产品名称：**Navitoclax**
产品别名：生根粉 **263** ； **ABT-263**

生物活性：

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.		
IC_{50} & Target	Bcl-W	Bcl-xL	Bcl-2
	1 nM (Ki)	1 nM (Ki)	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 IC50 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].		
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].		
	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.	

Solvent&Solubility

In Vitro:

DMF : ≥ 100 mg/mL (**102.61 mM**)

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

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

	1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: 2.5 mg/mL (2.57 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (2.57 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (2.57 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (2.57 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.

源叶生物