MAFP

Cat. No.: HY-103334

CAS No.: 188404-10-6

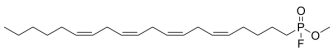
Molecular Formula: $C_{21}H_{36}FO_2P$

Molecular Weight: 370.48

Target: Phospholipase

Pathway: Metabolic Enzyme/Protease

Storage: -80°C, protect from light



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (269.92 mM)

Methyl Acetate : ≥ 10 mg/mL (26.99 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6992 mL	13.4960 mL	26.9920 mL
	5 mM	0.5398 mL	2.6992 mL	5.3984 mL
	10 mM	0.2699 mL	1.3496 mL	2.6992 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

MAFP (Methyl Arachidonyl Fluorophosphonate) is an selective, active-site directed and irreversible inhibitor of cPLA2 and iPLA2. MAFP is also a potent irreversible inhibitor of anandamide amidase.

IC_{50} & Target

cPLA2, iPLA2[1], Anandamide amidase[2]

In Vitro

MAFP inhibits iPLA2, in a concentration-dependent manner with an IC_{50} of 5 μM after a 5 min preincubation at 40°C in P388D1 cells. cPLA, is a phospholipid hydrolase using the hydroxyl of serine-228 residue as its catalytic nucleophile[1]. MAFP is also an inhibitor of anandamide amidase and as a ligand for the CB1 cannabinoid receptor. MAFP demonstrates selectivity towards anandamide amidase for which it is approximately 3000 and 30000-fold more potent than it is towards chymotrypsin and trypsin, respectively. MAFP displaces [^{3}H]CP-55940 binding to the CB1 cannabinoid receptor with an IC_{50} of 20 nM vs 40 nM for anandamide[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	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 μL) is then preincubated with either 80 μM MAFP in DMSO or DMSO alone (2 μL) for 5 min at 40°C. A 2 μL aliquot is removed and subsequently diluted 1500-fold into 3 mL of assay mixture containing 100 μM DPPC (200000 cpm per 50 μL assay mixture), 400 μM 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 μL aliquot is removed and the remaining enzyme activity is quantified[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [2]	Inhibition of anandamide amidase in cell culture is measured using approximately $1x10^6$ Nl8TG2 intact neuroblastoma cells. Experimental cells are preincubated for 20 min in 1.5 mL medium, consisting of Fl2/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 I 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Acta Pharm Sin B. 2023 Sep 9.
- Acta Physiol. 2023 Jan 6;e13926.
- J Biol Chem. 2022 May;298(5):101847.
- Biochem Biophys Res Commun. 27 July 2021.

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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.

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