

Product Data Sheet

Product Name: Y1693
Cat. No.: GC81612

Chemical Properties

Cas. No. 2812381-74-9

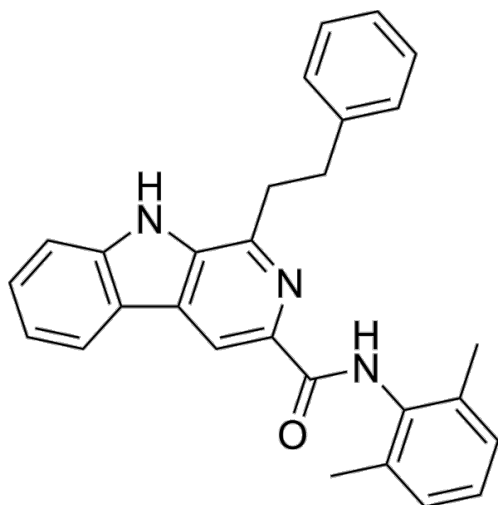
Formula $C_{28}H_{25}N_3O$ M.Wt 419.52

Solubility DMSO: 25 mg/mL (59.59 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

Y1693 is an orally active RANKL inhibitor with a K_d of 5.03 μ M for hRANKL. Y1693 inhibits the activation of the downstream c-fos/NFATc1 signaling pathway by blocking its interaction with RANK. Y1693 significantly inhibits RANKL-induced osteoclast differentiation, F-actin ring formation and bone resorptive activity, while downregulating the mRNA and protein expressions of TRAP, cathepsin K, c-fos and NFATc1. Y1693 shows no obvious cytotoxicity to bone marrow-derived macrophages and osteoclast precursor cells, and exhibits favorable ADME properties. Y1693 improves ovariectomy-induced osteoporosis in mice and reverses ligation-induced periodontal alveolar bone loss. Y1693 is

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applicable to research related to osteoporosis and periodontal diseases [1] [2].

In Vivo, Y1693 (20-60 mg/kg; p.o.; once daily 5×/week; 28 days) produces a 23.4% recovery of bone mineral density and ameliorates bone loss in ovariectomized mice with osteoporosis^[1]. Y1693 (20 mg/kg; i.p.; daily; 28 days) increases alveolar bone mass by 60.7%, bone volume by 51.9%, while ameliorating ligature-induced periodontal bone loss in mice^[1].

In Vitro, Y1693 (0.1-10 μ M; 5-6 day) potently inhibits RANKL-induced osteoclastogenesis in BMMs with an IC₅₀ of 0.52 μ M, achieving 89.3% inhibition at 1 μ M and 45.9% inhibition at 0.1 μ M^[1]. Y1693 (1-10 μ M; 3 day) is non-cytotoxic to BMMs at concentrations up to 10 μ M^[1]. Y1693 (0.1-5 μ M; 5-6 day) inhibits RANKL-induced F-actin ring formation in BMM-derived osteoclasts in vitro in a dose-dependent manner^[1]. Y1693 (0.5-5 μ M; 3 day) dose-dependently downregulates the mRNA expression of TRAP, cathepsin K, c-fos, and NFATc1 in RANKL-stimulated BMMs^[1]. Y1693 (1-10 μ M; 3 day) dose-dependently inhibits the protein expression of c-fos and NFATc1 in RANKL-stimulated BMMs^[1]. Y1693 (0.1-5 μ M; 2 day) dose-dependently inhibits RANKL-induced bone resorption by mature osteoclasts on bovine femur bone slices, with nearly complete inhibition at 5 μ M^[1].

References:

- [1]. Yang K, et al. Development of an Orally Active Small-Molecule Inhibitor of Receptor Activator of Nuclear Factor- κ B Ligand. J Med Chem. 2022;65(16):10992-11009.
- [2]. Zhang Xiaofei, et al. A class of 3-amido- β -carboline compounds, their preparation method and use. CN116332929A. 2021-12-23.

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