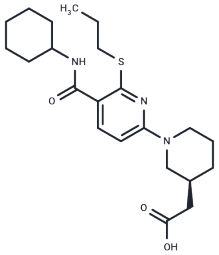
Data Sheet (Cat.No.T14388)

TargetMol

AZD 4017

Chemical Properties



CAS No. : 1024033-43-9

Formula: C22H33N3O3S

Molecular Weight: 419.58

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	AZD 4017 is an inhibitor of 11β-Hydroxysteroid Dehydrogenase Type 1(11β-HSD1) (IC50: 7 nM).
Targets(IC50)	Dehydrogenase
In vitro	AZD 4017 exhibits significant inhibition of a crucial target tissue (IC50=0.002 μM), aligning well with its enzyme potency, suggesting its effectiveness in adipose tissue is not compromised by its acidic nature[1]. It demonstrates remarkable selectivity against related enzymes 11-βHSD2, 17β-HSD1, and 17β-HSD3 (all IC50>30 μM), while showing negligible activity towards glucocorticoid and mineralocorticoid receptors. Although AZD 4017 is highly potent against the human variant of 11β-HSD1, its efficacy significantly diminishes across species, excluding cynomolgous monkey (IC50=0.029 μM). Considering adipose tissue as a critical organ, the compound's ability to inhibit 11β-HSD1 activity has been confirmed in isolated human adipocytes from nondiabetic volunteers.
In vivo	AZD 4017 exhibits dose-dependent inhibition of 11β-HSD1, with its effect being limited by lower potency against the mouse enzyme, restricting the scope of preclinical pharmacodynamic measurements. Increasing doses achieved a peak inhibition of roughly 70% at 1500 mg/kg, corresponding to 10×IC50 in mice, demonstrating the compound's efficacy in this model[1].

Solubility Information

Solubility	DMSO: 150 mg/mL (357.5 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 (9.53 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	2.3833 mL	11.9167 mL	23.8334 mL
5 mM	0.4767 mL	2.3833 mL	4.7667 mL
10 mM	0.2383 mL	1.1917 mL	2.3833 mL
50 mM	0.0477 mL	0.2383 mL	0.4767 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

Scott JS, et al. Discovery of a potent, selective, and orally bioavailable acidic 11β-hydroxysteroid dehydrogenase type 1 (11β-HSD1) inhibitor: discovery of 2-[(3S)-1-[5-(cyclohexylcarbamoyl)-6-propylsulfanylpyridin-2-yl]-3-piperidyl]acetic acid (AZD4017). J Med Chem. 2012 Jun 28;55(12):5951-64.