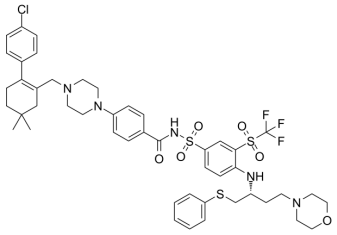
Navitoclax

Cat. No.:	HY-10087
CAS No.:	923564-51-6
Molecular Formula:	$C_{47}H_{55}ClF_3N_5O_6S_3$
Molecular Weight:	974.61
Target:	Bcl-2 Family
Pathway:	Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMF : ≥ 100 mg/mL (102.61 mM)

DMSO : 75 mg/mL (76.95 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	1.0261 mL	5.1303 mL	10.2605 mL
5 mM	0.2052 mL	1.0261 mL	2.0521 mL
10 mM	0.1026 mL	0.5130 mL	1.0261 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% Ethanol >> 60% Phosal 50 PG >> 30% PEG400
   Solubility: 7.5 mg/mL (7.70 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.08 mg/mL (2.13 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (2.13 mM); Suspended solution; Need ultrasonic
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.13 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Navitoclax (ABT-263) is a potent and orally active Bcl-2 family protein inhibitor that binds to multiple anti-apoptotic Bcl-2 family proteins, such as Bcl-x_L, Bcl-2 and Bcl-w, with a K_i of less than 1 nM[1].

IC_{50} & Target	Bcl-W 1 nM (Ki)	Bcl-xL 1 nM (Ki)	Bcl-2 1 nM (Ki)

In Vitro

Navitoclax (ABT-263) is active against approximately one-half of the cell lines of the PPTP in vitro panel. The median IC_{50} for all of the lines in the panel is 1.91 μM[1]. Navitoclax in combination with chemotherapy agents leads most ovarian cancer cell lines a synergistic response, and enhances the caspase activation in both SK-OV-3 and IGROV-1 cell lines[2].

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

In Vivo

Navitoclax (100 mg/kg; orally; 21-day treatment) enhances the activity of OSI-744 in vivo. As a single agent, 100 mg/kg Navitoclax alone dosed daily has no significant antitumor activity, whereas daily dosing of OSI-744 at 50 mg/kg results in significant tumor stasis (%TGI=52) during a 21-day treatment period. Notably, the combination of Navitoclax and OSI-744 dosed daily for 21 consecutive days results in 98% TGI and durable tumor regressions in 100% of treated tumor-bearing mice [3].

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

Animal Model:	Mice with NCI-H1650 model[3]
Dosage:	100 mg/kg
Administration:	Orally; daily; for 21 consecutive days
Result:	As a single agent, 100 mg/kg alone dosed daily had no significant antitumor activity. Notably, the combination with OSI-744 resulted in 98% TGI and durable tumor regressions in 100% of treated tumor-bearing mice.

CUSTOMER VALIDATION

- Cancer Cell. 2021 Jan 11;39(1):68-82.e9.
- Cell Res. 2023 May 11.
- Cell Discov. 2022 Oct 6;8(1):102.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Nat Commun. 2024 Mar 18;15(1):2435.

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REFERENCES

[1]. Lock R1, et al. Initial testing (stage 1) of the BH3 mimetic ABT-263 by the pediatric preclinical testing program. Pediatr Blood Cancer. 2008 Jun;50(6):1181-1189.

[2]. Wong M, et al. Navitoclax (ABT-263) reduces Bcl-x(L)-mediated chemoresistance in ovarian cancer models.Mol Cancer Ther. 2012 Apr;11(4):1026-1035.

[3]. Chen J, et al. The Bcl-2/Bcl-X(L)/Bcl-w inhibitor, navitoclax, enhances the activity of chemotherapeutic agents in vitro and in vivo. Mol Cancer Ther. 2011 Dec;10(12):2340-9.

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