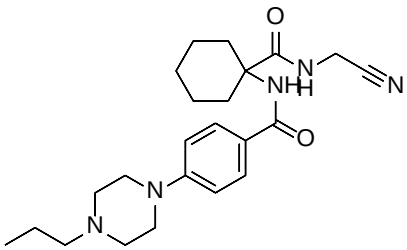
Product data sheet

MedKoo Cat#: 510221 Name: Balicatib CAS#: 354813-19-7 Chemical Formula: $C_{23}H_{33}N_5O_2$ Exact Mass: 411.2634 Molecular Weight: 411.54	
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:
Balicatib, also known as AAE581, is an inhibitor of the osteoclastic enzyme cathepsin K. Balicatib partially prevented ovariectomy-induced changes in bone mass, inhibited bone turnover at most sites, and had an unexpected stimulatory effect on periosteal bone formation.

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	52.04	126.45
DMF	25.0	60.75
DMF:PBS (pH 7.2) (1:1)	0.50	1.21
Ethanol	1.75	4.25

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.43 mL	12.15 mL	24.30 mL
5 mM	0.49 mL	2.43 mL	4.86 mL
10 mM	0.24 mL	1.21 mL	2.43 mL
50 mM	0.05 mL	0.24 mL	0.49 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

TBD

In vivo study

1. Jerome C, Missbach M, Gamse R. Balicatib, a cathepsin K inhibitor, stimulates periosteal bone formation in monkeys. Osteoporos Int. 2012 Jan;23(1):339-49. doi: 10.1007/s00198-011-1593-2. Epub 2011 Mar 5. PMID: 21380636.

7. Bioactivity
Biological target: Balicatib (AAE-581) is an inhibitor of cathepsin K.

In vitro activity

TBD

Product data sheet

In vivo activity

The efficacy of balicatib (AAE581), a novel inhibitor of human cathepsin K, on bone mass and dynamic histomorphometric endpoints was tested in ovariectomized monkeys. Eighty adult female Macaca fascicularis underwent bilateral ovariectomies and were dosed twice daily by oral gavage with balicatib at 0, 3, 10, and 50 mg/kg for 18 months (groups O, L, M, H, respectively). In both spine and femur, group O animals lost BMD and all other groups gained BMD between 0 and 18 months. In balicatib-treated animals, BMD change in the spine was intermediate between group S and O, with groups L and M significantly different from group O. In femur, all three doses of balicatib significantly increased BMD gain relative to group O and group mean values were also higher than group S. Most histomorphometric indices of bone turnover in vertebra and femoral neck were significantly lower than group O with balicatib treatment, except that periosteal bone formation rates (Ps.BFR) were significantly higher. Ps.BFR in mid-femur was also significantly increased by treatment. Overall, balicatib partially prevented ovariectomy-induced changes in bone mass, inhibited bone turnover at most sites, and had an unexpected stimulatory effect on periosteal bone formation.

Reference: Osteoporos Int. 2012 Jan;23(1):339-49. https://link.springer.com/article/10.1007%2Fs00198-011-1593-2