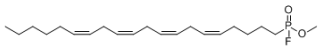
MAFP

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:	Solution, -20°C, 2 years



SOLVENT & SOLUBILITY

In Vitro	Methyl Acetate : ≥ 10 mg/mL (26.99 mM) * "≥" means soluble, but saturation unknown.

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.

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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