Certificate of Analysis

Product Name: **Tolvaptan** **Catalog No.: 5181** **Batch No.: 3**

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: $C_{26}H_{25}ClN_2O_3$

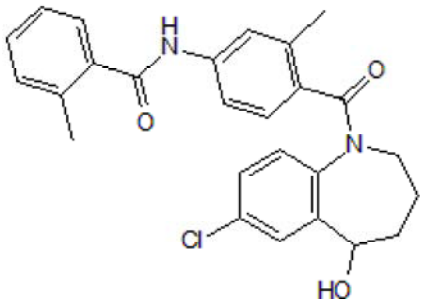
Batch Molecular Weight: 448.94

Physical Appearance: White solid

Solubility: DMSO to 100 mM

Storage: Store at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.8% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	69.56	5.61	6.24
Found	69.54	5.6	6.18

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Description:

Tolvaptan is a potent and selective competitive vasopressin V_2 receptor antagonist (K_i values are 0.06 and 12.3 nM for V_2 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:

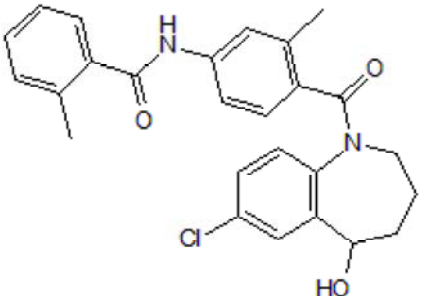
Batch Molecular Formula: $C_{26}H_{25}ClN_2O_3$

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

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:

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.

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

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