Relacatib Biochemical

Relacatib

CATALOG #
AAA228671

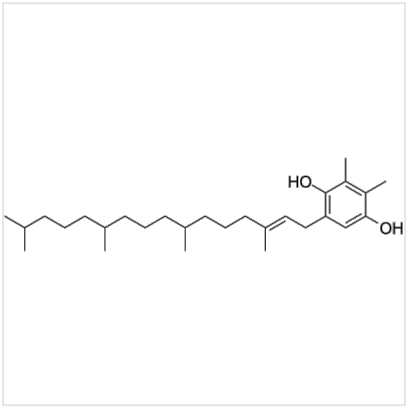
PRODUCT SYNONYMS

Relacatib; DMPBQ; Relacatib biochemical

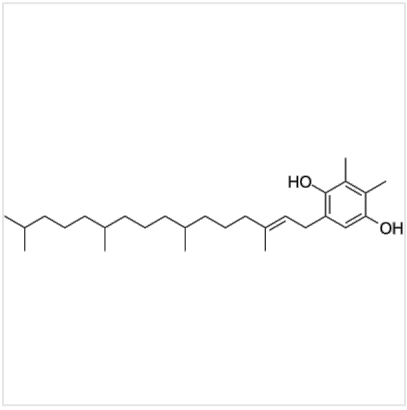
PRODUCT SPECIFICATIONS

Purity/Purification	98%
CAS	362505-84-8
Targets&IC50	cathepsins V:53 pM, cathepsins L:68 pM, cathepsins K:41 pM (Ki)
Solubility	<1mg/ml refers to the product slightly soluble or insoluble
In vitro	Relacatib (1-2 mg/kg 0.5 h intravenous infusion; 2-4 mg/kg oral bolus gavage) exhibits T 1/2, CL or V dss 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% 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]. Animal Model: Cynomolgus monkey[2]. Dosage: 12 mg/kg. Administration: Subcutaneous injection; single dose; blood sample is drawn 1.5, 4, 24, 48, and 72 h post dose administration. Result: Was sufficiently high to significantly suppress bone resorption from 1.5 to 72 h post dosing.
Preparation and Storage	Powder: -20 degree C for 3 years In solvent: -80 degree C for 2 years

IMAGES



Structure
Rac)-gamma-Tocopherol, CAS 73980-80-0



Structure
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ADDITIONAL INFORMATION

Related Product Information for Relacatib biochemical	Relacatib (SB-462795) is a novel, potent, and orally active inhibitor of human cathepsins K, L, and V with K i 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 IC 50 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.
References	1. Maria S Dwiyanti, et al. Genetic variation of g-tocopherol methyltransferase gene contributes to elevated a-tocopherol content in soybean seeds. BMC Plant Biology 2011, 11:152.

For Research Use Only. Not for use in diagnostic or therapeutic procedures. Small volumes of product may become entrapped in the seal of the vial during shipment and storage; if necessary, briefly centrifuge the vial on a tabletop centrifuge to dislodge any liquid in the cap.

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Online datasheet: https://www.aaabiotech.com/biochemical/relacatib/228671