# Data Sheet (Cat.No.T6033)



## Zotarolimus

# **Chemical Properties**

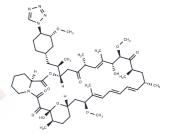
CAS No.: 221877-54-9

Formula: C52H79N5O12

Molecular Weight: 966.21

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



# **Biological Description**

| Description     | Zotarolimus (ABT-578), an analogue of rapamycin, inhibits FKBP-12 (IC50= 2.8 nM).  |
|-----------------|--|
| Targets(IC50)   | mTOR   |
| In vitro        | In smooth muscle cell (IC50=2.9 nM) and endothelial cell (IC50=2.6 nM) ,Zotarolimus effectively inhibits cells proliferation.  |
| In vivo         | Zotarolimus potently inhibits adjuvant DTH(ED50=1.72 mg/kg/day), EAE(ED50=1.17 mg/kg/day), and cardiac allograft rejection(ED50=3.71 mg/kg/day).   |
| Kinase Assay    | zotarolimus (10 pM-1 $\mu$ M) in buffer A (2% BSA and 0.2% Tween-20 in D-PBS) is used in the assay of Binding Affinity to FKBP12.  |
| Cell Research   | Cell proliferation is assayed by measuring tritiated thymidine incorporation in vitro. Human coronary artery cells (hCa) are seeded into tissue culture flasks for expansion and applied to 96-well plates at desired density in complete media (5000 hCa5MC; 10 000 hCaEC). After 2 days, complete media is replaced with incomplete media to synchronize cells and induce G0 state. Two days later, incomplete media are removed and replaced with complete media (serum/growth factors) to induce G0 to G1 transition. Complete media also contain drug at desired concentrations to determine its effects on cell proliferation. On day 7, 3H-thymidine is added to cells to monitor DNA synthesis, and cells are harvested after overnight incorporation of radioactivity. After an incubation period of 72 h, 25 µL (1 µCi/well) of 3H-thymidine are added to each well. The cells are incubated at 37°C for 16-18 h to allow for incorporation of 3H-thymidine into newly synthesized DNA and the cells harvested onto 96-well plates containing bonded glass fibre filters. The filter plates are air-dried overnight, MicroScint-20 (25 µL) added to each filter well and counted. Drug activity is determined by the inhibition of 3H-thymidine incorporation into newly synthesized DNA relative to cells grown in complete media. (Only for Reference) |
| Animal Research | Male Sprague-Dawley rats was administrate by intravenous or oral Zotarolimus (2.5 mg/kg) dissolved inethanol: propylene glycol: cremophor EL: D5W vehicle (20: 30: 2: 48, by volume).  |

Page 1 of 2 www.targetmol.com

### **Solubility Information**

| Solubility | Ethanol: 93 mg/mL (96.25 mM), Sonication is recommended.        |  |
|------------|---|--|
|            | DMSO: 93 mg/mL (96.25 mM), Sonication is recommended.           |  |
|            | H2O: < 1 mg/mL (insoluble or slightly soluble),                 |  |
|            | (< 1 mg/ml refers to the product slightly soluble or insoluble) |  |

#### **Preparing Stock Solutions**

|       | 1mg       | 5mg       | 10mg       |
|-------|-----------|-----------|------------|
| 1 mM  | 1.035 mL  | 5.1749 mL | 10.3497 mL |
| 5 mM  | 0.207 mL  | 1.035 mL  | 2.0699 mL  |
| 10 mM | 0.1035 mL | 0.5175 mL | 1.035 mL   |
| 50 mM | 0.0207 mL | 0.1035 mL | 0.207 mL   |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

#### Reference

Garcia-Touchard A, et al. Eur Heart J, 2006, 27(8), 988-1993. Chen YW, et al. J Cardiovasc Pharmacol, 2007, 49(4), 228-235.

 $\textbf{Inhibitor} \cdot \textbf{Natural Compounds} \cdot \textbf{Compound Libraries} \cdot \textbf{Recombinant Proteins}$ 

This product is for Research Use Only. Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E\_mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481

Page 2 of 2 www.targetmol.com