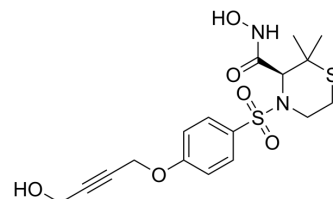


Apratastat

| | | | |
|---------------------------|--|-------|----------|
| Cat. No.: | HY-119307 | | |
| CAS No.: | 287405-51-0 | | |
| Molecular Formula: | C ₁₇ H ₂₂ N ₂ O ₆ S ₂ | | |
| Molecular Weight: | 415 | | |
| Target: | MMP; TNF Receptor | | |
| Pathway: | Metabolic Enzyme/Protease; Apoptosis | | |
| Storage: | Powder | -20°C | 3 years |
| | | 4°C | 2 years |
| | In solvent | -80°C | 6 months |
| | | -20°C | 1 month |



SOLVENT & SOLUBILITY

| | | | | | | |
|---|--|----------------------|-------------|-------------|-------------|--------------|
| In Vitro | DMSO : 41.4 mg/mL (99.76 mM; Need ultrasonic and warming) | | | | | |
| | | Solvent | Mass | 1 mg | 5 mg | 10 mg |
| | Preparing Stock Solutions | Concentration | | | | |
| | | 1 mM | | 2.4096 mL | 12.0482 mL | 24.0964 mL |
| 5 mM | | 0.4819 mL | 2.4096 mL | 4.8193 mL | | |
| | 10 mM | | 0.2410 mL | 1.2048 mL | 2.4096 mL | |
| Please refer to the solubility information to select the appropriate solvent. | | | | | | |
| In Vivo | 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (6.02 mM); Clear solution | | | | | |
| | 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (6.02 mM); Clear solution | | | | | |
| | 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (6.02 mM); Clear solution | | | | | |

BIOLOGICAL ACTIVITY

| | |
|-------------------------------------|---|
| Description | Apratastat (TMI-005) is an orally active, non-selective and reversible TACE/MMPs inhibitor, can inhibit the release of TNF-α. Apratastat has the potential to overcome radiotherapy-resistance in non-small cell lung cancer (NSCLC) ^{[1][2]} . Apratastat is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAC) with molecules containing Azide groups. |
| IC₅₀ & Target | MMP |

REFERENCES

- [1]. Ieguchi K, et al. Savior or not: ADAM17 inhibitors overcome radiotherapy-resistance in non-small cell lung cancer. J Thorac Dis. 2016 Aug;8(8):E813-5.
- [2]. Shu C, et al. Pharmacokinetic-pharmacodynamic modeling of apratastat: a population-based approach. J Clin Pharmacol. 2011 Apr;51(4):472-81.
-

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA