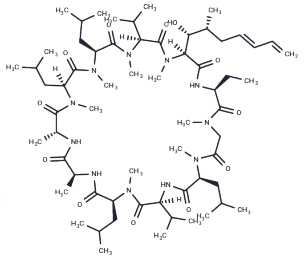
Data Sheet (Cat.No.T17235)

TargetMol

Voclosporin

Chemical Properties



CAS No. : 515814-01-4

Formula: C63H111N11O12

Molecular Weight: 1214.62

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	Voclosporin (ISAtx-247) is a novel, orally available calcium-modulated phosphatase (CN; PP2B) inhibitor and immunosuppressant used for treating lupus nephritis.
Targets(IC50)	Molecular Glues,Phosphatase
In vitro	Voclosporin (ISATX247) is a calcineurin inhibitor that has shown more potency than Cyclosporine in vitro.[2]
In vivo	Voclosporin (23.7 mg or 39.5 mg, each twice daily; 265 subjects; 48 weeks) versus placebo in combination with mycophenolate mofetil (2 g/d) and rapidly tapered low-dose oral corticosteroids for induction of remission in LN. CRR at week 24 was achieved by 29 (32.6%) subjects in the low-dose voclosporin group, 24 (27.3%) subjects in the high-dose voclosporin group, and 17 (19.3%) subjects in the placebo group (OR=2.03 for low-dose voclosporin versus placebo). The significantly greater CRR rate in the low-dose voclosporin group persisted at 48 weeks, and CRRs were also significantly more common in the high-dose voclosporin group compared to placebo at 48 weeks. There were more serious adverse events in both voclosporin groups, and more deaths in the low-dose group compared to placebo and high-dose voclosporin groups (11.2%, 1.1%, and 2.3%, respectively). These results suggest that the addition of low-dose voclosporin to mycophenolate mofetil and corticosteroids for induction therapy of active LN results in a superior renal response compared to mycophenolate mofetil and corticosteroids alone, but higher rates of adverse events including death were observed.[3]

Solubility Information

Solubility	DMSO: 31.25 mg/mL (25.73 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2 mg/mL (1.65 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	0.8233 mL	4.1165 mL	8.233 mL
5 mM	0.1647 mL	0.8233 mL	1.6466 mL
10 mM	0.0823 mL	0.4117 mL	0.8233 mL
50 mM	0.0165 mL	0.0823 mL	0.1647 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

Abel MD, et al. ISATX247: a novel calcineurin inhibitor. J Heart Lung Transplant. 2001 Feb;20(2):161.
Stalder M, et al. In vivo evaluation of the novel calcineurin inhibitor ISATX247 in non-human primates. J Heart Lung Transplant. 2003 Dec;22(12):1343-52.
Rovin BH, et al. A randomized, controlled double-blind study comparing the efficacy and safety of dose-ranging voclosporin with placebo in achieving remission in patients with active lupus nephritis. Kidney Int. 2019;95(1):219-231.
Arriens C, et al. Update on the Efficacy and Safety Profile of Voclosporin: An Integrated Analysis of Clinical Trials in Lupus Nephritis. Arthritis Care Res (Hoboken). 2023;75(7):1399-1408.
Cunningham MA, et al. LX211 (voclosporin) suppresses experimental uveitis and inhibits human T cells. Invest Ophthalmol Vis Sci. 2009;50(1):249-255.