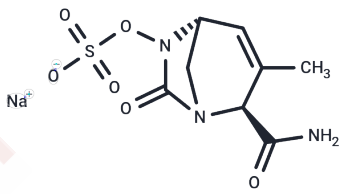
Data Sheet (Cat.No.T11125)

TargetMol

Durlobactam sodium salt

Chemical Properties



CAS No. : 1467157-21-6

Formula: C8H10N3NaO6S

Molecular Weight: 299.23

Storage: Store at low temperature,Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Durlobactam sodium salt (ETX2514) is a beta-lactamase inhibitor with IC50 values of 4 nM, 14 nM and 190 nM against class A KPC-2, class C AmpC and class D OXA-24.
Targets(IC50)	Antibacterial
In vitro	Method: After pre-incubation of Durlobactam sodium salt (ETX2514) with enzyme for 5 minutes, the IC50 value of Durlobactam for representative class A, C and D β-lactamases was measured. **RESULTS** The IC50 values of Durlobactam against Class A KPC-2, Class C AmpC, and Class D OXA-24 are 0.004μM, 0.014μM and 0.19μM respectively. [1] **METHODS**: Antimicrobial susceptibility testing of BCC and B. gladioli clinical isolates was performed with Durlobactam (2-64 μg/ml). **RESULTS** Durlobactam showed moderate activity (MIC90= 16 μg/ml). [2]
In vivo	**METHODS**: Subjects were randomly assigned to 1 of 6 sequences, including a single 3-hour intravenous infusion of Durlobactam sodium salt (ETX2514) 4 g, a single 3-hour intravenous infusion of placebo, and a single 3-hour intravenous infusion of placebo plus a single dose. The second oral dose of moxifloxacin 400 mg was given open label at the end of the intravenous infusion. During each treatment period, ambulatory electrocardiogram (ECG) measurements were obtained from before dosing to 24 hours after the start of infusion. **RESULTS** Durlobactam had no significant effect on electrocardiographic parameters, including QT interval prolongation. Durlobactam carries a low risk of prolonging the QT interval and is unlikely to produce any proarrhythmic effects. [3]

Solubility Information

Solubility	DMSO: 50 mg/mL (167.1 mM),Sonication is recommended. H2O: 2.5 mg/mL (8.35 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.3419 mL	16.7096 mL	33.4191 mL
5 mM	0.6684 mL	3.3419 mL	6.6838 mL
10 mM	0.3342 mL	1.671 mL	3.3419 mL
50 mM	0.0668 mL	0.3342 mL	0.6684 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Durand-Réville TF, et al. ETX2514 is a broad-spectrum β-lactamase inhibitor for the treatment of drug-resistant Gram-negative bacteria including Acinetobacter baumannii. Nat Microbiol. 2017 Jun 30;2:17104.
Papp-Wallace KM, et al. In Vitro Antibacterial Activity and In Vivo Efficacy of Sulbactam-Durlobactam against Pathogenic Burkholderia Species. Antimicrob Agents Chemother. 2021 Feb 17;65(3):e01930-20.
O'Donnell J,et al, double-blind, placebo- and positive-controlled crossover study of the effects of durlobactam on cardiac repolarization in healthy subjects. Clin Transl Sci. 2021 Jul;14(4):1423-1430.