Robenidine hydrochloride

Cat. No.: HY-B2157

CAS No.: 25875-50-7

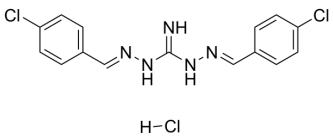
Molecular Formula: $C_{15}H_{14}Cl_3N_5$

Molecular Weight: 371

Target: Bacterial; Parasite

Pathway: Anti-infection

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 6.25 mg/mL (16.85 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6954 mL	13.4771 mL	26.9542 mL
5 mM	0.5391 mL	2.6954 mL	5.3908 mL
10 mM	0.2695 mL	1.3477 mL	2.6954 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: ≥ 0.62 mg/mL (1.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.62 mg/mL (1.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Robenidine hydrochloride is an anticoccidial agent which is also active against MRSA and VRE with MIC_{50}s of 8.1 and 4.7 μM, respectively.

IC_{50} & Target

Coccidia

In Vitro

Robenidine (compound 1) inhibits the growth of MRSA and VRE with MIC values of 8.1 and 4.7 μM, respectively. Robenidine is bactericidal against all of the S. aureus strains tested with MBC/MIC_{90} ratios ≤2. A profound and negative impact on the MIC values with a 4-fold decrease with Robenidine at 2% serum and no activity at 50% serum is observed[1].

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

In Vivo	The time that the mean plasma concentration exceeds the concentration of 1 μg/mL is approximately 6 h in the Florfenicol (FFC) alone group; however, it is lowered to 4 h by Robenidine (ROB) pretreatment. The terminal elimination half-life ($t_{1/2z}$), area under the concentration-time curve (AUC), area under the first moment curve (AUMC), and mean residence time (MRT) significantly decreased, whereas the elimination rate constant (λ_z) and total body clearance (CL_z) obviously increased in rabbits pretreated with Robenidine[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	MRSA clinical isolates are used in this study and the MIC_{50} for Robenidine (compound 1) is determined using a modified MIC assay. The antimicrobial dilutions of Robenidine are completed in 100% DMSO, with 2 μL added to each well. The assay is performed in a total volume of 200 μL in 96-well plates. Robenidine is tested in final concentrations of 0.7 to 345.3 μM. Plates are incubated for 20 to 24 h at 37°C before determination of the MIC[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	The rabbits are divided into four groups (n=8, each group). The rabbits in the control group are fed anticoccidial-free rations throughout the study. Rabbits in the other group are fed rations containing Robenidine (ROB) (66 ppm) for 20 consecutive days. At the end of the 20th day of feeding, a single dose of Florfenicol (FFC) is injected intravenously at 25 mg/kg body weight (b.w.) into the left auricular vein of each rabbit in all groups. Blood (approximately 1 mL) samples are collected into heparin-coated tubes from the right auricular vein of each rabbit at 5, 10, 15, 30, and 45 min and 1, 1.5, 2, 4, 6, 8, and 12 h after administration of FFC. The plasma is harvested after centrifugation at 3,000 g for 10 min and stored at -20°C until analysis[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Patent. US20230147129A1.

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REFERENCES

[1]. Abraham RJ, et al. Robenidine Analogues as Gram-Positive Antibacterial Agents. J Med Chem. 2016 Mar 10;59(5):2126-38.

[2]. Liu C, et al. Influence of three coccidiostats on the pharmacokinetics of florfenicol in rabbits. Exp Anim. 2015;64(1):73-9.

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