

Product Data Sheet

Product Name: BI 2536
Cat. No.: GC12450

Chemical Properties

Cas. No. 755038-02-9

Chemical Name 4-[[[(7R)-8-cyclopentyl-7-ethyl-5-methyl-6-oxo-7H-pteridin-2-yl]amino]-3-methoxy-N-(1-methylpiperidin-4-yl)benzamide

SMILES CCC1C(=O)N(C2=CN=C(N=C2N1C3CCCC3)NC4=C(C=C(C=C4)C(=O)NC5CCN(CC5)C)OC)C

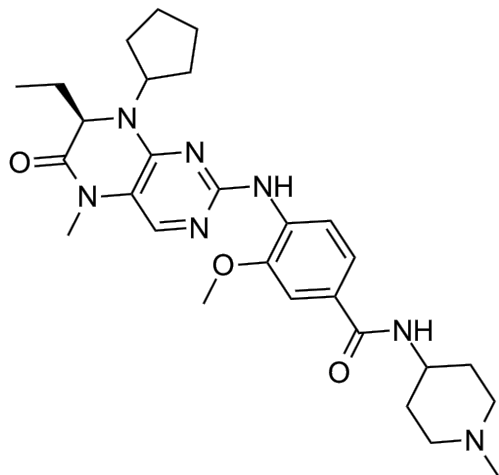
Formula $C_{28}H_{39}N_7O_3$ M.Wt 521.67

Solubility ≥ 13.04 mg/mL in DMSO, ≥ 92.4 mg/mL in EtOH with ultrasonic Storage 4°C , protect from light

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]:

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Cell lines Human ovarian cell line A2780 cell

Preparation Method Cells were seeded in a 12-well plate and treated with indicated drugs (DMSO, 0.1μM, 0.5μM, 1.0μM and 2.0μM BI 2536) for 72h. Then cells were washed with PBS, harvested, and fixed with anhydrous ethanol overnight. After washed with PBS, PI/RNase staining was added to suspend cells and incubate for 30min in dark. The cell cycle distribution was determined by flow cytometry.

Reaction Conditions 0.1μM, 0.5μM, 1.0μM and 2.0μM; 72h

Applications BI 2536 inhibited the proliferation of ovarian cancer cells and induced cell cycle arrest at the G2/M phases.

Animal experiment [2]:

Animal models Athymic nu/nu mice

Preparation Method A total of 5×10^6 SCLC cells, suspended in a 100μL volume, were mixed with an equal volume of Matrigel and inoculated into the dorsal flank of a 4-6 week-old nu/nu mice. Once the tumors became palpable and measurable, mice were randomized into four groups and treated with either DMSO control, BI 2536 alone, alisertib alone, or a combination of BI 2536 and alisertib. BI 2536 (10mg/kg) was administered via tail vein injection every other day for a total of five doses. Alisertib (20mg/kg) was given orally every other day for a total of five doses. Each animal was tracked individually every other day for tumor growth by external caliper measurements of the protruding subcutaneous tumors. The tumor size was calculated using the following formula: Volume = (length × width²)/2.

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Dosage form 10mg/kg; every other day for a total of five doses; i.v.

Applications Combining BI 2536 with alisertib impaired DNA repair capacity and significantly delayed tumor growth in mice.

References:

[1] Huo J, Shen Y, Zhang Y, et al. BI 2536 induces gasdermin E-dependent pyroptosis in ovarian cancer. *Front Oncol.* 2022 Aug 9;12:963928.

[2] Zhang J, Liu X, Hou P, et al. BRCA1 orchestrates the response to BI-2536 and its combination with alisertib in MYC-driven small cell lung cancer. *Cell Death Dis.* 2024 Jul 31;15(7):551.

Background

BI 2536, dual Polo-like kinase (PLK)/bromodomain inhibitors, effectively reactivate latent HIV-1. Half maximal inhibitory concentration (IC₅₀) values of BI 2536 against PLK1, PLK2, and PLK3 in cell-free kinase assays are 0.83nM, 3.5nM and 9.0nM, respectively^[1].

In vitro, BI 2536 (0.1, 0.5, 1 and 2μM; 72h) inhibited the proliferation of ovarian cancer cells and induced cell cycle arrest at the G2/M phases^[2]. BI 2536 (28.23 and 17.30nM; 24 and 48h) promotes neuroblastoma cell death via minichromosome maintenance complex components 2 and 10^[3]. Alisertib cooperates with BI 2536 (14h and 24h) to induce cell cycle arrest and DNA double-strand breaks in small cell lung cancer^[4]. BI 2536 (5nM and 10nM; 24h) induces apoptosis and attenuates the autophagic process in neuroblastoma cells^[5].

In vivo, BI 2536 (10mg/kg/every other day; 20 days; i.p.) inhibited tumor growth in the cell-derived xenograft model mice^[2]. Combining BI 2536 (10mg/kg; every other day for a total of five doses; i.v.) with alisertib impaired DNA repair capacity and significantly delayed tumor growth in mice^[4].

References:

[1] Gohda J, Suzuki K, Liu K, et al. BI-2536 and BI-6727, dual Polo-like kinase/bromodomain inhibitors, effectively reactivate latent HIV-1. *Sci Rep.* 2018 Feb 23;8(1):3521.

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- [2] Huo J, Shen Y, Zhang Y, et al. BI 2536 induces gasdermin E-dependent pyroptosis in ovarian cancer. *Front Oncol.* 2022 Aug 9;12:963928.
- [3] Hsieh CH, Yeh HN, Huang CT, et al. BI-2536 Promotes Neuroblastoma Cell Death via Minichromosome Maintenance Complex Components 2 and 10. *Pharmaceuticals (Basel).* 2021 Dec 28;15(1):37.
- [4] Zhang J, Liu X, Hou P, et al. BRCA1 orchestrates the response to BI-2536 and its combination with alisertib in MYC-driven small cell lung cancer. *Cell Death Dis.* 2024 Jul 31;15(7):551.
- [5] Li Z, Yang C, Li X, et al. The dual role of BI 2536, a small-molecule inhibitor that targets PLK1, in induction of apoptosis and attenuation of autophagy in neuroblastoma cells. *J Cancer.* 2020 Mar 5;11(11):3274-3287.

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