

PRODUCT INFORMATION

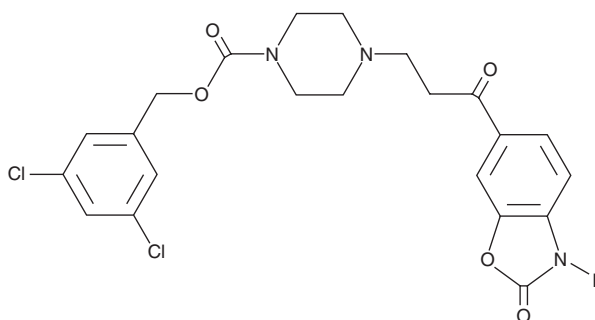


PF-8380

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: C₂₂H₂₁Cl₂N₃O₅
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 (IC₅₀ = 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 (IC₅₀ = 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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