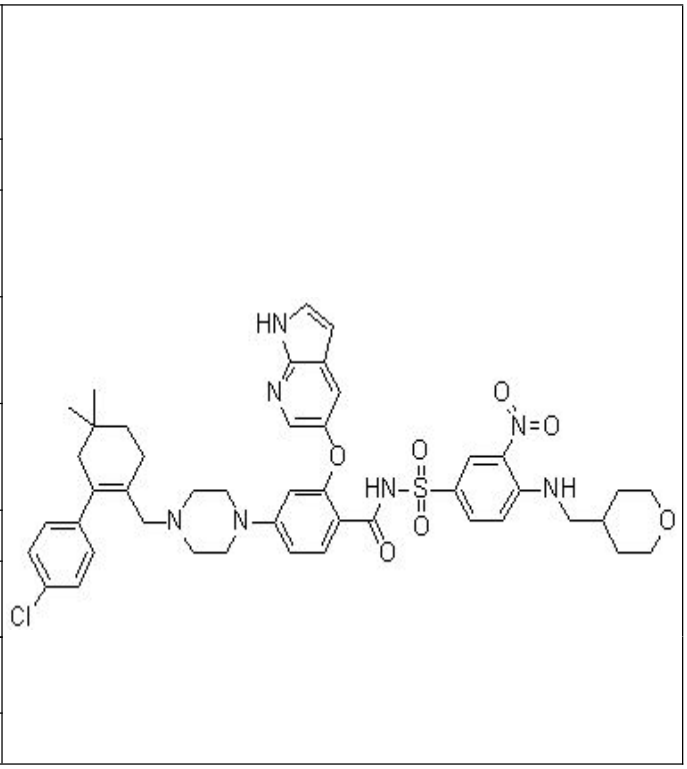
Product Introduction

ABT-199 (GDC-0199)

ABT-199 (GDC-0199) is a **Bcl-2**-selective inhibitor with K_i of <0.01 nM, >4800-fold more selective versus Bcl-xL and Bcl-w, and no activity to Mcl-1. Phase 2.

Technical Data:

Molecular Weight (MW):	868.44	
Formula:	$C_{45}H_{50}ClN_7O_7S$	
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.:	1257044-40-8	

Biological Activity

ABT-199 shows less sensitivity to Bcl-xL, Mcl-1 and Bcl-w with K_i of 48 nM, > 444 nM and 245 nM, respectively. ABT-199 potently inhibits FL5.12-Bcl-2 cells, RS4;11 cells with EC50 of 4 nM and 8 nM, while shows low activity against FL5.12-Bcl-xL cells with EC50 of 261 nM. ABT-199 induces a rapid apoptosis in RS4;11 cells with cytochrome c release, caspase activation, the externalization of phosphatidylserine and the accumulation of sub-G0/G1 DNA. Quantitative immunoblotting reveals that sensitivity to ABT-199 correlated strongly with the expression of Bcl-2, including NHL, DLBCL, MCL, AML and ALL cell lines.

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ABT-199 also induces apoptosis in CLL with an average EC50 of 3.0 nM. [1]

ABT-199 (100 mg/kg) causes a maximal tumor growth inhibition of 95% and tumor growth delay of 152% in RS4;11 xenografts. ABT-199 also inhibits xenograft growth (DoHH2, Granta-519) as a single agent or in combination with SDX-105 and other agents. [1]

Re-engineered version of ABT-263 (Navitoclax).

References

[1] Souers AJ, et al. Nat Med, 2013, 19(2), 202-208.

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