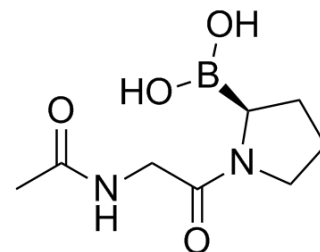


Ac-Gly-BoroPro

Cat. No.:	HY-101801
CAS No.:	886992-99-0
Molecular Formula:	C ₈ H ₁₅ BN ₂ O ₄
Molecular Weight:	214.03
Sequence:	Ac-Gly-{boroPro}
Sequence Shortening:	Ac-G-{boroP}
Target:	Others
Pathway:	Others
Storage:	Powder -80°C 2 years -20°C 1 year



* 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	Solvent		Mass		
	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.

BIOLOGICAL ACTIVITY

Description

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

IC₅₀ & Target

K_i: 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 μ M for FAP; 100 μ M 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

- Cell Rep. 2020, 108252.

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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.

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

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