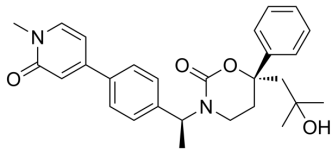
BI-135585

Cat. No.:	HY-105286
CAS No.:	1114561-85-1
Molecular Formula:	$C_{28}H_{32}N_2O_4$
Molecular Weight:	460.56
Target:	11β-HSD
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	BI-135585 is a potent, selective and orally active 11β-hydroxysteroid dehydrogenase 1 (11β-HSD1) inhibitor with an IC_{50} of 13 nM. BI-135585 exhibits >1000-fold selectivity over other hydroxysteroid dehydrogenases. BI-135585 can be used for type 2 diabetes research[1][2].
IC_{50} & Target	IC50: 13 nM (11β-HSD1)[1]
In Vitro	BI-135585 binds in the substrate binding pocket of the active site of 11β-HSD1. Cellular activity of BI-135585 is examined by determining inhibition of 11β-HSD1 activity in human preadipocytes, the average IC_{50} is 1 nM[1]. In human adipose tissue ex vivo, BI-135585 inhibits the conversion of cortisone to cortisol with an average IC_{50} of 11 nM[1]. Abdominal subcutaneous and perirenal adipose tissue was harvested from one, male cynomolgus monkey. BI-135585 (20 hours) reduces enzyme activity in a dose-dependent manner with an IC50 of ~10 nM in perirenal adipose tissue and an IC50 of ~100 nM in abdominal subcutaneous adipose tissue[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	BI-135585 (compound 11j; 1-3 mg/kg; po) inhibits 67% and 90% of enzyme activity respectively in perirenal adipose tissue in cynomolgus monkey[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Bradford S Hamilton, 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.

[2]. Linghang Zhuang, 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA