

## Product Data Sheet

Product Name: Nosiheptide

Cat. No.: GC16572

### Chemical Properties

Cas. No. 56377-79-8

Chemical Name N-[1-(aminocarbonyl)ethenyl]-2-[(11S,14Z,21S,23S,29S)-14-ethylidene-9,10,11,12,13,14,19,20,21,22,23,24,26,33,35,36-hexadecahydro-3,23-dihydroxy-11-[(1R)-1-hydroxyethyl]-31-methyl-9,12,19,24,33,43-hexaoxo-30,32-imino-8,5:18,15:40,37-trinitrilo-21,36-([2,4]

SMILES OC1=C(C2=NC(C(NC(C(N)=O)=C)=O)=CS2)N=C(C3=CSC([C@](NC(C4=CSC([C@](NC(C5=CSC(/C(NC([C@](NC(C6=CSC7=N6)=O)([H])[C@@H](O)C)=O)=C/C)=N5)=O)([H])C[C@H](O)C(OC8)=O)=N4)=O)([H])CSC(C9=C(C)C(C8=CC=C%10)=C%10N9)=O)=N3)C7=C1

Formula  $C_{51}H_{43}N_{13}O_{12}S_6$  M.Wt 1222.4

Solubility DMF: Soluble, DMSO: Soluble, Ethanol: Soluble, Methanol: Soluble Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure

### Background

MIC: ≤ 0.25 mg/L for methicillin-resistant *S. aureus* strains; ≤ 0.125 mg/L for *Enterococcus* spp; 0.008 mg/L for BI strain of *C. difficile*

Nosiheptide is a thiopeptide antibiotic.

Thiopeptides are a family of antibiotics counting with more than one hundred different entities. Although thiopeptides are mainly isolated from soil bacteria, new members have been isolated from marine samples. Thiopeptides have been reported to have a wide range of biological properties, such as antiplasmodial, anticancer,

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immunosuppressive, etc.

**In vitro:** The mode of action of nosiheptide on bacterial protein synthesis was found to be closely similar to that of thiostrepton. Both antibiotics could inhibit functions of elongation factors Tu and G and could also significantly reduce the synthesis of guanosine penta- and tetraphosphates to stringent factor. In addition, the actinomycetes that produced nosiheptide were able to defend themselves against their products in similar fashion, which involved specific pentosemethylation of 23s ribosomal RNA [1].

**In vivo:** The rHDL-nosiheptide complex was intravenously administered to male Wistar rats and the distribution of nosiheptide in the liver and plasma was monitored 30 min after injection. Results showed that the hepatic distribution of the rHDL-nosiheptide complex accounted for most of the administered nosiheptide, and was seven times as much as that in plasma. These findings indicated that the rHDL-nosiheptide complex could targete nosiheptide to the liver [2].

**Clinical trial:** Up to now, nosiheptide is still in the preclinical development stage.

### References:

[1] E. Cundliffe and J. Thompson. The mode of action of nosiheptide (multhiomycin) and the mechanism of resistance in the producing organism. J.Gen.Microbiol. 126(1), 185-192 (1981).

[2] Feng M, Cai Q, Shi X, Huang H, Zhou P, Guo X. Recombinant high-density lipoprotein complex as a targeting system of nosiheptide to liver cells. J Drug Target. 2008 Jul;16(6):502-8.

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