Product Data Sheet

Product Name: PF-8380 hydrochloride
Cat. No.: GC36886

Chemical Properties

Cas. No.	2070015-01-7		
SMILES	O=C(N1CCN(CC1)CCC(C2=CC(O3)=C(C=C2)NC3=O)=O)OCC4=CC(Cl)=CC(Cl)=C4.[H]Cl		
Formula	$C_{22}H_{22}Cl_3N_3O_5$	M.Wt	514.79
Solubility	DMSO: ≥ 5.2 mg/mL (10.10 mM); Water: < 0.1 mg/mL (insoluble)	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	PF-8380 hydrochloride		

Background

Autotaxin converts lysophosphatidylcholine to lysophosphatidic acid (LPA), which can mediate changes in cell proliferation, angiogenesis, and cytokine secretion.[1] PF-8380 is a potent inhibitor of autotaxin (IC_{50} = 2.8 nM in an enzyme assay), the enzyme that converts lysophosphatidylcholine to lysophosphatidic acid (LPA).[1] It reverses MMP-13 expression induced by leptin in human OA chondrocytes when used at a concentration of 10 ?M.[2] PF-8380 (30 mg/kg) reduces LPA production by >95% following carrageenan-induced inflammation in rats and inhibits autotaxin activity in human whole blood (IC_{50} = 101 nM).[1]

1.Gierse, J., Thorarensen, A., Beltey, K., et al.A novel autotaxin inhibitor reduces lysophosphatidic acid levels in plasma and the site of inflammationJ. Pharmacol. Exp. Ther.334(1)310-317(2010) 2.Datta, P., Zhang, Y., Parousis, A., et al.High-fat diet-induced acceleration of osteoarthritis is associated with a distinct and sustained plasma metabolite signatureSci. Rep.7(1)8205(2017)

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