Nosiheptide

Cat. No.: HY-107486

CAS No.: 56377-79-8

Molecular Formula: $C_{51}H_{43}N_{13}O_{12}S_6$

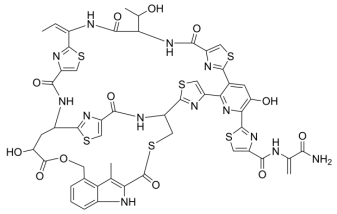
Molecular Weight: 1222.36

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 (81.81 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8181 mL	4.0904 mL	8.1809 mL
	5 mM	0.1636 mL	0.8181 mL	1.6362 mL
	10 mM	0.0818 mL	0.4090 mL	0.8181 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Nosiheptide (Multhiomycin), a thiopeptide antibiotic produced by Streptomyces actuosus, inhibits bacterial protein synthesis and bears a unique indole side ring system and regiospecific hydroxyl groups on the characteristic macrocyclic core. Nosiheptide has been widely used as a feed additive for animal growth[1][2].

In Vitro

Nosiheptide exhibits extremely potent activity against all contemporary Staphylococcus aureus strains tested including multiple drug-resistant clinical isolates, with MIC values ≤ 0.25 mg/L. Nosiheptide is also highly active against Enterococcus spp and the contemporary hypervirulent BI strain of Clostridium difficile but is inactive against most Gram-negative strains tested. Time-kill analysis reveals Nosiheptide to be rapidly bactericidal against Staphylococcus aureus in a concentration- and time-dependent manner, with a nearly 2-log kill noted at 6 hours at 10X MIC. Furthermore, Nosiheptide is found to be non-cytotoxic against mammalian cells at >> 100X MIC, and its anti-Staphylococcus aureus activity is not inhibited by 20% human serum. Notably, Nosiheptide exhibits a significantly prolonged post-antibiotic effect against both healthcare- and community-associated Staphylococcus aureus compared to vancomycin[1].

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

In Vivo

Nosiheptide (20 mg/kg; intraperitoneal injection; injected at 1 and 8 h post-infection; female CD1 mice) provids significant

protection against mortality. Ten out of 10 of the Nosiheptide-treated mice remains alive on day 3, while 6/10 of the controls died on day 1[1].

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

Animal Model:	Eight week old female CD1 mice injected with HA-Staphylococcus aureus strain Sanger 252[1]
Dosage:	20 mg/kg
Administration:	Intraperitoneal injection; injected at 1 and 8 h post-infection
Result:	Provided significant protection against mortality.

REFERENCES

[1]. Haste NM, et al. Activity of the thiopeptide antibiotic nosiheptide against contemporary strains of methicillin-resistant Staphylococcus aureus. J Antibiot (Tokyo). 2012 Dec;65(12):593-8.

[2]. Yu Y, et al. Nosiheptide biosynthesis featuring a unique indole side ring formation on the characteristic thiopeptide framework. ACS Chem Biol. 2009 Oct 16;4(10):855-64.

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