

Product Data Sheet

Product Name: RANKL-IN-1 formate

Cat. No.: GC81771

Chemical Properties

Cas. No.

Formula $C_{41}H_{57}N_5O_3$ M.Wt 667.92

Solubility DMSO: 12.5 mg/mL (18.71 mM; Need ultrasonic) Storage Store at 4°C, sealed storage, away from moisture

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

Caution: Product has not been fully validated for medical applications. For research use only.

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RANKL-IN-1 formate is a selective receptor activator of nuclear factor- κ B ligand inhibitor ligand (RANKL) inhibitor with a K D value of 7.6 μ M. RANKL-IN-1 formate exhibits inhibitory activity and selectivity against osteoclastogenesis with an IC₅₀ value of 0.07 μ M and SI of 82.57. RANKL-IN-1 formate binds directly to RANKL and blocks the RANKL-induced activation of the NF- κ B and MAPK pathways. RANKL-IN-1 formate can be used for the research of metabolic disease, such as osteoporosis [1].

In Vivo, RANKL-IN-1 (Compound 19u) (0.313-1.25 μ g/mL, 96 h) formate attenuated bone loss in zebrafish osteoporosis models[1]. RANKL-IN-1 (0.5-2 mg/kg, p.o., every 2 days for 11 weeks) formate prevents systemic bone loss in ovariectomy-induced osteoporosis mice models[1].

In Vitro, RANKL-IN-1 (Compound 19u) (50-400 nM, 4 days) formate shows no significant cytotoxicity in RAW264.7 cells[1]. RANKL-IN-1 (50-400 nM, 4 days) formate inhibits RANKL-induced osteoclastogenesis without affecting osteoblast differentiation in RAW264.7 cells[1]. RANKL-IN-1 (50-400 nM, 4 days) formate reduces RANKL-induced ROS levels and inhibits bone resorption in RAW264.7 cells[1]. RANKL-IN-1 (50-400 nM, 4 days) formate inhibits the expression of proteins associated with RANKL-induced osteoclast differentiation in RAW264.7 cells[1].

References:

[1]. Fu X, et al. Design, Synthesis, and Biological Evaluation of Heterocycle-Fused Celastrol Derivatives as Potent Antiosteoporosis Agents by Blocking RANKL-Induced Activation of the NF- κ B and MAPK Signaling Pathways. J Med Chem. 2025 Aug 28;68(16):17678-17704.

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