

Product Specification Sheet

Product Name: ABT-737

Catalog Number: C2281-2 (powder)

C2281-2s (10mM in DMSO)

Package Size: 2 mg

Technical information:

Chemical Formula: C₄₂H₄₅ClN₆O₅S₂

CAS #: 852808-04-9

Molecular Weight: 813.43

Purity: >98%

Formulation: Off white solid

Solubility: Soluble in DMSO up to 50 mM

Chemical Name: 4-[4-[(4'-Chloro[1,1'-biphenyl]-2-yl)methyl]-1-piperazinyl]-N-[[4-[(1R)-3-

(dimethylamino)-1-[(phenylthio)methyl]propyl]amino]-3-

nitrophenyl]sulfonyl]benzamide

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Handling: • For C2281-2 (powder), add 0.246 mL of DMSO to make 10 mM solution.

• For C2281-2s, briefly spin the vial at 500 rpm in a 50 mL conical tube to

ensure maximum sample recovery.

Biological Activity: ABT-737 is a selective and potent small molecule inhibitor of protein Bcl-2,

Bcl-XL, and Bcl-w. Like a BAD BH3 peptide, ABT-737 binds to and

antagonizes anti-apototic Bcl-2 family proteins instead of directly activating the apoptotic process. ABT-737 binds with high affinity (ki<1nm) to Bcl-XL,

Bcl-2 and Bcl-w, but not to Bcl-B, Mcl-1 and Al protein.

ABT-737 displays a wide range of single-agent activity against cells from lymphoma, leukemia, solid tumor SCLC, and primary cells derived from patient. In animal models, ABT-737 causes tumor regression and improves survival¹⁻². ABT-737 has shown synergistic anti-tumor activity when used

together with vorinostat or bemicitabine^{3,4}.

Reference:

1. Oltersdorf, T. et al. An inhibitor of Bcl-2 family proteins induces regression of solid tumours. Nature. 2005 Jun 2;435(7042):677-81.

2. Van Deelft, MF. et al. The BH3 mimetic ABT-737 targets selective Bcl-2 proteins and efficiently induces apoptosis via Bak/Bax if Mcl-1 is neutralized. Cancer Cell. 2006 Nov;10(5):389-99.

3. Zhang, C. et al. Synergistic anti-tumor activity of gemcitabine and ABT-737 in vitro and in vivo through disrupting the interaction of USP9X and Mcl-1. Mol Cancer Ther. 2011 Jul;10(7):1264-75.

 Wiegmans AP, et al. Deciphering the molecular events necessary for synergistic tumor cell apoptosis mediated by the histone deacetylase inhibitor vorinostat and the BH3 mimetic ABT-737. Cancer Res. 2011



May 15;71(10):3603-15.

For Technical Support: <u>technical@cellagentech.com</u>

For research use only, not for clinical or diagnostic use.