Vibegron

Cat. No.: HY-19933

CAS No.: 1190389-15-1

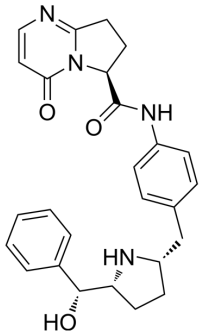
Molecular Formula: $C_{26}H_{28}N_4O_3$

Molecular Weight: 444.53

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 : 95 mg/mL (213.71 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
	1 mM	2.2496 mL	11.2478 mL	22.4957 mL
	5 mM	0.4499 mL	2.2496 mL	4.4991 mL
	10 mM	0.2250 mL	1.1248 mL	2.2496 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 4.75 mg/mL (10.69 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 4.75 mg/mL (10.69 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 4.75 mg/mL (10.69 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vibegron (MK-4618) is a potent, highly selective and orally active β_3-adrenoceptor agonist (EC_{50}=1.1 nM). Vibegron can be used for severe urgency urinary incontinence related to overactive bladder[1][2][3].

IC_{50} & Target		
	β adrenergic receptor	β3 adrenoceptor 1.1 nM (EC50)

In Vivo

Vibegron (1~12 μM; i.v.) exhibits dose dependent decreases in micturition pressure and increases in functional bladder capacity[3].

Vibegron (30 mg/kg; p.o.; 4 weeks) upregulates mRNA levels of type 1, type 3 collagen, TGF□β1, and HIF□1α[4].
Vibegron (1 and 10 mg/kg; i.v.; interval 30 minutes) (10 mg/kg) in oxo-M-treated rats makes bladder capacity significantly decreased compared with oxo-M-not treated rats (intravesical instillation of vehicle)[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rat
Dosage:	1~12 μM
Administration:	I.v.
Result:	Exhibited dose dependent decreases in micturition pressure and increases in functional bladder capacity.

Animal Model:	Female C57BL/6N mice (9 weeks old)
Dosage:	30 mg/kg
Administration:	P.o.; 4 weeks
Result:	Upregulated mRNA levels of type 1, type 3 collagen, TGF□β1, and HIF□1α at 4 weeks.

Animal Model:	Female F344 rats (120–160 g)
Dosage:	1 and 10 mg/kg
Administration:	I.v.; Interval 30 minutes
Result:	Vibegron (10 mg/kg) in oxo-M-treated rats made bladder capacity significantly decreased compared with oxo-M-not treated rats (intravesical instillation of vehicle).

REFERENCES

[1]. Yoshida M, et al. Efficacy of vibegron, a novel β3-adrenoreceptor agonist, on severe urgency urinary incontinence related to overactive bladder: post hoc analysis of a randomized, placebo-controlled, double-blind, comparative phase 3 study. BJU Int. 2020;125(5):709-717.

[2]. Yoshida M, et al. Efficacy of novel β3 -adrenoreceptor agonist vibegron on nocturia in patients with overactive bladder: A post-hoc analysis of a randomized, double-blind, placebo-controlled phase 3 study. Int J Urol. 2019;26(3):369-375.

[3]. Edmondson SD, et al. Discovery of Vibegron: A Potent and Selective β3 Adrenergic Receptor Agonist for the Treatment of Overactive Bladder. J Med Chem. 2016;59(2):609-623.

[4]. Gotoh D, et al. Effects of a new β3-adrenoceptor agonist, vibegron, on neurogenic bladder dysfunction and remodeling in mice with spinal cord injury. Neurourol Urodyn. 2020;39(8):2120-2127.

[5]. Furuta A, et al. Additive effects of intravenous and intravesical application of vibegron, a β3-adrenoceptor agonist, on bladder function in rats with bladder overactivity. Naunyn Schmiedebergs Arch Pharmacol. 2020;393(11):2073-2080.

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

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