

Certificate of Analysis

Product Name: Tolvaptan

Catalog No.: 5181

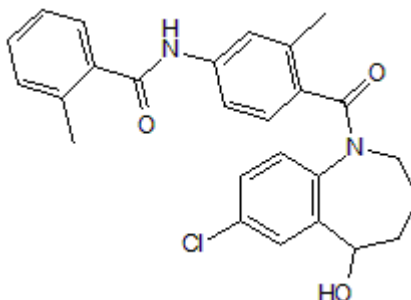
Batch No.: 1

CAS Number: 150683-30-0

IUPAC Name: *N*-[4-[(7-Chloro-2,3,4,5-tetrahydro-5-hydroxy-1*H*-1-benzazepin-1-yl)carbonyl]-3-methylphenyl]-2-methylbenzamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₂₆H₂₅ClN₂O₃
Batch Molecular Weight: 448.94
Physical Appearance: White solid
Solubility: DMSO to 100 mM
ethanol to 10 mM
Storage: Store at +4°C
Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.7% purity
¹H NMR: Consistent with structure
Mass Spectrum: Consistent with structure
Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	69.56	5.61	6.24
Found	69.65	5.63	6.3

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

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Description:

Potent and selective competitive vasopressin V₂ receptor antagonist (K_i values are 0.06 and 12.3 nM for V₂ and V_{1a} receptors respectively). Decreases urine osmolality and increases serum sodium concentrations. Delays the onset of end-stage renal disease in a mouse model of polycystic kidney disease. Exhibits myocardial and renal protective effects in hypertensive heart failure rats. Orally active.

Physical and Chemical Properties:

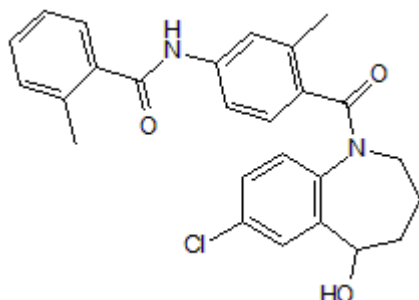
Batch Molecular Formula: C₂₆H₂₅ClN₂O₃

Batch Molecular Weight: 448.94

Physical Appearance: White solid

Minimum Purity: >98%

Batch Molecular Structure:



References:

Kondo et al (1999) 7-Chloro-5-hydroxy-1-[2-methyl-4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1*H*-1-benzazepine (OPC-41061): a potent, orally active nonpeptide arginine vasopressin V₂ receptor antagonist. *Bioorg.Med.Chem.* **7** 1743. PMID: 10482466.

Ghali et al (2009) Tolvaptan. *Nat.Rev.Drug Discov.* **8** 611. PMID: 19644472.

Morooka et al (2012) Chronic administration of oral vasopressin type 2 receptor antagonist tolvaptan exerts both myocardial and renal protective effects in rats with hypertensive heart failure. *Circ.Heart.Fail.* **5** 484. PMID: 22628529.

Aihara et al (2014) Tolvaptan delays the onset of end-stage renal disease in a polycystic kidney disease model by suppressing increases in kidney volume and renal injury. *J.Pharmacol.Exp.Ther.* **349** 258. PMID: 24570071.

Storage: Store at +4°C

Solubility & Usage Info:

DMSO to 100 mM

ethanol to 10 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.

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