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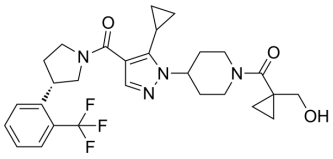
JTT-654

Cat. No.:	HY-161449
CAS No.:	916828-66-5
Molecular Formula:	$C_{28}H_{33}F_3N_4O_3$
Molecular Weight:	530.58
Target:	11β-HSD
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	JTT-654 is an orally active, potent and selective11β-Hydroxysteroid dehydrogenase type 1 (11β-HSD1) inhibitor. The IC_{50} of JTT-654 for 11β-HSD1 is 4.65, 0.97, and 0.74 nM in human, rat, and mouse recombinant enzymes, respectively. JTT-654 showed competitive inhibition against human recombinant enzyme. The IC_{50} value for human 11β-HSD2 is > 30 μM (human 11β-HSD2 is responsible for the reverse reaction against human 11β-HSD1). JTT-654 ameliorates insulin resistance and non-obese type 2 diabetes by inhibiting adipose tissue and liver 11β-HSD1[1][2].
IC_{50} & Target	IC50: 4.65 ± 0.28 nM (human 11β-HSD1), 0.97 ± 0.019 nM (rat 11β-HSD1), 0.74 ± 0.050 nM (rat 11β-HSD1), > 30 μM (human 11β-HSD2)[1]
In Vitro	JTT-654 (0.1-10 μM, 24 h) shows inhibitory effects on angiotensinogen production in Cortisone (HY-17461)-treated 3T3-L1 adipocytes[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	JTT-654 (1-10 mg/kg, Orally, single) shows inhibitory effect on liver and adipose tissue 11β-HSD1 activity[1]. JTT-654 (1-10 mg/kg, Orally, once daily for 4 d) significantly attenuates the effect of Cortisone (HY-17461) in Rats[1]. JTT-654 (1.5-15 mg/kg, Orally, twice daily, for 19 d) ameliorates insulin resistance and hyperglycemia in a non-obese type 2 diabetes rat model[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SD rats (8 weeks old)[1]
Dosage:	1, 3, or 10 mg/kg
Administration:	Orally, single administration
Result:	The inhibitory effect for cortisone-cortisol conversion in liver and fat was dose dependent. In the 10 mg/kg JTT-654 group, the % inhibition in both tissues (Liver and Adipose) was almost 100% up to 8 h post-dose, and approximately 70% inhibition was still observed even at 24 h post-dose.

Animal Model:	Male Wistar rats (7-week-old)[1]

Dosage:	1, 3, 10 mg/kg
Administration:	Orally, once daily for 4 d, Cortisone was administered 1 h after JTT-654 administration on each day of dosing.
Result:	Significantly attenuated the increase in fasted plasma glucose and insulin levels in a dose-dependent manner.

Animal Model:	Non-obese type 2 diabetic Goto-Kakizaki (GK) Rats (8-week-old, male)[1]
Dosage:	1.5, 5, 15 mg/kg
Administration:	Orally, twice daily, for 19 d
Result:	Significantly reduced fasting plasma glucose and insulin levels, enhanced insulin-stimulated glucose oxidation in adipose tissue, and suppressed hepatic gluconeogenesis.

REFERENCES

[1]. Heitaku S, et al. An 11-Beta Hydroxysteroid Dehydrogenase Type 1 Inhibitor, JTT-654 Ameliorates Insulin Resistance and Non-obese Type 2 Diabetes. Biol Pharm Bull. 2023;46(7):969-978.

[2]. Heitaku S, et al. JTT-654, an 11-beta hydroxysteroid dehydrogenase type 1 inhibitor, improves hypertension and diabetic kidney injury by suppressing angiotensinogen production. J Pharmacol Sci. 2024 Apr;154(4):246-255.

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