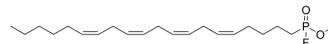


MAFP

| | |
|--------------------|---|
| Cat. No.: | HY-103334 |
| CAS No.: | 188404-10-6 |
| Molecular Formula: | C ₂₁ H ₃₆ FO ₂ P |
| 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 | Solvent Concentration | Mass | 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 a selective, active-site directed and irreversible inhibitor of cPLA2 and iPLA2. MAFP is also a potent irreversible inhibitor of anandamide amidase.

IC₅₀ & Target

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

In Vitro

MAFP inhibits iPLA2, in a concentration-dependent manner with an IC₅₀ of 0.5 μM after a 5 min preincubation at 40°C in P388D1 cells. cPLA₂ 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 [³H]CP-55940 binding to the CB1 cannabinoid receptor with an IC₅₀ 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 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].

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 1×10^6 NI8TG2 intact neuroblastoma cells. Experimental cells are preincubated for 20 min in 1.5 mL medium, consisting of F12/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 [³H]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 arachidonoyl fluorophosphonate. Biochim Biophys Acta. 1996 Jul 12;1302(1):55-60.

[2]. Deutsch DG, et al. Methyl arachidonoyl fluorophosphonate: a potent irreversible inhibitor of anandamide amidase. Biochem Pharmacol. 1997 Feb 7;53(3):255-60.

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

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