

Product Datasheet

Revefenacin

Catalog No. **BP-02090** · CAS **864750-70-9** · Form **free base** · Physical state **other** · Color **white to off-white** · Version **1.0** · Revision **2026-09-14**

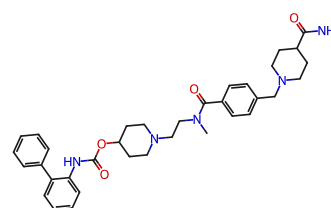
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Target mAChR	Research area Cardiovasc Inflamular Disease;mation/Immunology
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Molecular formula **C₃₅H₄₃N₅O₄**

Molecular weight **597.7470**

STRUCTURE



DESCRIPTION

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

BIOLOGICAL ACTIVITY

In Vitro

The Kis 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 Ki'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 Ki.

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.

SOLUBILITY

Soluble in DMSO

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

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