

Product Data Sheet

Product Name: Ac-Gly-BoroPro

Cat. No.: GC32883

Chemical Properties

Cas. No. 886992-99-0

SMILES Ac-Gly-{boroPro}

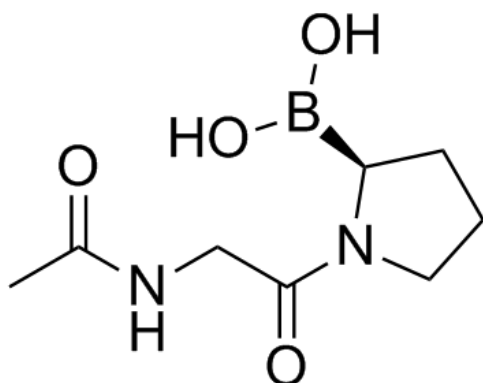
Formula $C_8H_{15}BN_2O_4$ M.Wt 214.03

Solubility DMSO : ≥ 50 mg/mL (233.61 mM) Storage Store at -20°C , protect from light, stored under nitrogen

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



Protocol

Cell experiment [1]:

Cell lines Human periodontal ligament stem cells (hPDLSCs)

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

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Preparation Method For induction of PDL fibroblastic differentiation, hPDLSCs were cultured in α -MEM with 5% FBS containing 10ng/ml TGF- β 1, which was treated every 2 days for 9 days For inhibition of dipeptidyl peptidase activity of FAP α , 10 μ M Ac-Gly-BoroPro was treated in cells.

Reaction Conditions 10 μ M; 24h or 48h

Applications Ac-Gly-BoroPro can inhibit cell proliferation and migration.

Animal experiment [2]:

Animal models C57BL/6J wild-type mice

Preparation Method Administered daily intraperitoneal injections of 500mg/kg Ac-Gly-BoroPro in 8-week-old wild-type mice.

Dosage form 500mg/kg; 35 days; intraperitoneal injection

Applications Ac-Gly-BoroPro promotes bone formation and inhibits bone resorption in vivo.

Kinase experiment [3]:

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Ki values for inhibition of proteases by Ac-Gly-BoroPro are determined using the method of progress curves for analysis of tight binding competitive inhibitors. Various concentrations of Ac-Gly-BoroPro are reacted with Fibroblast Activation Protein (FAP (1.0nM)) and Dipeptidyl Peptidase 4 (DPP-4 (0.1nM)) in the Preparation Method presence of Alanine-Proline-7-Amino-4-Methylcoumarin (Ala-Pro-AFC (500µM for FAP; 100µM for DPP-4)), and time-dependent inhibition of each protease is monitored. Reactions contained inhibitor concentrations at least 20-fold greater than protease concentrations, such that the protease-inhibitor complex does not significantly deplete the free inhibitor.

Reaction Conditions 23nM; 150s, 300s, 450s, 600s

Applications Ac-Gly-BoroPro can rapidly and effectively inhibit the activity of FAP.

References:

- [1] KIM S M, JANG Y J. Enzymatic activity of fibroblast activation protein- α is essential for TGF- β 1-induced fibroblastic differentiation of human periodontal ligament cells [J]. Exp Cell Res, 2024, 442(2): 114230.
- [2] WEI H, XU Y, WANG Y, et al. Identification of Fibroblast Activation Protein as an Osteogenic Suppressor and Anti-osteoporosis Drug Target [J]. Cell Rep, 2020, 33(2): 108252.
- [3] EDOSADA C Y, QUAN C, WIESMANN C, et al. Selective inhibition of fibroblast activation protein protease based on dipeptide substrate specificity [J]. J Biol Chem, 2006, 281(11): 7437-44.

Background

Ac-Gly-BoroPro is a selective Fibroblast Activation Protein (FAP) inhibitor with a Ki of 23nM [1, 2]. Ac-Gly-BoroPro selectively inhibits FAP, compared to other proline peptidases[3, 4], which is an important biomarker for cancer-associated fibroblasts and activated fibroblasts. FAP reacts readily with submicromolar concentrations of Ac-Gly-BoroPro, reaching steady state inhibition levels rapidly

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($K_i=23\pm 3\text{nM}$)^[2].

Ac-Gly-BoroPro (10 μM ; 24h or 48h) can inhibit Human periodontal ligament stem cells (hPDLSCs) proliferation and migration^[5]. Ac-Gly-BoroPro (20 μM ; 7 days) can inhibit osteoclast differentiation^[6].

Ac-Gly-BoroPro (500 $\mu\text{g/kg}$; 35 days; intraperitoneal injection) was administered to 2-month-old unmated female mice with ovariectomized ovaries, which could alleviate osteoporosis induced by ovariectomy, promote bone formation, and inhibit bone resorption in mice^[6]. Ac-Gly-BoroPro (40 $\mu\text{g/kg}$; 4 weeks; intra-articular injection) could significantly improve cartilage erosion, subchondral bone thickening and osteophyte formation in destabilization of the medial meniscus (DMM) mice model^[7].

References:

- [1] HENDERSON J M, XIANG M S W, HUANG J C, et al. Dipeptidyl Peptidase Inhibition Enhances CD8 T Cell Recruitment and Activates Intrahepatic Inflammasome in a Murine Model of Hepatocellular Carcinoma [J]. Cancers (Basel), 2021, 13(21):
- [2] HU Y, MA L, WU M, et al. Synthesis and structure-activity relationship of N-alkyl Gly-boro-Pro inhibitors of DPP4, FAP, and DPP7 [J]. Bioorg Med Chem Lett, 2005, 15(19): 4239-42.
- [3] ZHAO L, CHEN J, PANG Y, et al. Fibroblast activation protein-based theranostics in cancer research: A state-of-the-art review [J]. Theranostics, 2022, 12(4): 1557-69.
- [4] KIM S M, JANG Y J. Enzymatic activity of fibroblast activation protein- α is essential for TGF- β 1-induced fibroblastic differentiation of human periodontal ligament cells [J]. Exp Cell Res, 2024, 442(2): 114230.
- [5] HE J, FANG B, SHAN S, et al. Mechanical stiffness promotes skin fibrosis through FAP α -AKT signaling pathway [J]. J Dermatol Sci, 2024, 113(2): 51-61.
- [6] WEI H, XU Y, WANG Y, et al. Identification of Fibroblast Activation Protein as an Osteogenic Suppressor and Anti-osteoporosis Drug Target [J]. Cell Rep, 2020, 33(2): 108252.
- [7] FAN A, WU G, WANG J, et al. Inhibition of fibroblast activation protein ameliorates cartilage matrix degradation and osteoarthritis progression [J]. Bone Res, 2023, 11(1): 3.

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