

Library synthesis of neurotoxin inhibitors

- - Synthesis and Biological Evaluation of Botulinum Neurotoxin A Protease Inhibitors

Name or source	Year displayed IC_{50} (μM) ^a (Standard Deviation)			Endopeptidase inhibition ^b	Epitope recognized ^c
	BoNT/A LC	BoNT/M toxin	BoNT/A2 toxin		
Reference					
127	34.7 (± 0.3)	102 ^d	ND	No	I
128	4.45 (± 0.50)	ND	ND	Yes	I
129	3.55 (± 1.48)	ND	ND	Yes	I
130	9.13 (± 2.47)	ND	ND	No	I
131	1.14 (± 0.03)	ND	ND	Yes	I
140	0.02 (± 0.03)	ND	ND	Yes	I
162	0.07 (± 0.19)	>100	>100	No	I
162B	0.38 (± 0.12)	>100	>100	Yes	I
1910	11.30 (± 0.07)	ND	ND	Yes	I
1911	0.02 (± 0.47)	ND	ND	No	I
1912	0.32 (± 0.03)	ND	>100	Yes	I
1913	0.38 (± 0.03)	>100	>100	Yes	I
1918	0.23 (± 0.13)	ND	ND	Yes	I
1924	1.33 (± 0.03)	>100	>100	No	I
192	10.36 (± 1.03)	ND	ND	No	I
728	0.94 (± 0.30)	0.47 (± 0.08)	0.39 (± 0.07)	Yes	III
134-11	25.8 (± 0.30)	2.08 (± 0.30)	1.70 (± 0.22)	No	III
Reference					
162	10.36 (± 1.03)	0.11 (± 0.05)	0.12 (± 0.04)	Yes	III
162B.4	1.33 (± 0.03)	0.18 (± 0.06)	ND	No	IV

^aIC₅₀ values for year displayed IC_{50} only for the entries and the indicated entries.

^bEndopeptidase inhibition determined by SDS-PAGE and PEST-Tag.

^cEpitope recognized by IC_{50} values is presented by number depending on competition for the same binding site (indicated in Fig. 2).

^dND, no binding of the antigen was detected at 2000x.

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Description: -

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Eighteenth century -- reel 8731, no. 07.

A Viking Compass book -- C407

Letra grande (Editorial Popular) -- 58.

Letra grande -- 58.

Sussex theses ; S 5037Library synthesis of neurotoxin inhibitors

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Synthesis and evaluation of library of betulin derivatives against the botulinum neurotoxin A protease

The reaction mixture was poured into ice cold H₂O 20 mL and extracted with Et₂O 100 mL.

Synthesis/biological evaluation of hydroxamic acids and their prodrugs as inhibitors for Botulinum neurotoxin A light chain

Assay times and endopeptidase concentrations were adjusted so that less than 10% of the substrate was hydrolyzed. While still realizing the numerous activating, modifying and protective inputs, I cannot judge the meaningfulness of the meeting impartially. Building on our previous development of F- and Cl-amidine as potent pan-PAD irreversible inhibitors, we describe herein a library approach that was used to identify PAD-selective inhibitors.

Evaluation of adamantane hydroxamates as botulinum neurotoxin inhibitors: synthesis, crystallography, modeling, kinetic and cellular based studies

Cleavage of one of the three proteins causes blockage of neurotransmitter release leading to flaccid paralysis.

Synthesis and Biological Evaluation of Botulinum Neurotoxin A Protease Inhibitors

Novel 5- benzyloxy pyridin-2 1H -one derivatives as potent c-Met inhibitors. Sciotti, Sina Bavari, and Bogdan A.

Methods for Hydroxamic Acid Synthesis

Drug Develop Res 70: 266—278. Herein we report the development of a serotype-selective, small-molecule, and competitive inhibitor of BoNTAe with a K_i value of 760±170 nM using synthesis-based computer-aided molecular design SBCAMD.

Synthesis and Biological Evaluation of Botulinum Neurotoxin A Protease Inhibitors

Journal of Medicinal Chemistry 2020, 63 19 , 11100-11120.

Synthesis and screening of a haloacetamide containing library to identify PAD4 selective inhibitors

To a stirred solution of 3 9x 0. Intermediate 13z was obtained as a yellow viscous oil 5.

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