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产品名称: **BALICATIB**
产品别名: 巴利卡替; **AAE581**

生物活性:				
Description	Balicatib(AAE-581) is a potent and selective inhibitor of cathepsin K; 10-100 fold more potent in cell-based enzyme occupancy assays than against cathepsin B, L, and S. IC50 value: Target: cathepsin K The cathepsin K inhibitor AAE-581 (balicatib) as the most advanced of them passed Phase II clinical trials in 2005. 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). Approximately 1 month after treatment initiation, the 50 mg/kg dose was decreased to 30 mg/kg. Twenty animals underwent sham-ovariectomies (group S). Bone mass was measured at 3-6 month intervals. At 18 months, vertebra and femur were collected for histomorphometry.			
Solvent&Solubility	In Vitro: DMSO : ≥ 46 mg/mL (111.78 mM) * "≥" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg
		1 mM	2.4299 mL	12.1495 mL
		5 mM	0.4860 mL	2.4299 mL
		10 mM	0.2430 mL	1.2149 mL
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 储存时, 请在 1 个月内使用。			
References	[1]. Falgout JP, et al. Lysosomotropism of basic cathepsin K inhibitors contributes to increased cellular potencies against off-target cathepsins and reduced functional selectivity. J Med Chem. 2005 Dec 1;48(24):7535-43. [2]. Vasiljeva O, et al. Emerging roles of cysteine cathepsins in disease and their potential as drug targets. Curr Pharm Des. 2007;13(4):387-403. [3]. Jerome C, et al. Balicatib, a cathepsin K inhibitor, stimulates periosteal bone formation in monkeys. Osteoporos Int. 2011 Dec;22(12):3001-11.			