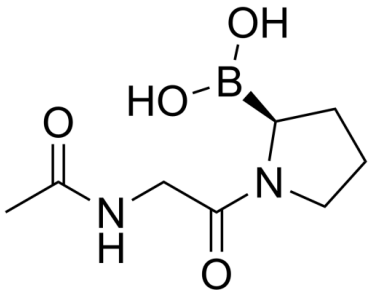
Data Sheet

Product Name:	Ac-Gly-BoroPro
Cat. No.:	CS-6995
CAS No.:	886992-99-0
Molecular Formula:	$C_8H_{15}BN_2O_4$
Molecular Weight:	214.03
Target:	Others
Pathway:	Others
Solubility:	DMSO : ≥ 50 mg/mL (233.61 mM)



BIOLOGICAL ACTIVITY:

Ac-Gly-BoroPro is a selective **FAP** inhibitor with a **K_i** of 23 nM. IC50 & 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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

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.

CAIndexNames:

Boronic acid, B-[(2S)-1-[2-(acetylamino)acetyl]-2-pyrrolidinyl]-

SMILES:

O=C(N1[C@@H](B(O)O)CCC1)CNC(C)=O

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

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