**Product Data Sheet**

**Luminespib**

**Cat. No.:** HY-10215  
**CAS No.:** 747412-49-3  
**Molecular Formula:** C\textsubscript{26}H\textsubscript{31}N\textsubscript{3}O\textsubscript{5}  
**Molecular Weight:** 465.54  
**Target:** HSP; Autophagy; Apoptosis  
**Pathway:** Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Autophagy; Apoptosis  
**Storage:**  
- Powder: -20°C, 3 years; 4°C, 2 years  
- In solvent: -80°C, 1 year; -20°C, 6 months

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**SOLVENT & SOLUBILITY**

**In Vitro**  
DMSO: ≥ 62 mg/mL (133.18 mM)  
* "≥" means soluble, but saturation unknown.

- **Preparing Stock Solutions**
  - **Concentration**  
    - 1 mM: 2.1480 mL  
    - 5 mM: 0.4296 mL  
    - 10 mM: 0.2148 mL

- **In Vivo**
  1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
     Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution
  2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
     Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution
  3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
     Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution
  4. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline  
     Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution
  5. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)  
     Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution

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**BIOLOGICAL ACTIVITY**

**Description**  
Luminespib (VER-52296) is a potent HSP90 inhibitor with IC\textsubscript{50}s of 7.8 and 21 nM for HSP90α and HSP90β, respectively[1].
Luminespib is a potent and selective HSP90 inhibitor, with IC\textsubscript{50}s and Ki\textsubscript{s} of 21 ± 16, 8.2 ± 0.7 nM against HSP90\textsubscript{β} and of 7.8 ± 1.8, 9.0 ± 5.0 nM for HSP90\textsubscript{α}. Luminespib shows weak activity against GRP94 and TRAP-1 with IC\textsubscript{50}s of 535 ± 51 nM (Ki\textsubscript{s}, 108 nM) and 85 ± 8 nM (Ki\textsubscript{s}, 53 nM), respectively. Luminespib exhibits inhibitory effect on proliferation of various human tumor cell lines (2.3-49.6 nM), induces cell cycle arrest and apoptosis and depletes client proteins in human cancer cells (80 nM)\textsuperscript{[1]}. Luminespib (100 nM) significantly reduces CD40L fibroblast-induced changes in immunophenotype and STAT3 signaling but with no effect on the viability of chronic lymphocytic leukemia (CLL) cells. Luminespib (500 nM) in combination with NSC 118218 more effectively induces apoptosis in cells in co-culture than either drug alone, and overcomes fibroblast-derived resistance to Hsp90 inhibitor\textsuperscript{[2]}. Luminespib shows great inhibition of pancreatic cancer cells with IC\textsubscript{50} of at 10 nM. Luminespib (10 nM) reduces the expression and the epidermal growth factor (EGF)-mediated activation of ERK\textsuperscript{Thr202/Tyr204}. Luminespib (10 nM) significantly blocks pancreatic cancer cell migration and invasion both in the absence and presence of EGF\textsuperscript{[3]}.

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

### In Vivo
Luminespib (50, 75 mg/kg, i.p.) significantly inhibits tumor growth rate, reducing the mean weights of tumors on day 11 in human tumor xenografts\textsuperscript{[2]}. Luminespib (50 mg/kg/week, 3×25 mg/kg/week) significantly reduces tumor growth rates and lowers tumor weights in the L3.6pl pancreatic cancer cell-bearing mice model\textsuperscript{[3]}. Luminespib shows great inhibition of pancreatic cancer cells with IC\textsubscript{50} of at 10 nM. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### PROTOCOL

#### Cell Assay\textsuperscript{[1]}
Cell lines are grown in DMEM/10% FCS, 2 mM glutamine, and nonessential amino acids in a humidified atmosphere of 5% CO\textsubscript{2} in air. All lines are free of Mycoplasma. Cell proliferation is determined using the SRB assay for tumor cells and prostate epithelial cells, the WST-1 assay for MCF10A and HB119, or an alkaline phosphatase assay for HUVEC and HDMEC. GI\textsubscript{50} is the compound concentration inhibiting cell proliferation by 50% compared with vehicle controls. Active caspase-3/7 is measured using a homogenous caspase assay kit\textsuperscript{[1]}. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Animal Administration\textsuperscript{[1]}
Mice\textsuperscript{[1]}
For efficacy studies, human tumor xenografts are established s.c. in athymic mice. WM266.4 cells are also injected i.v. to generate experimental lung metastases and PC3LN3 prostate carcinoma cells are implanted into the prostates of male mice. Dosing by i.p. with Luminespib commences when tumors are well established. Tumor growth is monitored and at study end samples are harvested for analysis\textsuperscript{[1]}. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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