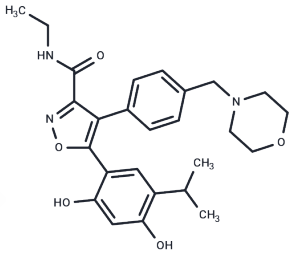
Data Sheet (Cat.No.T1989)

TargetMol

Luminespib

Chemical Properties



CAS No. : 747412-49-3

Formula: C26H31N3O5

Molecular Weight: 465.54

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Luminespib (VER-52296) is an HSP90 inhibitor that inhibits HSP90α and HSP90β (IC50=7.8/21 nM). Luminespib has antitumor activity and is used in studies of head and neck tumors, among others.
Targets(IC50)	Apoptosis,HSP,Autophagy
In vitro	**METHODS**: H. pylori infected GES-1 cells were treated with Patchouli alcohol (5-20 μg/mL) for 24 h. Apoptosis was detected by flow cytometry. **RESULTS**: Patchouli alcohol treatment significantly reduced H. pylori-induced apoptosis. [1] **METHODS**: H. pylori-infected GES-1 cells were treated with Patchouli alcohol (5-20 μg/mL) for 24 h. Cytokine levels were measured by ELISA. **RESULTS**: Treatment with 5-20 μg/mL Patchouli alcohol significantly reduced the production of TNF-α and MCP-1. [1]
In vivo	**METHODS**: To study the effect on H. pylori-associated gastritis, Patchouli alcohol (5-20 mg/kg) was administered orally to H. pylori infected mice once daily for two weeks. **RESULTS**: Patchouli alcohol treatment increased SH-NP content and CAT activity and significantly protected the gastric mucosa from H. pylori-induced damage. [1]
Cell Research	Cell lines were grown in DMEM/10% FCS, 2 mmol/L glutamine, and nonessential amino acids in a humidified atmosphere of 5% CO2 in air. All lines were free of Mycoplasma. Cell proliferation was determined using the sulforhodamine B (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. GI50 was the compound concentration inhibiting cell proliferation by 50% compared with vehicle controls. Cell cycle analysis was as described. Active caspase-3/7 was measured using a homogenous caspase assay kit [1].
Animal Research	In vivo, pharmacokinetic studies in female NCr athymic mice bearing WM266.4 human melanoma xenografts were essentially as described. NVP-AUY922 was dissolved in DMSO and diluted in sterile saline/Tween 20. A single dose of 50 mg/kg NVP-AUY922 was given i.v. or i.p. and groups of three animals were taken at intervals for pharmacokinetic analyses [1].

Solubility Information

Solubility	DMSO: 16.67 mg/mL (35.81 mM),Sonication is recommended. Ethanol: 29 mg/mL (62.29 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 8.6 mg/mL (18.47 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.148 mL	10.7402 mL	21.4804 mL
5 mM	0.4296 mL	2.148 mL	4.2961 mL
10 mM	0.2148 mL	1.074 mL	2.148 mL
50 mM	0.043 mL	0.2148 mL	0.4296 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Park KS, et al. HSP90 inhibitor, AUY922, debilitates intrinsic and acquired lapatinib-resistant HER2-positive gastric cancer cells. BMB Rep. 2018 Dec;51(12):660-665.
He C X, Lv Y, Guo M, et al. Complex Crystal Structure Determination of Hsp90 N-NVP-AUY922 and In Vitro Anti-NSCLC Activity of NVP-AUY922. Frontiers in oncology. 2022, 12: 847556-847556.
He C X, Lv Y, Guo M, et al. Complex Crystal Structure Determination of Hsp90N-NVP-AUY922 and In Vitro Anti-NSCLC Activity of NVP-AUY922. Frontiers in oncology. 2022, 12
Eccles SA, et al. NVP-AUY922: a novel heat shock protein 90 inhibitor active against xenograft tumor growth, angiogenesis, and metastasis. Cancer Res. 2008 Apr 15;68(8):2850-60.
Okui T, et al. Antitumor effect of novel HSP90 inhibitor NVP-AUY922 against oral squamous cell carcinoma. Anticancer Res. 2011 Apr;31(4):1197-204.
Wang Y, Ma H, Huang J, et al. Discovery of bardoxolone derivatives as novel orally active necroptosis inhibitors. European Journal of Medicinal Chemistry,. 2021 Feb 15;212:113030
Han H W, Hahn S, Jeong H Y, et al. LINCS L1000 dataset-based repositioning of CGP-60474 as a highly potent anti-endotoxemic agent. Scientific Reports. 2018 Oct 8;8(1):14969
Brough PA, et al. 4,5-diarylisoxazole Hsp90 chaperone inhibitors: potential therapeutic agents for the treatment of cancer. J Med Chem. 2008 Jan 24;51(2):196-218.
Han H W, Hahn S, Jeong H Y, et al. LINCS L1000 dataset-based repositioning of CGP-60474 as a highly potent anti-endotoxemic agent[J]. Scientific reports. 2018 Oct 8;8(1):14969.
Li J, Han X, Sun M, et al.Caspase-9 inhibition triggers Hsp90-based chemotherapy-mediated tumor intrinsic innate sensing and enhances antitumor immunity.Journal for Immunotherapy of Cancer.2023, 11(12).
Wang Y, Ma H, Huang J, et al. Discovery of bardoxolone derivatives as novel orally active necroptosis inhibitors[J]. European Journal of Medicinal Chemistry. 2020: 113030.
Xu X, Xie T, Zhou M, et al.Hsc70 promotes anti-tumor immunity by targeting PD-L1 for lysosomal degradation. Nature Communications.2024, 15(1): 4237.

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