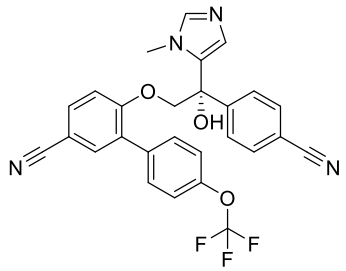
Product data sheet

MedKoo Cat#: 406416 Name: ABT-100 CAS#: 450839-40-4 (free base) Chemical Formula: $C_{27}H_{19}F_3N_4O_3$ Exact Mass: 504.14093 Molecular Weight: 504.46	
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-100 is an orally bioavailable farnesyltransferase inhibitor. ABT-100 inhibited proliferation of cells in vitro carrying oncogenic H-Ras (EJ-1 bladder; IC(50) 2.2 nmol/L), Ki-Ras (DLD-1 colon, MDA-MB-231 breast, HCT-116 colon, and MiaPaCa-2 pancreatic; IC(50) range, 3.8-9.2 nmol/L), and wild-type Ras (PC-3 and DU-145; IC(50), 70 and 818 nmol/L, respectively) as well as clonogenic potential. ABT-100 shows 70% to 80% oral bioavailability in mice. ABT-100 regressed EJ-1 tumors (2-12.5 mg/kg/d s.c., every day for 21 days) and showed significant efficacy in DLD-1, LX-1, MiaPaCa-2, or PC-3 tumor-bearing mice (6.25-50 mg/kg/d s.c. once daily or twice daily orally).

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
N/A	N/A	N/A

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.98 mL	9.91 mL	19.82 mL
5 mM	0.40 mL	1.98 mL	3.96 mL
10 mM	0.20 mL	0.99 mL	1.98 mL
50 mM	0.04 mL	0.20 mL	0.40 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. Carloni V, Vizzutti F, Pantaleo P. Farnesyltransferase inhibitor, ABT-100, is a potent liver cancer chemopreventive agent. Clin Cancer Res. 2005 Jun 1;11(11):4266-74. doi: 10.1158/1078-0432.CCR-04-2386. PMID: 15930366.
2. Ferguson D, Rodriguez LE, Palma JP, Refici M, Jarvis K, O'Connor J, Sullivan GM, Frost D, Marsh K, Bauch J, Zhang H, Lin NH, Rosenberg S, Sham HL, Joseph IB. Antitumor activity of orally bioavailable farnesyltransferase inhibitor, ABT-100, is mediated by antiproliferative, proapoptotic, and antiangiogenic effects in xenograft models. Clin Cancer Res. 2005 Apr 15;11(8):3045-54. doi: 10.1158/1078-0432.CCR-04-2041. PMID: 15837760.

In vivo study

1. Fong LG, Frost D, Meta M, Qiao X, Yang SH, Coffinier C, Young SG. A protein farnesyltransferase inhibitor ameliorates disease in a mouse model of progeria. Science. 2006 Mar 17;311(5767):1621-3. doi: 10.1126/science.1124875. Epub 2006 Feb 16. PMID: 16484451.
2. Ferguson D, Rodriguez LE, Palma JP, Refici M, Jarvis K, O'Connor J, Sullivan GM, Frost D, Marsh K, Bauch J, Zhang H, Lin NH, Rosenberg S, Sham HL, Joseph IB. Antitumor activity of orally bioavailable farnesyltransferase inhibitor, ABT-100, is mediated by

antiproliferative, proapoptotic, and antiangiogenic effects in xenograft models. Clin Cancer Res. 2005 Apr 15;11(8):3045-54. doi: 10.1158/1078-0432.CCR-04-2041. PMID: 15837760.

7. Bioactivity

Biological target:

ABT-100 is a farnesyltransferase inhibitor that inhibits cell proliferation (IC50s of 2.2 nM, 3.8 nM, 5.9 nM, 6.9 nM, 9.2 nM, 70 nM and 818 nM for EJ-1, DLD-1, MDA-MB-231, HCT-116, MiaPaCa-2, PC-3, and DU-145 cells, respectively).

In vitro activity

To evaluate the effects of ABT-100 on cell growth, this study used the two liver cancer cell lines, HepG2 and Huh7. Cells were counted after 48 hours of incubation with increasing concentrations of ABT-100 in DMEM with 10% FBS (Fig. 1A) or were treated with ABT-100 (20 μmol/L) and the growth rate was evaluated after 2, 4, and 6 days (Fig. 1B). The growth rate of HepG2 and Huh7 cells treated with ABT-100 was significantly lower in comparison to control cells treated with vehicle alone. These results were further confirmed in a soft agar growth assay (Fig. 1C).

Reference: Clin Cancer Res. 2005 Jun 1;11(11):4266-74. https://pubmed.ncbi.nlm.nih.gov/15930366/

In vivo activity

ABT-100 was given to EJ-1 flank tumor-bearing mice at 12.5 and 6.25 mg/kg/d s.c., every day for 21 days, when the mean tumor volume was 265 mm^3. ABT-100 induced regression of these tumors, which was maintained as long as the treatment was continued (Fig. 3A). For the 12.5 and 6.25 mg/kg/d groups, the %ILS values were 223 and 239, respectively ($P < 0.001$ compared with vehicle-treated groups). In a separate experiment, ABT-100 given at 6.25, 3.125, and 2 mg/kg/d orally twice daily produced similar antitumor activity (data not shown). In addition, ABT-100 given at 12.5, 6.25, and 3.125 s.c., every day for 11 days to *scid* mice bearing orthotopic EJ-1 bladder xenografts showed dose-dependent reduction in bladder tumor weights.

Reference: Clin Cancer Res. 2005 Apr 15;11(8):3045-54. https://pubmed.ncbi.nlm.nih.gov/15930366/