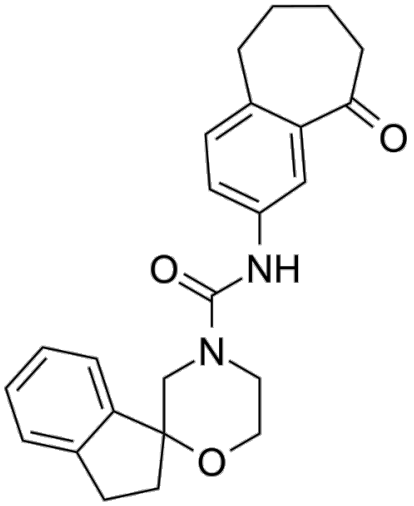
Product Data Sheet

Product Name: E8431
Cat. No.: GC81443

Chemical Properties

Cas. No.	2419580-01-9		
Formula	$C_{24}H_{26}N_2O_3$	M.Wt	390.47
Solubility	DMSO: 30 mg/mL (76.83 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C 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



Background

Product Data Sheet

E8431 is a DCSTAMP antagonist with an IC_{50} value of 775.8 nM for osteoclastogenesis. E8431 selectively binds to the endoplasmic reticulum domain of DCSTAMP, disrupts its interaction with RAP1B, and inhibits downstream cytoskeleton rearrangement mediated by the RAP1-RAC1 signaling pathway, thereby impeding osteoclast precursor fusion, suppressing bone resorption, and stimulating PDGFBB secretion to promote osteogenesis and angiogenesis. E8431 can be used in the research of osteoporosis [1].

In Vivo, E8431 (100 mg/kg; i.p.; once daily; 6 weeks) completely reverses ovariectomy-induced osteoporosis in ovariectomized (OVX) mouse models by inhibiting osteoclast maturation, promoting osteoblast activity and angiogenesis, with no obvious toxicity[1].

In Vitro, E8431 (10 nM-10 μM; 5 days) potently inhibits M-CSF/RANKL (/)-induced osteoclastogenesis in primary mBMMs, with an IC_{50} of 0.7758 μM. It shows no cytotoxicity at concentrations up to 10 μM and binds to human and mouse DCSTAMP with high affinity[1]. E8431 (0.5-2 μM; 5 days) dose-dependently inhibits osteoclastogenic activity and downregulates the mRNA expression levels of osteoclast-specific markers in mouse mBMMs and human PBMCs[1]. E8431 (10 nM-50 μM; 24-48 h) exhibits excellent cytocompatibility in mouse primary bone marrow mononuclear macrophages (mBMMs), mouse endothelial progenitor cells (mEPCs), mouse bone marrow mesenchymal stem cells (mBMSCs), human peripheral blood mononuclear cells (PBMCs), human umbilical vein endothelial cells (HUVECs), and human bone marrow mesenchymal stem cells (hBMSCs), with no significant cytotoxicity at concentrations below 10 μM (mouse cells) or 20 μM (human cells)[1]. E8431 (0.5-2 μM; co-cultured for 4-24 h) exhibits significant activity in promoting endothelial cell migration and vascular tube formation in mEPCs co-cultured with mBMMs and HUVECs co-cultured with PBMCs, by promoting PDGFBB secretion from pre-osteoclasts[1]. E8431 (0.5-2 μM; co-culture induction for 6-14 days) exhibits osteogenic differentiation-promoting activity in mBMSCs co-cultured with mBMMs and hBMSCs co-cultured with PBMCs, significantly increasing ALP activity, OCN expression, and mineralized nodule formation[1]. E8431 (2 μM; co-culture treatment for 5-60 min) significantly activates the phosphorylation of PDGFRβ, PI3K, Akt and FAK in co-cultured mouse mEPCs and mBMSCs[1]. E8431 (2 μM; 5 days) blocks the RAP1-RAC1 signaling pathway and significantly disrupts the interaction between DCSTAMP and RAP1B in mouse mBMMs[1]. E8431 (0.5-2 μM; 5 days) dose-dependently inhibits osteoclastogenic activity and

downregulates the mRNA expression levels of osteoclast-specific markers in mouse mBMMs and human PBMCs[1].

References:

[1]. Zhang Z, et al. A novel DCSTAMP antagonist impedes preosteoclast fusion via modulation of RAP1B-RAC1-mediated cytoskeletal remodeling. Experimental & molecular medicine. 2025 Dec;57(12):2898-2915.