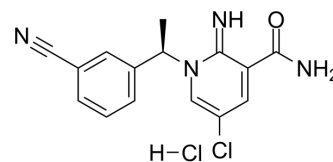


ADRA1D receptor antagonist 1

Cat. No.:	HY-135270
CAS No.:	1191908-14-1
Molecular Formula:	C ₁₅ H ₁₄ Cl ₂ N ₄ O
Molecular Weight:	337.2
Target:	Adrenergic Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (296.56 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.9656 mL	14.8280 mL	29.6560 mL
	5 mM	0.5931 mL	2.9656 mL	5.9312 mL
	10 mM	0.2966 mL	1.4828 mL	2.9656 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

ADRA1D receptor antagonist 1 is a potent, selective and orally active α_{1D} adrenoceptor antagonist, with a K_i of 1.6 nM^[1].

IC₅₀ & Target

Ki: 1.6 nM (α_{1D} adrenoceptor)^[1]

In Vitro

ADRA1D receptor antagonist 1 shows low hERG inhibition^[1].
ADRA1D receptor antagonist 1 exhibits higher selectivity for α_{1D} -AR over α_{1A} - and α_{1B} -ARs^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

ADRA1D receptor antagonist 1 (4.4 μ g/kg; i.v.) dose-dependently decreases the non-voiding bladder contractions during the urinary storage phase in rats with BOO^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rat with bladder outlet obstruction (BOO) ^[1]
Dosage:	4.4 μ g/kg

Administration:	Intravenous injection
Result:	Dose-dependently decreased the non-voiding bladder contractions during urinary storage phase in rats with BOO.

REFERENCES

[1]. Sakauchi N, et al. Discovery of 5-Chloro-1-(5-chloro-2-(methylsulfonyl)benzyl)-2-imino-1,2-dihydropyridine-3-carboxamide (TAK-259) as a Novel, Selective, and Orally Active α 1D Adrenoceptor Antagonist with Antiurinary Frequency Effects: Reducing Human Ethe

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

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