Tildipirosin

Cat. No.: HY-A0071

CAS No.: 328898-40-4

Molecular Formula: $C_{41}H_{71}N_3O_8$

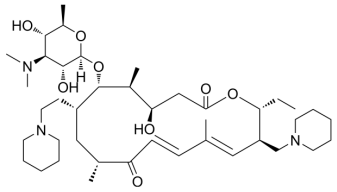
Molecular Weight: 734.02

Target: Bacterial; Antibiotic

Pathway: Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (136.24 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.3624 mL	6.8118 mL	13.6236 mL
5 mM	0.2725 mL	1.3624 mL	2.7247 mL
10 mM	0.1362 mL	0.6812 mL	1.3624 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: ≥ 3 mg/mL (4.09 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (4.09 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (4.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tildipirosin, a long-acting macrolide, has antibiotic activity.

IC_{50} & Target

Macrolide

In Vitro

Tildipirosin exhibits the inhibitory effect on C. coli species, and 23 of 31 (74%) isolates have MICs of 8 or 16 μg/mL while 8 of 31 (26%) have MIC >256 μg/mL. MICs against C. jejuni are 8-64 μg/mL. Tildipirosin against S. enterica and E. coli are 2-8 μ

	g/mL[1]. Tildipirosin inhibits the treponeme isolates form from CODD lesions from 19 sheep, with MIC90 of 0.0469 mg/L[3]. The P. multocida B130 clones show the MIC of 0.25 mg/L for tildipirosin. The 10 P. multocida isolates that carry only erm(42) exhibit MIC of 16-32 mg/L for tildipirosin. The single M. haemolytica that harbours only erm(42) shows MIC of 32 mg/L for tildipirosin[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The mean percentage of lung consolidation for tildipirosin (4 mg/kg, s.c.)-treated calves is significantly lower than those for tulathromycin-treated and control calves. Metaphylactic administration of tildipirosin to calves 5 days prior to H somni challenge prevents subsequent culture of the pathogen from bronchial secretions and is more effective in minimizing clinical disease and lung lesions than is metaphylactic administration of tulathromycin[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	On day 0, each pen of 4 calves is randomly assigned by means of drawing numbers from a hat to receive 1 of 3 treatments; thus, each treatment group consists of 8 calves. Calves in group 1 receive tildipirosinb (4 mg/kg, SC), calves in group 2 receive tulathromycinc (2.5 mg/kg, SC), and calves in group 3 receive saline (0.9% NaCl) solution (1 mL/45 kg, SC; control). The volume of saline solution administered to the calves in group 3 approximates the volume of the assigned antimicrobial administered to the calves of groups 1 and 2.On day 5, all calves are experimentally inoculated (challenged) with 10 mL of PBS solution supplemented with 5% bovine fetal serum containing 1.6×10^9 CFUs of H somni/mL instilled via a flexible bronchoalveolar lavage tube (length, 3 m; external diameter, 11 mm; internal diameter, 3 mm) that is passed through the nasal passage and nasopharynx to the level of the tracheal bifurcation. Proper placement of the tube at the tracheal bifurcation is verified on the basis of qualitative observations that includ an elicited cough, absence of evidence of esophageal or ruminal placement as determined by smell and lack of tension and failure to observe the tube within the esophagus during placement, the presence of resistance at the carina, and the passage of the tube to a predetermined mark that approximates the distance from the nares to the carina. Following experimental inoculation, the tube is flushed with 60 mL of saline solution and 120 mL of air before it is removed from the calf.On day 8, all calves are weighed, sedated with xylazined (0.25 mg/kg), and transported in a trailer in groups of 4 to 6 calves. Immediately after euthanasia, a necropsy is performed on each calf. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Rose M, et al. A microbiological assay to estimate the antimicrobial activity of parenteral tildipirosin against foodborne pathogens and commensals in the colon of beef cattle and pigs. J Vet Pharmacol Ther. 2016 Jun;39(3):277-86.

[2]. Confer AW, et al. Clinical disease and lung lesions in calves experimentally inoculated with Histophilus somni five days after metaphylactic administration of tildipirosin or tulathromycin. Am J Vet Res. 2016 Apr;77(4):358-66.

[3]. Angell JW, et al. In vitro susceptibility of contagious ovine digital dermatitis associated Treponema spp. isolates to antimicrobial agents in the UK. Vet Dermatol. 2015 Dec;26(6):484-7, e114-5.

[4]. Michael GB, et al. Increased MICs of gamithromycin and tildipirosin in the presence of the genes erm(42) and msr(E)-mph(E) for bovine Pasteurella multocida and Mannheimia haemolytica. J Antimicrob Chemother. 2012 Jun;67(6):1555-7.

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