

Product Data Sheet

Product Name: NMS-1286937

Cat. No.: GC12515

Chemical Properties

Cas. No. 1034616-18-6

Formula C₂₄H₂₇F₃N₈O₃

M.Wt 532.52

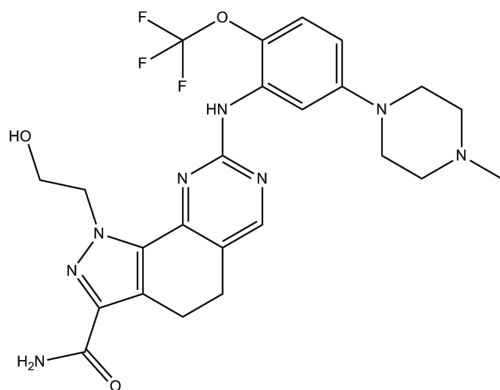
Solubility ≥ 13.3mg/mL in DMSO

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines Ovar-3 and ES-2 cells (human ovarian carcinoma cell lines)

Cells were cultured in RPMI-1640 or McCoy's 5A medium supplemented with Preparation Method 10% fetal bovine serum (FBS) and maintained at 37°C under 5% CO₂. Cells were treated with NMS-1286937 at concentrations of 10–50nM for 24–72 hours.

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

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Reaction
Conditions 10-50μM; 24-72h

Applications NMS-1286937 induced G₂/M phase cell cycle arrest, with a dose-dependent increase in G₂/M population.

Animal experiment [2]:

Animal models NCr-nu/nu mice with patient-derived xenografts (PDXs) of platinum-resistant ovarian carcinomas

Preparation Method Mice were orthotopically (intraperitoneally) or subcutaneously transplanted with tumor fragments/cells. NMS-1286937 was administered orally at 50mg/kg for 4 consecutive days per week for 3 weeks, combined with paclitaxel (15mg/kg intravenously once weekly for 3 weeks). Mice were monitored for tumor growth and survival.

Dosage form 50mg/kg; p.o.; 4 consecutive days per week for 3 weeks

Applications The combination of NMS-1286937 and Paclitaxel significantly prolonged median survival in orthotopic PDX models. Tumor growth inhibition was accompanied by induction of mitotic block (pSer10H3) and DNA damage/apoptosis (γH2AX) in ex vivo tumor tissues.

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References:

- [1] Chiappa M, Decio A, Guarrera L, et al. Onvansertib treatment overcomes olaparib resistance in high-grade ovarian carcinomas. *Cell Death Dis.* 2024 Jul 22;15(7):521.
- [2] Affatato R, Chiappa M, Guffanti F, et al. Onvansertib and paclitaxel combined in platinum-resistant ovarian carcinomas. *Ther Adv Med Oncol.* 2022 May 31;14:17588359221095064.

Background

NMS-1286937 is an orally active small-molecule compound. NMS-1286937 potently and selectively inhibits Polo-like kinase 1 (PLK1) activity with a half-maximal inhibitory concentration (IC₅₀) of 2nM^[1]. By competitively binding to the ATP site, NMS-1286937 reversibly inhibits PLK1, leading to G2/M phase cell cycle arrest, chromosomal misalignment, and abnormal spindle formation in various tumor cells, ultimately resulting in apoptosis^[2]. NMS-1286937 shows potential in the treatment of solid tumors and hematological malignancies^[3-4].

In vitro, treatment of medulloblastoma cell lines (D425, D458) with NMS-1286937 (10–20nM) for 24–48 hours induced G2/M phase cell cycle arrest and significantly inhibited cell proliferation and stem cell self-renewal capacity^[5]. In ovarian cancer cell lines (Ovcar-3, ES-2), treatment with NMS-1286937 (30–50nM) for 24–72 hours suppressed cell proliferation while activating apoptosis and DNA damage pathways^[6].

In vivo, daily oral administration of NMS-1286937 (25mg/kg) for four weeks in LKB1^{fl/fl} p53^{fl/fl} transgenic endometrial cancer model mice significantly inhibited tumor growth and reduced tumor weight^[7]. In nude mice bearing patient-derived xenografts (PDX) of platinum-resistant ovarian cancer, once-weekly intravenous administration of NMS-1286937 (50mg/kg) in combination with Paclitaxel (15mg/kg) significantly extended median survival and induced mitotic arrest and DNA damage in tumor tissues^[8].

References:

- [1] Valsasina B, Beria I, Alli C, et al. NMS-P937, an orally available, specific small-molecule polo-like kinase 1 inhibitor with antitumor activity in solid and hematologic malignancies. *Mol Cancer Ther.* 2012 Apr;11(4):1006-16.

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- [2] Su S, Chhabra G, Singh CK, et al. PLK1 inhibition-based combination therapies for cancer management. *Transl Oncol.* 2022 Feb;16:101332.
- [3] Ahn DH, Barzi A, Ridinger M, et al. Onvansertib in Combination with FOLFIRI and Bevacizumab in Second-Line Treatment of KRAS-Mutant Metastatic Colorectal Cancer: A Phase Ib Clinical Study. *Clin Cancer Res.* 2024 May 15;30(10):2039-2047.
- [4] Nouri M, Varkaris A, Ridinger M, et al. AKT Inhibition Sensitizes to Polo-Like Kinase 1 Inhibitor Onvansertib in Prostate Cancer. *Mol Cancer Ther.* 2024 Oct 1;23(10):1404-1417.
- [5] Wang D, Veo B, Pierce A, et al. A novel PLK1 inhibitor onvansertib effectively sensitizes MYC-driven medulloblastoma to radiotherapy. *Neuro Oncol.* 2022 Mar 12;24(3):414-426.
- [6] Chiappa M, Decio A, Guarrera L, et al. Onvansertib treatment overcomes olaparib resistance in high-grade ovarian carcinomas. *Cell Death Dis.* 2024 Jul 22;15(7):521.
- [7] Sinha N, Shen X, Haag J, et al. Onvansertib exhibits anti-proliferative and anti-invasive effects in endometrial cancer. *Front Pharmacol.* 2025 Mar 17;16:1545038.
- [8] Affatato R, Chiappa M, Guffanti F, et al. Onvansertib and paclitaxel combined in platinum-resistant ovarian carcinomas. *Ther Adv Med Oncol.* 2022 May 31;14:17588359221095064.

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