Product Data Sheet

Product Name: Relacatib
Cat. No.: GC63856

Chemical Properties

Cas. No.	362505-84-8		
Formula	C27H32N4O6S	M.Wt	540.63
Solubility		Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Relacatib		

Background

Relacatib (SB-462795) is a novel, potent, and orally active inhibitor of human cathepsins K, L, and V with Ki values of 41 pM, 68 pM, and 53 pM, respectively. Relacatib inhibits endogenous cathepsin K in situ in human osteoclasts and human osteoclast-mediated bone resorption with IC50 values of 45 nM and 70 nM, respectively. Relacatib inhibits bone resorption in vitro in human tissue as well as in cynomolgus monkeys in vivo[1][2].

Relacatib are incubated with human osteoclastoma-derived osteoclasts seeded onto bovine cortical bone slices in vitro biological activity, it shows inhibitory potency with Ki values of 0.041 nM, 0.068 nM,0.063 nM,1.6 nM,and 13 nM against human cathepsin K, cathepsin L, cathepsin V, cathepsin S and cathepsin B, respectively in the assay[1]. Relacatib is against Monkey cathepsin K, cathepsin L,cathepsin V and cathepsin B with Ki values of 0.041 nM, 0.28 nM, 0.72 nM and 11nM, respectively. Relacatib is against mouse cathepsin L and rat cathepsin L with Ki values of 0.20 nM and 0.17 nM, respectively[2].

Relacatib (1-2 mg/kg 0.5 h intravenous infusion; 2-4 mg/kg oral bolus gavage) exhibits T1/2, CL or Vdss with 109 mins, 19.5 mL/min/kg, or 1.86 L/kg in male Sprague-Dawley rats and 168 mins, 11.7 mL/min/kg, and 1.79 L/kg in monkeys, respectively in a PK iv/po crossover studies. The oral bioavailability of Relacatib is 28% in the monkey and 89.4%

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in the rats[1].SB-462795 (subcutaneous injection; 12 mg/kg; blood sample is drawn 1.5, 4, 24, 48, and 72 h post dose administration) significantly inhibits resorption as assessed by two markers of bone resorption,the N- (NTx) and C-telopeptides (CTx) of type I collagen measured in serum. SB-462795 does not exhibit difference of serum osteocalcin (a biomarker of osteoblast activity) between SB-462795 and vehicle treated animalsexcept for the 48 h time point where a significant reduction (42% lower than baseline vs. 18% lower than baseline with vehicle treatment)[2].

[1]. Dennis S Yamashita, et al. Structure Activity Relationships of 5-, 6-, and 7-methyl-substituted azepan-3-one Cathepsin K Inhibitors.J Med Chem
[2]. S Kumar, et al.A Highly Potent Inhibitor of Cathepsin K (Relacatib) Reduces Biomarkers of Bone Resorption Both in Vitro and in an Acute Model of Elevated Bone Turnover in Vivo in Monkeys.Bone. 2007 Jan;40(1):122-31.