

Certificate of Analysis

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Product Name: Zamifenacin fumarate

Catalog No.: 2579

Batch No.: 1

CAS Number: 127308-98-9

IUPAC Name: (3*R*)-1-[2-(1,3-Benzodioxol-5-yl)ethyl]-3-(diphenylmethoxy)piperidine fumarate

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{27}H_{29}NO_3 \cdot C_4H_4O_4$

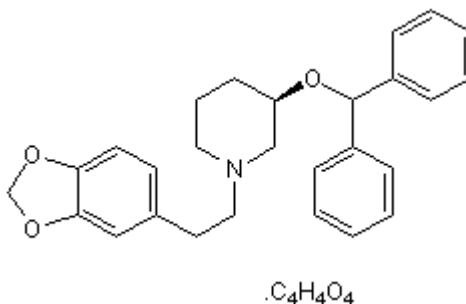
Batch Molecular Weight: 531.6

Physical Appearance: White solid

Solubility: DMSO to 100 mM
ethanol to 25 mM

Storage: Desiccate at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: $R_f = 0.45$ (Dichloromethane:Methanol [9:1])

Melting Point: Between 169 - 170°C

HPLC: Shows 100% purity

1H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Optical Rotation: $[\alpha]_D = +198.5$ (Concentration = 5.34, Solvent = Ethanol)

Microanalysis:

Carbon Hydrogen Nitrogen

	Carbon	Hydrogen	Nitrogen
Theoretical	70.04	6.26	2.63
Found	70.06	6.27	2.62

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Selective M₃ muscarinic receptor antagonist (pK_i values are 8.52, 7.93, 7.90 and 7.78 for M₃, M₂, M₁ and M₄ receptors respectively). Displays higher affinity at ileal M₃ receptors (pK_i = 9.3) compared to oesophageal and tracheal M₃ receptors (pK_i values are 8.8 and 8.2 respectively). Inhibits gastrointestinal motility in vivo in the absence of cardiovascular effects.

Physical and Chemical Properties:

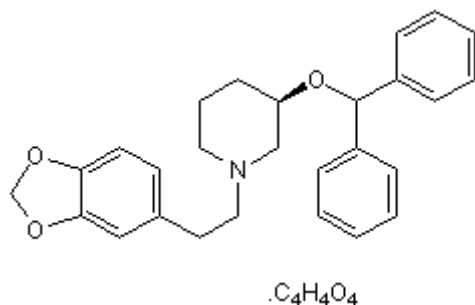
Batch Molecular Formula: C₂₇H₂₉NO₃·C₄H₄O₄

Batch Molecular Weight: 531.6

Physical Appearance: White solid

Minimum Purity: >99%

Batch Molecular Structure:



Storage: Desiccate at +4°C

Solubility & Usage Info:

DMSO to 100 mM

ethanol to 25 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Wallis (1995) Pre-clinical and clinical pharmacology of selective muscarinic M₃ receptor antagonists. *Life Sci.* **56** 861. PMID: 10188786.

Watson et al (1995) Characterization of the interaction of zamifenacin at muscarinic receptors in vitro. *Eur.J.Pharmacol.* **285** 135. PMID: 8566131.

Choppin (2002) Muscarinic receptors in isolated urinary bladder smooth muscle from different mouse strains. *Br.J.Pharmacol.* **137** 522. PMID: 12359634.

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