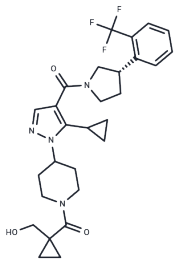
Data Sheet (Cat.No.T86776)

TargetMol

JTT-654

Chemical Properties



CAS No. : 916828-66-5

Formula: C28H33F3N4O3

Molecular Weight: 530.58

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	JTT-654 is a potent and selective orally active inhibitor of 11β-Hydroxysteroid dehydrogenase type 1 (11β-HSD1). It exhibits IC50 values of 4.65, 0.97, and 0.74 nM for human, rat, and mouse recombinant enzymes, respectively, and demonstrates competitive inhibition against the human recombinant enzyme. The IC50 value for human 11β-HSD2 is greater than 30 μM, as this enzyme is responsible for the reverse reaction against human 11β-HSD1. JTT-654 improves insulin resistance and non-obese type 2 diabetes by targeting 11β-HSD1 in adipose tissue and the liver [1] [2].
Targets(IC50)	Dehydrogenase
In vitro	JTT-654 (0.1-10 μM, 24 h) inhibits the production of angiotensinogen in cortisol-treated 3T3-L1 adipocytes [1].
In vivo	JTT-654 exhibits inhibitory activity against rat 11β-HSD1 in liver and adipose tissues, demonstrated at dosages ranging from 1-10 mg/kg orally in a single dose [1]. Over a four-day trial, JTT-654 (1-10 mg/kg, orally, daily) effectively mitigated the effects of cortisone in rats [1]. Additionally, twice-daily oral administration of JTT-654 (1.5-15 mg/kg for 19 days) substantially improved insulin resistance and hyperglycemia in a non-obese type 2 diabetic rat model [1]. In experiments with 8-week-old SD rats, a dose-dependent inhibition of corticosterone to cortisol conversion in liver and adipose tissues was observed following a single oral consumption of JTT-654 at doses of 1, 3, or 10 mg/kg. The inhibition reached nearly 100% at 8 hours after administration of 10 mg/kg and maintained about 70% efficacy after 24 hours. Furthermore, in a four-day study with 7-week-old male Wistar rats, daily oral administration of JTT-654 (1, 3, 10 mg/kg), followed by cortisone administration within one hour, significantly reduced fasting blood glucose and insulin levels in a dose-dependent manner. Lastly, in 8-week-old male GK rats, a model of non-obese type 2 diabetes, oral JTT-654 (1.5, 5, 15 mg/kg, twice daily for 19 days) significantly reduced fasting glucose and insulin levels, augmented glucose oxidation in adipose tissue under insulin stimulation, and inhibited hepatic gluconeogenesis.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8847 mL	9.4236 mL	18.8473 mL
5 mM	0.3769 mL	1.8847 mL	3.7695 mL
10 mM	0.1885 mL	0.9424 mL	1.8847 mL
50 mM	0.0377 mL	0.1885 mL	0.3769 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.