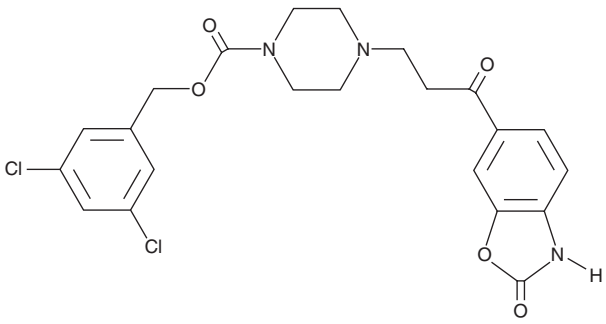
PRODUCT INFORMATION

PF-8380

Item No. 12018

CAS Registry No.:	1144035-53-9
Formal Name:	4-[3-(2,3-dihydro-2-oxo-6-benzoxazolyl)-3-oxopropyl]-(3,5-dichlorophenyl)methyl ester-1-piperazinecarboxylic acid
MF:	$C_{22}H_{21}Cl_2N_3O_5$
FW:	478.3
Purity:	≥95%
UV/Vis.:	λ_{max}: 220, 273, 292 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PF-8380 is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-8380 in the solvent of choice, which should be purged with an inert gas. PF-8380 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of PF-8380 in these solvents is approximately 3 and 5 mg/ml, respectively.

PF-8380 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-8380 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PF-8380 has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

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 µM.[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]

References

1. Gierse, J., Thorarensen, A., Beltey, K., *et al.* A novel autotaxin inhibitor reduces lysophosphatidic acid levels in plasma and the site of inflammation. *J. 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 signature. *Sci. Rep.* **7(1)**, 8205 (2017).

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