Product Data Sheet

Product Name: ONO-8430506
Cat. No.: GC64931

Chemical Properties

Cas. No.	1354805-08-5		
Formula	C27H28FN3O3	M.Wt	461.53
Solubility		Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	ONO-8430506		

Background

ONO-8430506 is an orally bioavailable and potent autotaxin (ATX)/ENPP2 inhibitor with the IC90 of 100 nM for ATX activity in mouse plasma[1][2][3].

Autotaxin, also known as ectonucleotide pyrophosphatase/phosphodiesterase 2 (ENPP2), is a secreted enzyme that has lysophospholipase D activity. The IC50s of ONO-8430506 for the lysophospholipase D (LysoPLD) activity of recombinant human ATX/ENPP2 are 5.1 nM in an assay using synthetic fluorescent substrate (FS-3) and 4.5 nM in an assay using a natural substrate (16:0-LPC)[2].ONO-8430506 shows efficient inhibition of lysophosphatidic acid (LPA) formation, with IC50s of approximately 10 nM with both recombinant and plasma derived ATX/ENPP2 from various animal species[2].

ONO-8430506 (10 mg/kg/day; gavage; for 21 days) slows initial tumor growth and limits lung metastasis[1].ONO-8430506 decreases the initial phase of breast tumor growth and subsequent lung metastases by ~60% in a syngeneic orthotopic mouse model[1].ONO-8430506 (oral; 30 mg/kg) demonstrates good pharmacokinetics and persistently inhibits plasma lysophosphatidic acid formation in rats[2].ONO-8430506 (30 or 100 mg/kg) enhances the antitumor effect of Paclitaxel in a breast cancer model[3].ONO-8430506 exhibits moderate oral bioavailability (rat 51.6%, dog 71.1%, and monkey 30.8%) and Cmax (rat 261, dog 1670, and monkey 63 ng/mL) following oral administration (rat 1,

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dog 1, and monkey 1 mg/kg)[3].ONO-8430506 exhibits terminal elimination half-lives (rat 3.4, dog 8.9, and monkey 7.9 h) due to low plasma clearance (8.2, 4.7, and 5.8 mL/min/kg respectively) combined with large volumes of distribution (1474, 1863, and 2275 mL/kg respectively) following intravenous administration (rat 0.3, dog 0.3, and monkey 0.3 mg/kg)[3].

[1]. Matthew G K Benesch, et al. Inhibition of autotaxin delays breast tumor growth and lung metastasis in mice. FASEB J. 2014 Jun;28(6):2655-66.
[2]. 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 Apr 18;9(4):e93230.
[3]. 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 May 14;11(6):1335-1341.