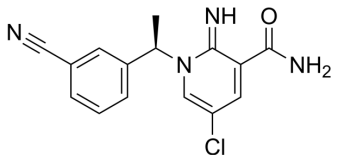
ADRA1D receptor antagonist 1 free base

Cat. No.:	HY-148252
CAS No.:	1191908-24-3
Molecular Formula:	$C_{15}H_{13}ClN_4O$
Molecular Weight:	300.74
Target:	Adrenergic Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

ADRA1D receptor antagonist 1 (free base) (compound (R)-9s) is an orally active, potent and selective human α_{1D}-adrenoceptor (α_{1D}-AR) antagonist (K_i=1.6 nM). ADRA1D receptor antagonist 1 (free base) dose-dependently inhibits bladder contraction with an IC_{30} value of 15 nM. ADRA1D receptor antagonist 1 (free base) can be used in studies of overactive bladder disorders such as urinary urgency, frequency and incontinence.

In Vivo

ADRA1D receptor antagonist 1 (free base) (10 μg/kg; p.o.; single) inhibits cyclophosphamide-induced urinary frequency in rats[1].

ADRA1D receptor antagonist 1 (free base) (4.4 μg/kg; i.v.; single) inhibits bladder contraction with an IC 30 value of 15 nM in rats[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rats with cyclophosphamide-induced cystitis[1].
Dosage:	10 μg/kg
Administration:	Oral administration; single
Result:	Increased voiding intervals.

Animal Model:	Rats with BOO (bladder outlet obstruction)[1].
Dosage:	4.4 μg/kg
Administration:	Intravenous injection; single
Result:	Dose-dependently decreased the non-voiding bladder contractions during urinary storage phase.

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 α1D Adrenoceptor Antagonist with Antiurinary Frequency Effects: Reducing Human Ether-a-go-go-Related Gene (hERG) Liabilities. J Med Chem. 2016 Apr 14;59(7):2989-3002.

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