Ac-Gly-BoroPro

Cat. No.: HY-101801

CAS No.: 886992-99-0

Molecular Formula: $C_8H_{15}BN_2O_4$

Molecular Weight: 214.03

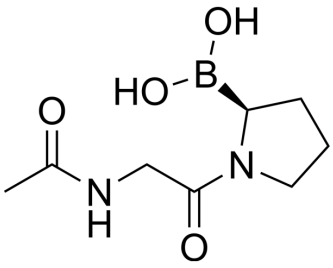
Sequence Shortening: Ac-G-{boroP}

Target: FAP

Pathway: Immunology/Inflammation

Storage: -20°C, protect from light, stored under nitrogen

* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (233.61 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	4.6722 mL	23.3612 mL	46.7224 mL
	5 mM	0.9344 mL	4.6722 mL	9.3445 mL
	10 mM	0.4672 mL	2.3361 mL	4.6722 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (11.68 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (11.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ac-Gly-BoroPro is a selective FAP inhibitor with a K_i of 23 nM.

IC_{50} & Target

Ki: 23 nM (FAP)[1]

In Vitro

FAP has been implicated in cancer; however, its specific role remains elusive because inhibitors that distinguish FAP from other prolyl peptidases like dipeptidyl peptidase-4 (DPP-4) have not been developed. Ac-Gly-BoroPro selectively inhibits FAP relative to other prolyl peptidases. FAP reacts readily with submicromolar concentrations of Ac-Gly-BoroPro, reaching steady state inhibition levels rapidly (K_i=23±3 nM). In contrast, DPP-4 requires higher Ac-Gly-BoroPro concentrations for inhibition and a longer time to reach steady state inhibition levels (K_i=377±18 nM). Ac-Gly-BoroPro inhibits other prolyl peptidases (DPP-7, DPP-8, DPP-9, prolyl oligopeptidase, and acylpeptide hydrolase) with K_i values ranging from 9- to 5400-

fold higher than that for FAP inhibition. The N-acyl-linkage in Ac-Gly-BoroPro blocks the N terminus of the inhibitor, making it less nucleophilic and therefore unlikely to cyclize[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]

K_i 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 FAP (1.0 nM) and DPP-4 (0.1 nM) in the presence of Ala-Pro-AFC (500 μM for FAP; 100 μM 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[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Bone Res. 2023 Jan 2;11(1):3.
- Cell Rep. 2020 Oct 13;33(2):108252.
- J Dermatol Sci. 2023 Dec 9.

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REFERENCES

[1]. Edosada CY, et al. Selective inhibition of fibroblast activation protein protease based on dipeptide substrate specificity. J Biol Chem. 2006 Mar 17;281(11):7437-44.

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