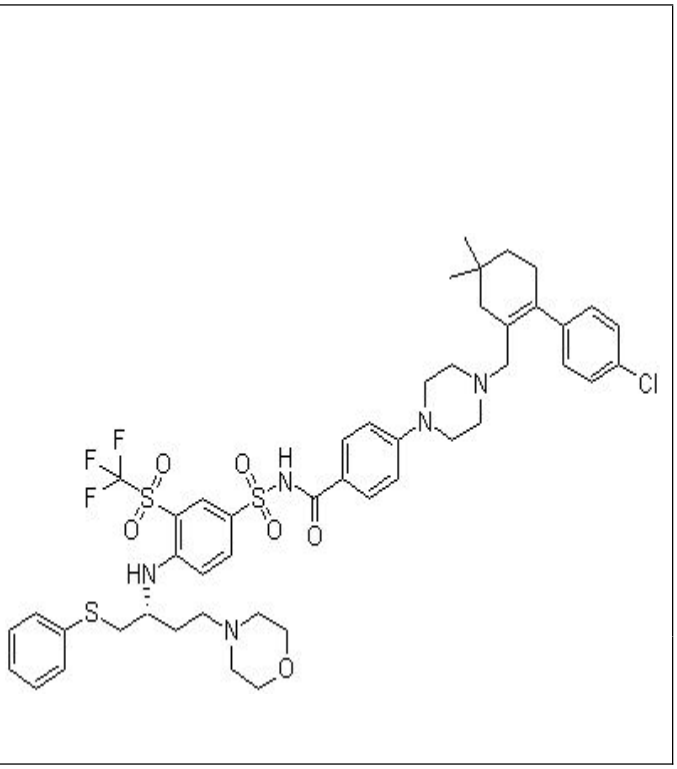
Product Introduction

ABT-263 (Navitoclax)

ABT-263 (Navitoclax) is a potent inhibitor of **Bcl-xL**, **Bcl-2** and **Bcl-w** with **Ki** of ≤ 0.5 nM, ≤1 nM and ≤1 nM, but binds more weakly to Mcl-1 and A1. Phase 1/2.

Technical Data:

Molecular Weight (MW):	974.61	
Formula:	C47H55ClF3N5O6S3	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 100 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	923564-51-6	

Biological Activity

ABT-263 is structurally related to ABT-737; it is a disruptor of Bcl-2/Bcl-xL interactions with pro-apoptotic proteins. Overexpression of the prosurvival Bcl-2 family members is commonly associated with tumor maintenance, progression, and chemoresistance. [1] ABT-263 displays the protection afforded by overexpression of Bcl-2 or Bcl-xL with EC50 values of 60 nM and 20 nM, respectively. [1] A wide range of cellular activity is observed with ABT-263 having a 50% growth inhibition (EC50) of 110 nM against the most sensitive line (H146), whereas its activity in the least sensitive line (H82) results in an EC50 at 22 μM.

All four cell lines with EC50 values of <400 nM (H146, H889, H1963, and H1417) are also highly sensitive to ABT-737, and the two most resistant lines (H1048 and H82) are similarly resistant to ABT-263. [2]

When ABT-263 is administered at 100 mg/kg/day in the H345 xenograft model, significant antitumor efficacy is observed with 80% TGI and 20% of treated tumors indicating at least a 50% reduction in tumor volume. [2] Oral administration of ABT-263 alone causes complete tumor regressions in xenograft models of small-cell lung cancer and acute lymphoblastic leukemia. In xenograft models of aggressive B-cell lymphoma and multiple myeloma where ABT-263 displays modest or no single agent activity, it significantly enhances the efficacy of clinically relevant therapeutic regimens. [2]

References

[1] Tse C, et al. Cancer Res. 2008, 68(9), 3421-3428.

[2] Shoemaker AR, et al. Clin Cancer Res, 2008, 14(11), 3268-3277.

Note： Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer’s risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.