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Zuschläge

- Mindermengenzuschlag
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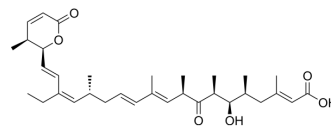
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Leptomycin B

| | |
|--------------------|--|
| Cat. No.: | HY-16909 |
| CAS No.: | 87081-35-4 |
| Molecular Formula: | C ₃₃ H ₄₈ O ₆ |
| Molecular Weight: | 540.73 |
| Target: | CRM1; Fungal; Antibiotic |
| Pathway: | Membrane Transporter/Ion Channel; Anti-infection |
| Storage: | -20°C, stored under nitrogen |
| | * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen) |



SOLVENT & SOLUBILITY

| | | | | | |
|---|--|--|-----------|-----------|------------|
| In Vitro | DMSO : ≥ 100 mg/mL (184.94 mM) | | | | |
| | H ₂ O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble) | | | | |
| | * "≥" means soluble, but saturation unknown. | | | | |
| | Preparing Stock Solutions | <div>Solvent Concentration</div> <div>Mass</div> | 1 mg | 5 mg | 10 mg |
| | | 1 mM | 1.8494 mL | 9.2468 mL | 18.4935 mL |
| 5 mM | | 0.3699 mL | 1.8494 mL | 3.6987 mL | |
| 10 mM | | 0.1849 mL | 0.9247 mL | 1.8494 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: ≥ 2.5 mg/mL (4.62 mM); Clear solution | | | | |
| | 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (4.62 mM); Suspended solution; Need ultrasonic | | | | |
| | 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (4.62 mM); Clear solution | | | | |
| | | | | | |

BIOLOGICAL ACTIVITY

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|---------------------------|---|
| Description | Leptomycin B (CI 940; LMB) is a potent inhibitor of the nuclear export of proteins. Leptomycin B inactivates CRM1/exportin 1 by covalent modification at a cysteine residue. Leptomycin B is a potent antifungal antibiotic blocking the eukaryotic cell cycle ^[1] . |
| IC ₅₀ & Target | CRM1/exportin 1 ^[1] |
| In Vitro | Leptomycin B (LMB) is very potent in vitro against various cancer cell lines (IC ₅₀ values in the 0.1 to 10 nM range). |

Leptomycin B (LMB) inhibits SiHa, HCT-116, and SKNSH cells with IC₅₀s of 0.4, 0.3 and 0.4 nM for a 72 hour exposure, respectively^[2].

Leptomycin B (LMB) (0.5 nM) displays a synergistic effect on Gefitinib (0–32 μM)-induced cytotoxicity in A549 and H460 cell line. The simultaneous treatments of Gefitinib (0–32 μM) and Leptomycin B (0.5 nM) show synergistic cytotoxic effect on A549 as compared to Gefitinib alone at both 24 and 48 hours^[3].

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

Cell Viability Assay^[3]

| | |
|------------------|---|
| Cell Line: | The non-small cell lung cancer (NSCLC) cell lines A549 and H460 |
| Concentration: | 0.5 nM |
| Incubation Time: | 24 and 48 hours |
| Result: | The IC ₅₀ of Gefitinib at 48 hours was 32.0±2.5 μM while it was significantly reduced to 25.0±2.1 μM with the combination of 0.5 nM Leptomycin B. The significant synergistic cytotoxic effect from co-treatment of 0.5 nM Leptomycin B with Gefitinib was also confirmed in H460 cell line. |

Cell Viability Assay^[3]

| | |
|------------------|--|
| Cell Line: | A549 |
| Concentration: | 0.5 nM |
| Incubation Time: | 48 hours |
| Result: | 0.5 nM Leptomycin B plus Gefitinib or Gefitinib alone had a decreased p-EGFR(Tyr1068) expressions compared with controls. p-Akt (Ser473) was inhibited in a dose-response manner by Gefitinib treatments, but it was enhanced by gefitinib+Leptomycin B co-treatments compared with gefitinib alone. A549 treated by Gefitinib+Leptomycin B had a higher expression of p-Erk1/2(Thr202/Tyr204) than A549 treated by Gefitinib alone. |

In Vivo

Leptomycin B (LMB) is poorly tolerated in vivo. Maximum tolerated dose (MTD) is 2.5 mg/kg for LMB (single i.v.) in HCT-116 tumor-bearing mice. The limited in vivo efficacy of Leptomycin B is due to off-target effects because our nuclear export inhibitors (NEIs) retain the potent inhibition of CRM1, but are clearly better tolerated in vivo^[4].

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

CUSTOMER VALIDATION

- Cell Death Discov. 2023 Jun 29;9(1):199.
- J Immunol. 2021 May 17;ji2001346.
- Mol Med Rep. 2021 Apr 15.
- Research Square Print. November 29th, 2022.
- . November 29th, 2022.

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- [1]. N Kudo, et al. Leptomycin B inactivates CRM1/exportin 1 by covalent modification at a cysteine residue in the central conserved region. Proc Natl Acad Sci U S A. 1999 Aug 3;96(16):9112-7.
- [2]. Sarah C Mutka, et al. Identification of nuclear export inhibitors with potent anticancer activity in vivo. Cancer Res. 2009 Jan 15;69(2):510-7.
- [3]. Zhongwei Liu, et al. Leptomycin B reduces primary and acquired resistance of gefitinib in lung cancer cells. Toxicol Appl Pharmacol. 2017 Nov 15;335:16-27.
- [4]. Sarah C Mutka, et al. Identification of nuclear export inhibitors with potent anticancer activity in vivo. Cancer Res. 2009 Jan 15;69(2):510-7.
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Caution: Product has not been fully validated for medical applications. For research use only.

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