**Proteins** 

# **Product** Data Sheet

# **Fumitremorgin C**

Cat. No.: HY-N2143 CAS No.: 118974-02-0 Molecular Formula:  $C_{22}H_{25}N_3O_3$ Molecular Weight: 379.45

Target: BCRP; Bacterial; Antibiotic

Pathway: Membrane Transporter/Ion Channel; Anti-infection

-20°C Storage: Powder 3 years

In solvent

4°C 2 years -80°C 6 months

-20°C 1 month

## **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 50 mg/mL (131.77 mM; Need ultrasonic)

| Preparing<br>Stock Solutions | Solvent Mass<br>Concentration | 1 mg      | 5 mg       | 10 mg      |
|------------------------------|-------------------------------|-----------|------------|------------|
|                              | 1 mM                          | 2.6354 mL | 13.1770 mL | 26.3539 mL |
|                              | 5 mM                          | 0.5271 mL | 2.6354 mL  | 5.2708 mL  |
|                              | 10 mM                         | 0.2635 mL | 1.3177 mL  | 2.6354 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: ≥ 3 mg/mL (7.91 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 3 mg/mL (7.91 mM); Clear solution

## **BIOLOGICAL ACTIVITY**

Description

Fumitremorgin C is a potent and selective ABCG2/BRCP inhibitor.

In Vitro

Multidrug resistance (MDR) is a major problem in cancer chemotherapy. Fumitremorgin C is extremely effective in reversing resistance to mitoxantrone, doxorubicin, and topotecan in multidrug-selected cell lines. In MCF-7/mtxR (a mitoxantroneselected cell line), fumitremorgin C reverses mitoxantrone resistance (114-fold) and doxorubicin resistance (3fold). Fumitremorgin C (5/AM) significantly potentiates the toxicity of mitoxantrone (93-fold), doxorubicin (26-fold), and topotecan (24-fold) in S1M1-3.2 cells. Reversal of resistance is associated with an increase in drug accumulation. Fumitremorgin C does not reverse drug resistance in cells with elevated expression of Pgp or MRP<sup>[1]</sup>. Fumitremorgin C almost completely reverses resistance mediated by BCRP in vitro and is a pharmacological probe for the expression and molecular action of this transporter. Fumitremorgin C also enhances the toxicity of mitoxantrone and topotecan in vectortransfected MCF-7 cells (2.5–5.6 fold). It reduces the  $IC_{50}$  of topotecan in BCRP-overexpressing cells below that observed in the untreated vector-transfected cells. [2].

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

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#### **PROTOCOL**

Cell Assay [1]

Cells are treated with chemotherapeutic agent and the reversal agents Fumitremorgin C is added to cells (0.1 to 80 /UM). In parallel wells, cells are grown in the presence of the reversal agent alone. Following a 3-day growth period, cells are fixed in 10% trichloroacetic acid for 1 h and washed extensively with water, and cell-associated protein is stained using 0.1% SRB. Excess reagent is removed by washing plates in 5% acetic acid, the dye is solubilized in 10 mM Tris base, and absorbance is determined in a UV Max spectrophotometer at 540 nm. Cell survival is determined relative to control wells<sup>[1]</sup>.

## **CUSTOMER VALIDATION**

- Crit Rev Anal Chem. 2021 Mar 10;1-15.
- Research Square Print. 2022.

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#### **REFERENCES**

- [1]. Rabindran SK, et al. Reversal of a novel multidrug resistance mechanism in human colon carcinoma cells by fumitremorgin C. Cancer Res. 1998 Dec 15;58(24):5850-8.
- [2]. Rabindran SK, et al. Fumitremorgin C reverses multidrug resistance in cells transfected with the breast cancer resistance protein. Cancer Res. 2000 Jan 1;60(1):47-50.

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

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