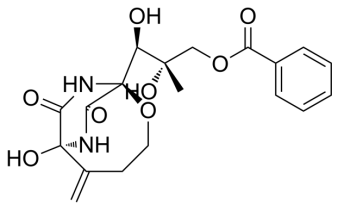
Bicyclomycin benzoate

Cat. No.:	HY-101128		
CAS No.:	37134-40-0		
Molecular Formula:	$C_{19}H_{22}N_2O_8$		
Molecular Weight:	406.39		
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 (246.07 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4607 mL	12.3035 mL	24.6069 mL
	5 mM	0.4921 mL	2.4607 mL	4.9214 mL
	10 mM	0.2461 mL	1.2303 mL	2.4607 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 (6.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.15 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bicyclomycin benzoate is an antibiotic exhibiting activity against a broad spectrum of Gram-negative bacteria and against the Gram-positive bacterium.

In Vitro

The primary action of bicyclomycin is due to interference with the biosynthesis of lipoprotein and its assembly to peptidoglycan in the cell envelope of E. coli. At the lethal level, bicyclomycin is shown to inhibit the synthesis of RNA and protein in the growing cells of E. coli 15 THU[1]. Bicyclomycin targets the rho transcription termination factor in Escherichia coli. Bicyclomycin is a modest rho inhibitor, can disrupt the rho molecular machinery thereby leading to a catastrophic effect caused by the untimely overproduction of proteins not normally expressed constitutively, thus leading to a toxic effect on the cells[2]. The inhibition of rho poly(C)-stimulated hydrolysis of ATP by bicyclomycin has been found to proceed

	by a non-competitive, reversible pathway with respect to ATP (K_i=20 μM)[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Bicyclomycin has low excretion rate after a single intramuscular dose of 50 mg/kg in rats. Bicyclomycin is well distributed in various tissues, and the highest concentration is observed in the kidney at 100 mg/kg[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [3]	ATPase activity assays are carried out with rho (100 ng) except that either bicyclomycin (0–60 μM) or dihydrobicyclomycin (0–90 μM) is added to the reaction solution. The samples are preheated to 32°C (2.5 min), and the reaction is initiated by the addition of ATP (9.1–100 μM) and [g-^{32}P]ATP (0.5mCi) to the side of the tube, briefly vortexed, centrifuged (2 s), and returns to the water bath. Aliquots (1 mL) are removed at five time points (15, 30, 45, 60, and 75 s), and spotted on Baker-Flex cellulosePEI TLC plates. The rates of reaction are determined by measuring the relative amount of radiolabeled inorganic phosphate and ATP and then plotting the amount of ATP hydrolyzed versus time. The initial rates for each ATP concentration plus or minus inhibitors are plotted as double reciprocal plots[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [4]	Rats: A total of 25 SD male rats receives intramuscular administration of bicyclomycin at a single dose of 50mg/kg. Blood samples are taken by heart-puncture from 5 rats each at 0.5, 1, 2, 3, and 5 hours after the administration[4]. Mice: A total of 40 ICR male mice receives intramuscular administration of bicyclomycin at a single dose of 50mg/kg. Eight mice each are bled at 5, 10, 20, 30 and 60 minutes after administration by heart-puncture with heparin[4]. Rabbits: Five rabbits and 5 dogs are given bicyclomycin intramuscularly at a single dose of 50 mg/kg and blood samples are withdrawn from these animals at similar intervals. The samples are allowed to clot and sera are separated for assay by the cylinder plate method[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2023 Jul 4;14(1):3931.
- bioRxiv. 2023.

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