MAFP

Cat. No.: HY-103334
CAS No.: 188404-10-6
Molecular Formula: C₂₁H₃₆FO₂P
Molecular Weight: 370.48
Target: Phospholipase
Pathway: Metabolic Enzyme/Protease
Storage: Powder -20°C 3 years
           In solvent -80°C 6 months
           -20°C 1 month

SOLVENT & SOLUBILITY

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

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.6992 mL</td>
<td>13.4960 mL</td>
<td>26.9920 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5398 mL</td>
<td>2.6992 mL</td>
<td>5.3984 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2699 mL</td>
<td>1.3496 mL</td>
<td>2.6992 mL</td>
</tr>
</tbody>
</table>

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 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 [³H]CP-55940 binding to the CB1 cannabinoid receptor with an IC₅₀ of 20 nM vs 40 nM for anandamide[2].
## 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 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.

## References
