

**Product Name:** Tolvaptan

**Catalog No.:** 5181

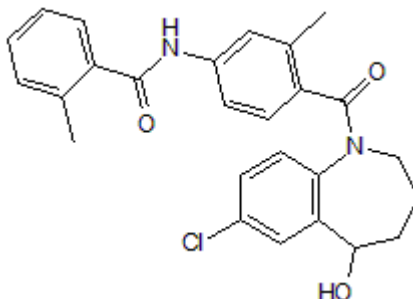
**Batch No.:** 1

**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:** C<sub>26</sub>H<sub>25</sub>ClN<sub>2</sub>O<sub>3</sub>  
**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  
**<sup>1</sup>H 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 V<sub>2</sub> receptor antagonist (K<sub>i</sub> values are 0.06 and 12.3 nM for V<sub>2</sub> and V<sub>1a</sub> 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:**

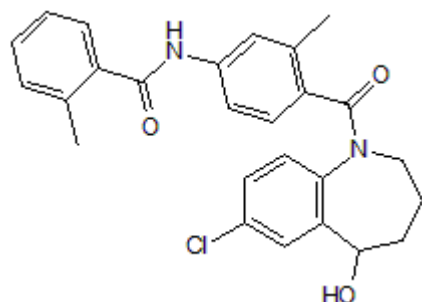
Batch Molecular Formula: C<sub>26</sub>H<sub>25</sub>ClN<sub>2</sub>O<sub>3</sub>

Batch Molecular Weight: 448.94

Physical Appearance: White solid

**Minimum Purity:** >98%

**Batch Molecular Structure:**



**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.

**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 V<sub>2</sub> 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.

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