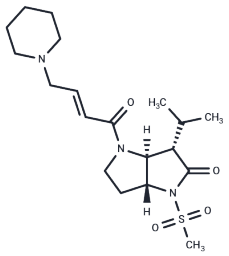
Data Sheet (Cat.No.T11525)

TargetMol

GW311616

Chemical Properties



CAS No. : 198062-54-3

Formula: C19H31N3O4S

Molecular Weight: 397.53

Storage: 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	GW-311616 is a long duration, orally bioavailable, and selective human neutrophil elastase (HNE) inhibitor (IC50: 22 nM; Ki: 0.31 nM).
Targets(IC50)	Others,Serine Protease
In vitro	GW-311616 (150 μM;?U937 cells) treatment can increase the protein expression levels of Bax and decrease the expression of Bcl-2.GW-311616 (150 μM; 48 hours) markedly suppresses NE activity in U937 and K562 cells lines. GW-311616 (20-320 μM; 48 hours; U937 cells) treatment inhibits proliferation and induces apoptosis in leukemia cells.
In vivo	GW-311616 has moderate terminal elimination half-life (t1/2) of 1.1 hours and 1.5 hours for dog (2 mg/kg, oral), rat (2 mg/kg, oral), respectively.GW-311616 (2 mg/kg;?oral administration) rapidly abolishes the circulation of neutrophil elastase (NE) in dogs, while >90% inhibition is maintained for 4 days.?This prolonged effect is independent to be due to penetration of neutrophils in bone marrow by orally administrated GW-311616.

Solubility Information

Solubility	DMSO: 44 mg/mL (110.68 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 2 mg/mL (5.03 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5155 mL	12.5777 mL	25.1553 mL
5 mM	0.5031 mL	2.5155 mL	5.0311 mL
10 mM	0.2516 mL	1.2578 mL	2.5155 mL
50 mM	0.0503 mL	0.2516 mL	0.5031 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

Ohbayashi H,et al. Neutrophil elastase inhibitors as treatment for COPD. Expert Opin Investig Drugs. 2002 Jul;11(7):965-80.

Jiang KL, et al. Neutrophil elastase and its therapeutic effect on leukemia cells. Mol Med Rep. 2015 Sep;12(3):4165-4172.

Macdonald SJ, et al. The discovery of a potent, intracellular, orally bioavailable, long duration inhibitor of human neutrophil elastase--GW311616A a development candidate. Bioorg Med Chem Lett. 2001 Apr 9;11(7):895-8.

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