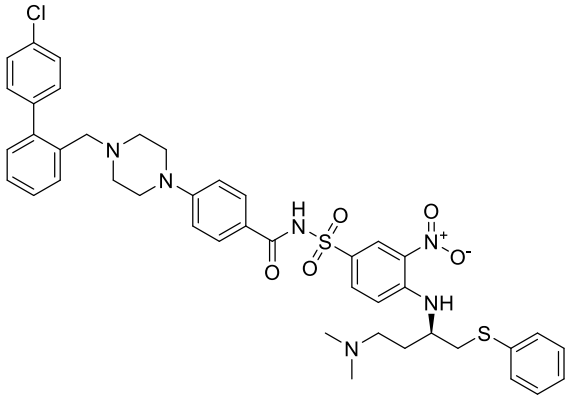
Product data sheet

MedKoo Cat#: 200444 Name: ABT-737 CAS#: 852808-04-9 Chemical Formula: $C_{42}H_{45}ClN_6O_5S_2$ Exact Mass: 812.25814 Molecular Weight: 813.43	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
ABT-737 is an orally available inhibitor of the nuclear enzymes poly(ADP-ribose) polymerase (PARP) 1 and 2, with potential antineoplastic activity. Upon administration, ABT-767 selectively binds to PARP 1 and 2, thereby preventing repair of damaged DNA via the base excision repair (BER) pathway. This agent enhances the accumulation of DNA strand breaks and promotes genomic instability eventually leading to apoptosis. ABT-767 may enhance the cytotoxicity of DNA-damaging agents and reverse tumor cell chemo- and radioresistance.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	50	61.47

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.23 mL	6.15 mL	12.29 mL
5 mM	0.25 mL	1.23 mL	2.46 mL
10 mM	0.12 mL	0.61 mL	1.23 mL
50 mM	0.02 mL	0.12 mL	0.25 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Sun XP, Zhang X, He C, Qiao H, Jiang X, Jiang H, Sun X. ABT-737 synergizes with arsenic trioxide to induce apoptosis of gastric carcinoma cells in vitro and in vivo. J Int Med Res. 2012;40(4):1251-64. doi: 10.1177/147323001204000404. PMID: 22971477.

2. Clerc P, Carey GB, Mehrabian Z, Wei M, Hwang H, Girnun GD, Chen H, Martin SS, Polster BM. Rapid detection of an ABT-737-sensitive primed for death state in cells using microplate-based respirometry. PLoS One. 2012;7(8):e42487. doi: 10.1371/journal.pone.0042487. Epub 2012 Aug 3. PMID: 22880001; PMCID: PMC3411749.

In vivo study

1. Sun XP, Zhang X, He C, Qiao H, Jiang X, Jiang H, Sun X. ABT-737 synergizes with arsenic trioxide to induce apoptosis of gastric carcinoma cells in vitro and in vivo. J Int Med Res. 2012;40(4):1251-64. doi: 10.1177/147323001204000404. PMID: 22971477.

2. Konopleva M, Contractor R, Tsao T, Samudio I, Ruvolo PP, Kitada S, Deng X, Zhai D, Shi YX, Sneed T, Verhaegen M, Soengas M, Ruvolo VR, McQueen T, Schober WD, Watt JC, Jiffar T, Ling X, Marini FC, Harris D, Dietrich M, Estrov Z, McCubrey J, May WS, Reed JC, Andreeff M. Mechanisms of apoptosis sensitivity and resistance to the BH3 mimetic ABT-737 in acute myeloid leukemia. Cancer Cell. 2006 Nov;10(5):375-88. doi: 10.1016/j.ccr.2006.10.006. PMID: 17097560.

7. Bioactivity

Biological target:

ABT-737 is a selective and BH3 mimetic Bcl-2, Bcl-xL and Bcl-w inhibitor with EC50s of 30.3 nM, 78.7 nM, and 197.8 nM, respectively.

In vitro activity

Both gastric carcinoma cell lines produced Bcl-2, Bcl-XL and Mcl-1 protein, as shown by Western blot analysis (Fig. 1A). Untreated SGC-7901 cells produced a significantly higher level of Bcl-2 protein than MGC-803 cells ($P < 0.001$), whereas untreated MGC803 cells had a significantly higher level of Mcl-1 protein than SGC-7901 cells ($P < 0.001$). There was no significant difference in the level of Bcl-XL between the two cell lines. Treatment with ABT-737 resulted in significant inhibition of the proliferation of both SGC-7901 (Fig. 1B) and MGC-803 (Fig. 1C) cells in concentration- and timedependent manners ($P < 0.001$ for both at 20 µM ABT-737 after 72 h). SGC-7901 cells were more sensitive to ABT-737 than MGC-803 cells. Treatment with ABT-737 (5 µM) resulted in a significant increase in the rate of apoptosis of both SGC-7901 (Fig. 3A) and MGC-803 (Fig. 3B) cells compared with vehicle ($P < 0.05$).

Reference: J Int Med Res. 2012;40(4):1251-64. https://journals.sagepub.com/doi/10.1177/147323001204000404?url_ver=Z39.88-2003&rfr_id=ori:rid:crossref.org&rfr_dat=cr_pub%20%200pubmed

In vivo activity

Solid SGC-7901 gastric carcinoma xenograft tumours in the control group grew very quickly, reaching a mean ± SD volume of 1007.4 ± 112.3 mm3 at 15 days (Fig. 5A). In contrast, tumours treated with ABT-737 were significantly smaller than control tumours, reaching a mean ± SD volume of 648.6 ± 89.1 and 483.5 ± 71.5 mm3, respectively ($P < 0.05$ versus control). The rate of apoptosis in vivo was assessed in solid SGC-7901 gastric carcinoma xenograft tumours harvested 15 days after treatment. Consistent with the in vitro data, compared with control tumours, those treated with ABT-737 had a significantly increased rate of apoptosis (210% higher than control; $P < 0.05$ or $P < 0.001$, respectively) (Fig. 5B).

Reference: J Int Med Res. 2012;40(4):1251-64. https://journals.sagepub.com/doi/10.1177/147323001204000404?url_ver=Z39.88-2003&rfr_id=ori:rid:crossref.org&rfr_dat=cr_pub%20%200pubmed