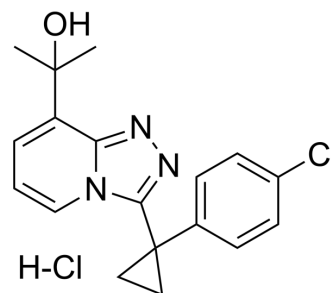


BMS-823778 hydrochloride

Cat. No.:	HY-120643
CAS No.:	1140898-87-8
Molecular Formula:	C ₁₈ H ₁₉ Cl ₂ N ₃ O
Molecular Weight:	364.27
Target:	11β-HSD
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	BMS-823778 hydrochloride is a potent, selective and orally active 11β-HSD1 inhibitor with an IC ₅₀ of 2.3 nM against human 11β-HSD1 ^[1] .										
IC₅₀ & Target	IC ₅₀ : 2.3 nM (human 11β-HSD1), 600 nM (mouse 11β-HSD1) ^[1] Ki: 0.9 nM (human 11β-HSD1), 380 nM (mouse 11β-HSD1) ^[1]										
In Vivo	BMS-823778 (10-100 mg/kg; oral; once) hydrochloride exhibits robust acute pharmacodynamic effects in diet-induced obese (DIO) mice (ED ₅₀ =34 mg/kg) ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.										
	Animal Model:	Diet-induced obese (DIO) mice ^[1]									
	Dosage:	10-100 mg/kg									
	Administration:	Oral, once									
	Result:	Inhibition of 11β-HSD-1 was observed as a measure of decrease in the plasma concentration of corticosterone. The ED ₅₀ was 34 mg/kg.									
	Animal Model:	Male Balb/C mice ^[1]									
	Dosage:	5 or 10 mg/kg									
	Administration:	IV or PO (Pharmacokinetic Analysis)									
	Result:	Single-Dose Pharmacokinetic Parameters of BMS-823778 ^[1]									
		Species	Route	Dose (mg/kg)	C _{max} (μM)	T _{max} (h)	AUC(0-24 h) (μM•h)	T _{1/2} (h)	CLT _p (mL/min/kg)	V _{ss} (L/kg)	F (%)

Mouse	IV*	5	-	-	106	5.2	2.3	1.2	-
	PO**	10	10.6 ± 1.3	3	95	-	-	-	44

*PO Vehicle: 0.5% Methocel/0.1% Tween 80

** IV vehicle: 10% ethanol/30% propylene glycol/60% normal saline

REFERENCES

[1]. Li J, et al. Discovery of Clinical Candidate BMS-823778 as an Inhibitor of Human 11 β -Hydroxysteroid Dehydrogenase Type 1 (11 β -HSD-1). ACS Med Chem Lett. 2018 Nov 13;9(12):1170-1174.

Caution: Product has not been fully validated for medical applications. For research use only.

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