

Product Data Sheet

Product Name: MAFP
Cat. No.: GC12420

Chemical Properties

Cas. No. 188404-10-6

Chemical Name (R)-methyl ((5E,8E,11E,14E)-icosa-5,8,11,14-tetraen-1-yl)phosphonofluoridate

SMILES F[P@](OC)(CCCC/C=C/C/C=C/C/C=C/C/C/C=C/CCCC)=O

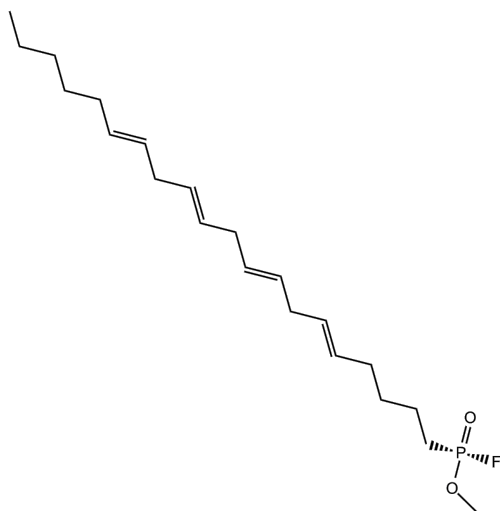
Formula $C_{21}H_{36}FO_2P$ M.Wt 370.49

Solubility DMF: 3 mg/ml DMSO: 3 mg/ml Storage Desiccate at -20°C
Ethanol: 3.5 mg/ml Ethanol:PBS (pH 7.2) 1:1: .5 mg/ml PBS (7.2): <1 mg/ml (colloidal suspension)

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Kinase experiment: MAFP is dissolved and diluted in DMSO. To investigate the reversibility of iPLA 2 inhibition by MAFP, the P388D1 iPLA 2 is first concentrated approximately 10-fold using a Centricon-10 concentrator from Amicon. The concentrated iPLA 2 (20 μ L) is then preincubated with either 80 μ M MAFP in DMSO or DMSO alone (2 μ L) for 5 min at 40°C. A 2 μ L aliquot is removed and subsequently diluted 1500-fold into 3 mL of assay mixture containing 100 μ M DPPC (200000 cpm per 50 μ L assay mixture), 400 μ M Triton X-100, 100 mM Hepes (pH 7.5), 5 mM EDTA, 1 mM DTT and 0.8 mM ATP. At the indicated time points, a 50 μ L aliquot is removed and the remaining enzyme activity is quantified[1].

Cell experiment: Inhibition of anandamide amidase in cell culture is measured using approximately 1×10^6 NI8TG2 intact neuroblastoma cells. Experimental cells are preincubated for 20 min in 1.5 mL medium, consisting of FI2/DMEM with penicillin, streptomycin, gentamicin, 10% bovine calf serum, plus MAFP (1, 5, 10, 20 nM). Control cells contained no inhibitor. Arachidonoyl is then added and the incubation continued for 1 hr. The amount of [^{3} H]anandamide in the cells is quantified by liquid scintillation counting of the silica scraped from the appropriate areas of the TLC plate identified by exposure to X-ray film[2].

References:

- [1]. Lio YC, et al. Irreversible inhibition of Ca^{2+} -independent phospholipase A2 by methyl arachidonyl fluorophosphonate. *Biochim Biophys Acta*. 1996 Jul 12;1302(1):55-60.
[2]. Deutsch DG, et al. Methyl arachidonyl fluorophosphonate: a potent irreversible inhibitor of anandamide amidase. *Biochem Pharmacol*. 1997 Feb 7;53(3):255-60.

Background

Methyl arachidonyl fluorophosphonate (MAFP) is a selective, active-site directed, irreversible inhibitor of cPLA2 and iPLA2.[1],[2],[3] It inhibits A23187-induced arachidonic acid release from human platelets with an IC_{50} value of 0.6 μ M.1 The IC_{50} value for inhibition of iPLA2 from P388D1 cells is

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0.5 μ M.2 MAFP is a potent inhibitor of fatty acid amide hydrolase, exhibiting an IC₅₀ value of 2.5 nM. MAFP also binds to the CB1 receptor in rat brain membrane preparations. The IC₅₀ values for MAFP and arachidonyl ethanolamide in this assay are 20 and 40 nM, respectively.[4]

Reference:

- [1]. Huang, Z., Liu, S., Street, I., et al. Methyl arachidonyl fluorophosphonate, a potent irreversible cPLA₂ inhibitor, blocks the mobilization of arachidonic acid in human platelets and neutrophils. *Mediators of Inflammation* 3, 307-308 (1994).
- [2]. Lio, Y.C., Reynolds, L.J., Balsinde, J., et al. Irreversible inhibition of Ca²⁺-independent phospholipase A₂ by methyl arachidonyl fluorophosphonate. *Biochimica et Biophysica Acta* 1302, 55-60 (1996).
- [3]. Balsinde, J., and Dennis, E.A. Distinct roles in signal transduction for each of the phospholipase A₂ enzymes present in P388D1 macrophages. *The Journal of Biological Chemistry* 271, 6758-6765 (1996).
- [4]. Deutsch, D.G., Omeir, R., Arreaza, G., et al. Methyl arachidonyl fluorophosphonate: A potent irreversible inhibitor of anandamide amidase. *Biochemical Pharmacology* 53, 255-260 (1997).

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