

BI-135585

Chemical Properties

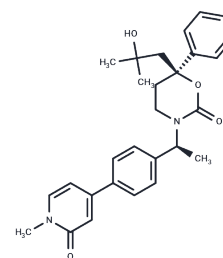
CAS No. : 1114561-85-1

Formula: C₂₈H₃₂N₂O₄

Molecular Weight: 460.57

Storage: Keep away from moisture, Store at low temperature
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	BI-135585 is an orally active, selective and potent inhibitor of human 11β-hydroxysteroid dehydrogenase-1 (HSD1) for the study of type 2 diabetes.
Targets(IC50)	Dehydrogenase
In vitro	BI-135585 interacts with the substrate binding pocket of the 11β-HSD1 active site. In human preadipocytes, its inhibition of 11β-HSD1 activity was evaluated and found to have an average IC ₅₀ of 1 nM. In isolated human adipose tissue, BI-135585 blocked the conversion of corticosterone to cortisol at an average IC ₅₀ of 11 nM. [1] A study of abdominal subcutaneous and perirenal adipose tissue from a male cynomolgus monkey showed that BI-135585 (20 hours of action) exhibited dose-dependent inhibition of the enzyme activity in perirenal adipose tissue with an IC ₅₀ of approximately 10 nM, while in abdominal subcutaneous adipose tissue the IC ₅₀ was approximately 100 nM.[1]
In vivo	BI-135585 (compound 11j; 1-3 mg/kg; orally) inhibited the enzyme activity in perirenal adipose tissue of cynomolgus monkeys by 67% and 90%, respectively. [2]

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1712 mL	10.8561 mL	21.7122 mL
5 mM	0.4342 mL	2.1712 mL	4.3424 mL
10 mM	0.2171 mL	1.0856 mL	2.1712 mL
50 mM	0.0434 mL	0.2171 mL	0.4342 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

Hamilton BS, et al. Pharmacological characterization of the selective 11β -hydroxysteroid dehydrogenase 1 inhibitor, BI 135585, a clinical candidate for the treatment of type 2 diabetes. *Eur J Pharmacol.* 2015 Jan 5;746:50-5.
Zhuang L, et al. Discovery of BI 135585, an in vivo efficacious oxazinanone-based 11β hydroxysteroid dehydrogenase type 1 inhibitor. *Bioorg Med Chem.* 2017 Jul 15;25(14):3649-3657.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

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