM and 3.8 nM, respectively.AG 045572 is metabolized by CYP3A and inhibits testosterone.
Targets(IC50)	Cytochromes P450,GNRH Receptor
In vitro	AG 045572 (10 μM, 40 min, for human liver microsomes; 10 μM, 10 min, for male rat liver microsomes; 1 μM, 10 min, for female rat liver microsomes) is metabolized by CYP3A in both rats and humans. The Km values in male and female human and female rat liver microsomes and expressed CYP3A4 and CYP3A5 were similar (0.39, 0.27, 0.28, 0.25, and 0.26 μM, respectively), while the Km values in male rat liver microsomes were 1.5 μM, indicating that AG-045572 is metabolized by different CYP3A isoenzymes in male and female rats.[1]
In vivo	AG 045572 (10 mg/kg (i.v.) or 20 mg/kg (p.o.), one time) in intact male rats showed moderate T1/2, CL and Vss but low oral bioavailability, with The bioavailability is higher in female rats (24%), and the pharmacokinetics in castrated male rats are similar to those in female rats.[1] The pharmacokinetics of AG 045572 (40 mg/kg; i.m.; twice a day for 3 days) in intact male rats changed, and the parameters were similar to those in female and castrated male rats.[1]

Solubility Information

Solubility	DMSO: 55 mg/mL (111.88 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: 2 mg/mL (4.07 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	2.0341 mL	10.1705 mL	20.3409 mL
5 mM	0.4068 mL	2.0341 mL	4.0682 mL
10 mM	0.2034 mL	1.017 mL	2.0341 mL
50 mM	0.0407 mL	0.2034 mL	0.4068 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

Iatsimirskaia EA, et al. Effect of testosterone suppression on the pharmacokinetics of a potent gnRH receptor antagonist. Pharm Res. 2002;19(2):202-208.