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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Revefenacin

Cat. No.: HY-15851

CAS No.: 864750-70-9

Molecular Formula: $C_{35}H_{43}N_5O_4$

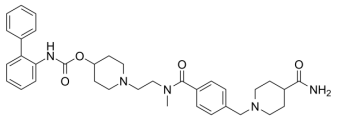
Molecular Weight: 597.75

Target: mAChR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (167.29 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6729 mL	8.3647 mL	16.7294 mL
	5 mM	0.3346 mL	1.6729 mL	3.3459 mL
	10 mM	0.1673 mL	0.8365 mL	1.6729 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: ≥ 2.5 mg/mL (4.18 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.18 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Revefenacin (TD-4208; GSK1160724) is a potent mAChR antagonist; has a high affinity on M3 receptor with a K_i of 0.18 nM.

IC_{50} & Target

Ki: 0.42 nM (M1), 0.32 nM (M2), 0.18 nM (M3), 0.56 nM (M4), 6.7 nM (M5)[1]

In Vitro

The K_is of revefenacin are 0.42, 0.32, 0.18, 0.56, and 6.7 nM at human M1, M2, M3, M4 and M5 receptors, respectively. In a functional assay, revefenacin is shown to be a functional antagonist with inhibition constants similar to binding K_i's. Revefenacin also inhibits agonist-induced contraction of guinea pig isolated tracheal ring preparation with an affinity of 0.1

	nM, similar to the measured M3 biding K_i[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In anesthetized dogs, revefenacin, along with tiotropium and glycopyrronium, produce sustained inhibition of acetylcholine-induced bronchoconstriction for up to 24 hours. In anesthetized rats, inhaled revefenacin exhibits dose-dependent 24-hour bronchoprotection against methacholine-induced bronchoconstriction. The estimated 24-hour potency is 45.0 μg/mL and the bronchoprotective potencies are maintained after 7 days of once-daily dosing[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Rats: To determine the bronchoprotective and antisialagogue potency after a single dose, rats are exposed by inhalation to a nebulized solution of revefenacin (3–3000 μg/mL), tiotropium (0.3–300 μg/mL), glycopyrronium (1–1000 μg/mL), or vehicle (sterile water). Bronchoprotective activity is assessed 24 hours postdose. For the antisialagogue effect, inhibition of Pilo is assessed 1, 6, or 12 hours after inhalation of an efficacious dose of test compound to determine the time point at which peak effect occurred. All subsequent doses are measured at this time point[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Steinfeld T, et al. In vitro characterization of TD-4208, a lung-selective and long-acting muscarinic antagonist bronchodilator (Abstract). Am J Respir Crit Care Med 179:A4553.

[2]. Pulido-Rios MT, et al. In vivo pharmacological characterization of TD-4208, a novel lung-selective inhaled muscarinic antagonist with sustained bronchoprotective effect in experimental animal models. J Pharmacol Exp Ther. 2013 Aug;346(2):241-50.

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