

Product Data Sheet

Product Name: Bedaquiline

Cat. No.: GC12278

Chemical Properties

Cas. No. 843663-66-1

Chemical Name (1R,2S)-1-(6-bromoquinolin-3-yl)-4-(dimethylamino)-2-(naphthalen-1-yl)-1-phenylbutan-2-ol

SMILES CN(C)CC[C@]([C@H](C1=CC=CC=C1)C2=CC3=CC(Br)=CC=C3N=C2)(O)C4=CC=CC5=C4C=CC=C5

Formula $C_{32}H_{31}BrN_2O_2$

M.Wt 555.5

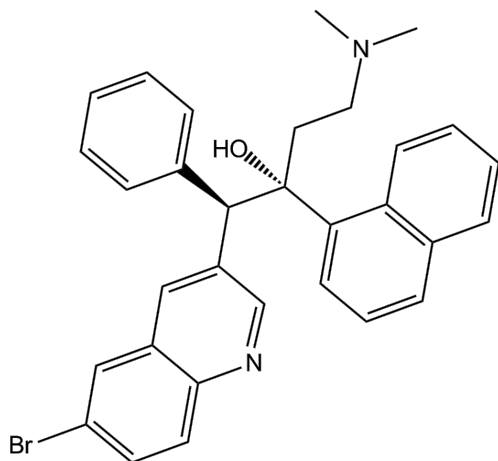
Solubility $\geq 22.05\text{mg/mL}$ in DMSO with gentle warming

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]:

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Cell lines	H1299 and A549 (NSCLC cell lines)
Preparation Method	Cells were seeded and treated with various concentrations (2.5, 5, 10, 25, and 50µM) of Bedaquiline.
Reaction Conditions	2.5–50µM; 72 hours.
Applications	In H1299 cells, Bedaquiline caused cell death at 72h. In A549 cells, no cytotoxicity was observed for Bedaquiline at the tested concentrations up to 50µM.

Animal experiment [2]:

Animal models	C3HeB/FeJ mice
Preparation Method	Mice were intravenously infected with a rough variant of <i>Mycobacterium abscessus</i> (10 ⁶ CFU/mouse). Mice were treated with Bedaquiline (30mg/kg; orally), and mice were sacrificed at different time points (12 and 25 days post-infection) for bacterial load (CFU) analysis in organs.
Dosage form	30mg/kg; oral administration; for 12 or 25 days.
Applications	Bedaquiline significantly reduced the bacterial load in the lungs and spleen of infected mice at 12 and 25 days post-infection compared to treatment with Amikacin (150mg/kg; subcutaneously).

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References:

- [1] Parvathaneni V, Elbatanony RS, Goyal M, et al. Repurposing Bedaquiline for Effective Non-Small Cell Lung Cancer (NSCLC) Therapy as Inhalable Cyclodextrin-Based Molecular Inclusion Complexes. *Int J Mol Sci.* 2021 Apr 30;22(9):4783.
- [2] Le Moigne V, Raynaud C, Moreau F, et al. Efficacy of Bedaquiline, Alone or in Combination with Imipenem, against *Mycobacterium abscessus* in C3HeB/FeJ Mice. *Antimicrob Agents Chemother.* 2020 May 21;64(6):e00114-20.

Background

Bedaquiline is a diarylquinoline-class anti-tuberculosis drug. Bedaquiline works by inhibiting the ATP synthase of *Mycobacterium tuberculosis*, thereby blocking the bacterium's energy supply^[1-2]. Bedaquiline is applicable for research related to multidrug-resistant tuberculosis (MDR-TB) and cancer^[3-4].

In vitro, treatment of MCF7 breast cancer cells with Bedaquiline (1–10 μ M) for 48 hours inhibited mitochondrial oxygen consumption and glycolysis, induced oxidative stress, and significantly suppressed the proliferation and expansion of MCF7-derived cancer stem-like cells (CSCs). Treatment of normal human fibroblasts (hTERT-BJ1) with Bedaquiline (10 μ M) for 48 hours increased oxygen consumption, ATP levels, and glycolysis in hTERT-BJ1 cells without inducing significant stress responses^[5]. Treatment of non-small cell lung cancer cell lines H1299 and A549 with Bedaquiline (2.5–50 μ M) for 72 hours inhibited cell viability and caused cell death in H1299 cells, while also suppressing mitochondrial respiration and glycolysis; in A549 cells, no cytotoxicity was observed at the tested concentrations^[6].

In vivo, Bedaquiline (10mg/kg or 25mg/kg) was administered orally five times per week for 4 weeks to BALB/c mice that had been infected via low-dose aerosol with the *Mycobacterium tuberculosis* Erdman strain. In BALB/c mice, Bedaquiline treatment significantly and uniformly reduced the bacterial load in the lungs^[7]. Bedaquiline (30mg/kg) was administered orally for 12-25 days to C3HeB/FeJ mice that had been intravenously infected with *Mycobacterium abscessus*. Bedaquiline reduced the bacterial burden in the lungs and spleen more significantly than amikacin (150mg/kg; subcutaneous injection)^[8].

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- [1] Conradie F, Bagdasaryan TR, Borisov S, et al. Bedaquiline-Pretomanid-Linezolid Regimens for Drug-Resistant Tuberculosis. N Engl J Med. 2022 Sep 1;387(9):810-823.
- [2] Khoshnood S, Goudarzi M, Taki E, et al. Bedaquiline: Current status and future perspectives. J Glob Antimicrob Resist. 2021 Jun;25:48-59.
- [3] Zhu H, Chen Q, Zhao L, et al. Targeting ATP Synthase by Bedaquiline as a Therapeutic Strategy to Sensitize Ovarian Cancer to Cisplatin. Nutr Cancer. 2023;75(4):1271-1280.
- [4] Lange C, Vasiliu A, Mandalakas AM. Emerging bedaquiline-resistant tuberculosis. Lancet Microbe. 2023 Dec;4(12):e964-e965.
- [5] Fiorillo M, Lamb R, Tanowitz HB, et al. Bedaquiline, an FDA-approved antibiotic, inhibits mitochondrial function and potentially blocks the proliferative expansion of stem-like cancer cells (CSCs). Aging (Albany NY). 2016 Aug;8(8):1593-607.
- [6] Parvathaneni V, Elbatanony RS, Goyal M, et al. Repurposing Bedaquiline for Effective Non-Small Cell Lung Cancer (NSCLC) Therapy as Inhalable Cyclodextrin-Based Molecular Inclusion Complexes. Int J Mol Sci. 2021 Apr 30;22(9):4783.
- [7] Irwin SM, Prideaux B, Lyon ER, et al. Bedaquiline and Pyrazinamide Treatment Responses Are Affected by Pulmonary Lesion Heterogeneity in Mycobacterium tuberculosis Infected C3HeB/FeJ Mice. ACS Infect Dis. 2016 Apr 8;2(4):251-267.
- [8] Le Moigne V, Raynaud C, Moreau F, et al. Efficacy of Bedaquiline, Alone or in Combination with Imipenem, against Mycobacterium abscessus in C3HeB/FeJ Mice. Antimicrob Agents Chemother. 2020 May 21;64(6):e00114-20.

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