# Troleandomycin

**Cat. No.:** HY-108881

**CAS No.:** 2751-09-9

**Molecular Formula:** $C_{41}H_{67}NO_{15}$

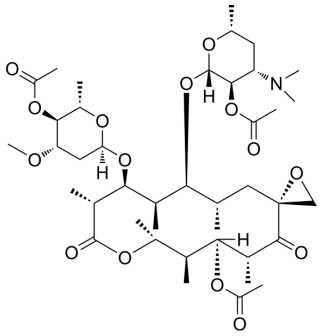
**Molecular Weight:** 813.97

**Target:** Cytochrome P450; Bacterial

**Pathway:** Metabolic Enzyme/Protease; Anti-infection

**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 : 83.33 mg/mL (102.37 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.2285 mL | 6.1427 mL | 12.2855 mL |
| | 5 mM | 0.2457 mL | 1.2285 mL | 2.4571 mL |
| | 10 mM | 0.1229 mL | 0.6143 mL | 1.2285 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: ≥ 2.08 mg/mL (2.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.56 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Troleandomycin (Triacetyloleandomycin), a macrolide acrolide antibiotic, is a selective CYP3A inhibitor. Troleandomycin is an oral corticosteroid for asthma study[1][2][3].

**$IC_{50}$ & Target**

CYP3

**In Vitro**

Troleandomycin markedly inhibits 6β-hydroxylation of testosterone, 25- and 26-hydroxylations of 5β-cholestane-3α,7α,12α-triol and 23R-, 24R-, 24S-, and 27-hydroxylations of 5β-cholestane-3α,7α,12α,25-tetrol in both recombinant CYP3A4 and microsomes, but IC50 values for microsomes are somewhat higher than those for recombinant CYP3A4[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| **In Vivo** | Troleandomycin markedly suppresses these microsomal side chain hydroxylations in both mouse and human livers in a dose-dependent manner[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

| | |
|---|---|
| Animal Model: | SD female rats[1]. |
| Dosage: | 500 mg/kg. |
| Administration: | A single oral dose. |
| Result: | Markedly elevated the $C_{max}$ and $AUC_{0-6}$ of simvastatin by 9.5- and 10.2-fold, respectively. |

## REFERENCES


[1]. Shingen Misaka, et al. Green tea extract affects the cytochrome P450 3A activity and pharmacokinetics of simvastatin in rats. Drug Metab Pharmacokinet. 2013;28(6):514-8.

[2]. A Honda, et al. Side chain hydroxylations in bile acid biosynthesis catalyzed by CYP3A are markedly up-regulated in Cyp27-/- mice but not in cerebrotendinous xanthomatosis. J Biol Chem. 2001 Sep 14;276(37):34579-85.

[3]. D J Evans, et al. Troleandomycin as an oral corticosteroid steroid sparing agent in stable asthma. Cochrane Database Syst Rev. 2001;(2):CD002987.





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