**Proteins** 

# **Screening Libraries**

# 5-Methoxyflavone

Cat. No.: HY-107790 CAS No.: 42079-78-7 Molecular Formula:  $C_{16}H_{12}O_{3}$ Molecular Weight: 252.26

Target: DNA/RNA Synthesis Pathway: Cell Cycle/DNA Damage

Storage: Powder -20°C 3 years

2 years

In solvent -80°C 2 years

> -20°C 1 year

**Product** Data Sheet

# **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 125 mg/mL (495.52 mM; Need ultrasonic)

| Preparing<br>Stock Solutions | Solvent Mass<br>Concentration | 1 mg      | 5 mg       | 10 mg      |
|------------------------------|-------------------------------|-----------|------------|------------|
|                              | 1 mM                          | 3.9642 mL | 19.8208 mL | 39.6416 mL |
|                              | 5 mM                          | 0.7928 mL | 3.9642 mL  | 7.9283 mL  |
|                              | 10 mM                         | 0.3964 mL | 1.9821 mL  | 3.9642 mL  |

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

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (8.25 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE- $\beta$ -CD in saline) Solubility: ≥ 2.08 mg/mL (8.25 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (8.25 mM); Clear solution

# **BIOLOGICAL ACTIVITY**

| Description               | 5-Methoxyflavone, belonged to Flavonoid family, is a DNA polymerase-beta inhibitor and neuroprotective agent against beta-amyloid toxicity. possess central nervous system (CNS) depressant effect mediated through the ionotropic GABA <sub>A</sub> receptors. |
|---------------------------|---|
| IC <sub>50</sub> & Target | DNA polymerase-beta $^{[1]}$ .  |
| In Vitro                  | $5$ -Methoxyflavone (compound 1) is identified as a candidate compound endowed with the ability to inhibit DNA pol- $\beta$ in  |

multiple and to prevent cell-cycle initiation and subsequent neuronal apoptosis in Aβ-challenged primary neuronal cultures. 5-methoxyflavone (10-30 μM) is able to significantly enhance toxicity of MMS on 92TAg cells. 5-Methoxyflavone (1 or 10 μM) significantly reduces polymerase activity on a gapped substrate<sup>[1]</sup>. 5-Methoxyflavone (5-MF, 0-100 μg/mL) results in a time dependent reduction in the levels of antiapoptotic proteins cFLIP, Mcl-1 and an increase in the proapoptotic protein BAX. 5-MF induces both TRAIL-R1(DR4) and TRAIL-R2 (DR5) in a time-dependent manner<sup>[2]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

5-Methoxyflavone (100, 150 mg/kg, i.p) significantly decreases the latency time to loss of righting reflex. 5-Methoxyflavone (50, 100 and 150 mg/kg, i.p) exhibits a significant and dose-dependent reduction in the spontaneous locomotor activity. 5-Methoxyflavone (50, 100 mg/kg, i.p) reduces the rearing response. 5-Methoxyflavone (100, 125 and 150 mg/kg, i.p) completely abolishesed the grooming response similar to diazepam treated animals<sup>[3]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## **REFERENCES**

- [1]. Merlo S, et al. Identification of 5-Methoxyflavone as a Novel DNA Polymerase-Beta Inhibitor and Neuroprotective Agent against Beta-Amyloid Toxicity. J Nat Prod. 2015 Nov 25;78(11):2704-11.
- [2]. Shanmugasundaram J, et al. Sedative-hypnotic like effect of 5-methoxyflavone in mice and investigation on possible mechanisms by in vivo and in silico methods. Biomed Pharmacother. 2018 Dec;108:85-94.
- [3]. Wudtiwai B, et al. Methoxyflavone derivatives modulate the effect of TRAIL-induced apoptosis in human leukemic cell lines. J Hematol Oncol. 2011 Dec 21;4:52.

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

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