Josamycin

Cat. No.: HY-B1920

CAS No.: 16846-24-5

Molecular Formula: $C_{42}H_{69}NO_{15}$

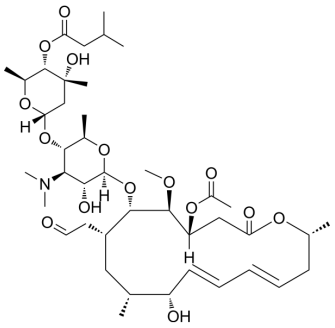
Molecular Weight: 827.99

Target: Bacterial; Antibiotic

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 : ≥ 41.67 mg/mL (50.33 mM)

H_2O : 8.33 mg/mL (10.06 mM; ultrasonic and adjust pH to 6 with HCl)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	1.2077 mL	6.0387 mL	12.0774 mL
5 mM	0.2415 mL	1.2077 mL	2.4155 mL
10 mM	0.1208 mL	0.6039 mL	1.2077 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 (3.02 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (3.02 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.02 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Josamycin (EN-141) is a macrolide antibiotic exhibiting antimicrobial activity against a wide spectrum of pathogens, such as bacteria. The dissociation constant K_d from ribosome for Josamycin is 5.5 nM.

IC_{50} & Target

Macrolide

In Vitro

Studies show that the average lifetime on the ribosome is 3 h for Josamycin and that the dissociation constants for Josamycin binding to the ribosome is 5.5 nM. Josamycin slows down formation of the first peptide bond of a nascent

	peptide in an amino acid-dependent way and completely inhibits formation of the second or third peptide bond, depending on peptide sequence at a saturating drug concentration, synthesis of fulllength proteins is completely shut down by Josamycin. At a saturating drug concentration, synthesis of fulllength proteins is completely shut down by Josamycin[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Blood and tissue levels of Josamycin after oral administration are 200 mg/kg to rabbits. Tissue levels are generally much higher than the blood levels, and 3 h after the administration, when the blood level is very low, the tissue levels are rather higher than those 1 h after the dose. One hour after the medication, the level in the lungs is the highest of all the tissue levels [2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	Josamycin is prepared in polymix buffer, containing 5 mM magnesium acetate, 5 mM ammonium chloride, 95 mM potassium chloride, 0.5 mM calcium chloride, 8 mM putrescine, 1 mM spermidine, 5 mM potassium phosphate, and 1 mM dithioerythritol. Josamycin at different concentrations (2, 3, 4, and 6 μM is added to preinitiated ribosomes to start the incubation. One volume of elongation mix is added to 1 volume of reaction mix at each incubation time, and after 10 s the reaction is quenched with formic acid. The association rates are estimated from the fraction of tri-peptide-forming ribosomes[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Rat: Tritium-labelled Josamycin (200 mg/kg) is orally administrated to rats. The blood and tissue levels are determined at 1 h and 3 h by bioassay[2]. Mouse: Tritium-labelled Josamycin (200 mg/kg) is orally administrated to mice. The blood and tissue levels are determined at 1 h and 3 h by bioassay[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lovmar M, et al. Kinetics of macrolide action: the Josamycin and erythromycin cases. J Biol Chem. 2004 Dec 17;279(51):53506-15.

[2]. Osono T, et al. Pharmacokinetics of macrolides, lincosamides and streptogramins. J Antimicrob Chemother. 1985 Jul;16 Suppl A:151-66.

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