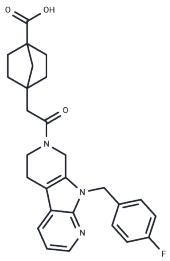
Data Sheet (Cat.No.T38759)

TargetMol

ONO-8430506

Chemical Properties



CAS No. : 1354805-08-5

Formula: C27H28FN3O3

Molecular Weight: 461.53

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	ONO-8430506 is an orally available, potent autotaxin (ATX)/ENPP2 inhibitor (IC90: 100 nM) that inhibits ATX activity in mouse plasma.
Targets(IC50)	PDE
In vitro	The IC50 values of ONO-8430506 against the lysophospholipase D (LysoPLD) activity of recombinant human ATX/ENPP2 are 5.1 nM and 4.5 nM, determined using synthetic fluorescent substrate (FS-3) and a natural substrate (16:0-LPC) assay, respectively.[2] ONO-8430506 exhibits effective inhibition of lysophosphatidic acid (LPA) formation, demonstrating IC50 values of approximately 10 nM with both recombinant and plasma-derived ATX/ENPP2 derived from diverse animal species.[2]
In vivo	ONO-8430506 (10 mg/kg/day; gavage; for 21 days) initially slows tumor growth and limits lung metastasis, with tumor size comparable to the vehicle group by day 13. It reduces the initial phase of breast tumor growth and lung metastases by ~60% in a syngeneic orthotopic mouse model. ONO-8430506 (oral; 30 mg/kg) demonstrates good pharmacokinetics and persistently inhibits plasma lysophosphatidic acid formation in rats. Additionally, ONO-8430506 (30 or 100 mg/kg) enhances the antitumor effect of Paclitaxel in a breast cancer model. The compound exhibits moderate oral bioavailability (rat 51.6%, dog 71.1%, monkey 30.8%) and Cmax (rat 261, dog 1670, monkey 63 ng/mL) following oral administration (rat 1, dog 1, and monkey 1 mg/kg).

Solubility Information

Solubility	DMSO: 90 mg/mL (195 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: 3.3 mg/mL (7.15 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	2.1667 mL	10.8335 mL	21.6671 mL
5 mM	0.4333 mL	2.1667 mL	4.3334 mL
10 mM	0.2167 mL	1.0834 mL	2.1667 mL
50 mM	0.0433 mL	0.2167 mL	0.4333 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

Matthew G K Benesch, et al. Inhibition of autotaxin delays breast tumor growth and lung metastasis in mice. FASEB J. 2014;28(6):2655-2666.
Hiroshi Saga, et al. A novel highly potent autotaxin/ENPP2 inhibitor produces prolonged decreases in plasma lysophosphatidic acid formation in vivo and regulates urethral tension. PLoS One. 2014;9(4):e93230.
Yuzo Iwaki, et al. ONO-8430506: A Novel Autotaxin Inhibitor That Enhances the Antitumor Effect of Paclitaxel in a Breast Cancer Model. ACS Med Chem Lett. 2020;11(6):1335-1341.