

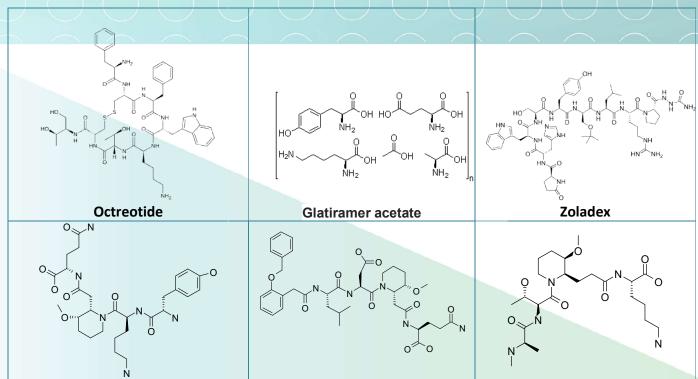
SL-40 Constrained Tetrapeptides

Therapeutic application of peptides has recently expanded to HIV, osteoporosis, cancer, and CNS-related disease. There are approximately 60 approved peptide products on the market today, including Copaxone®, Leuprolide®, Octreotide®, Byetta®, and Forteo® along with more than 150 products at various stages of clinical development. Peptide therapeutics have shown superior potency and target selectivity in comparison to more traditional non-peptide small-molecules. There are obstacles, however, as often peptides lack metabolic stability *in vivo* and are not orally bioavailable.

Recently these obstacles have been addressed as functional activity, metabolic stability, and pharmacokinetic

properties [1] have been improved through the creation or conformationally constrained peptides

ASINEX, in turn, has created a library of constrained tetrapeptides using a novel unnatural piperidine-containing amino acid fragment. The intrinsic rigidity from the piperidine ring is enhanced by judicial choice of other amino acids carefully decorated with diverse side chains. According to in silico modeling some molecules can adopt a beta-turn-like conformation and car therefore be considered beta-turn mimetics.



Signature Library 40

Formats	Supplementary Information
80 compounds per plate	SL#40_Constrained_Tetrapeptides.sdf
0.1 mg; 1 mg; 2 mg dry film/powder	
0.1 μmol; 1 μmol DMSO solutions	

References:

1. Future Med Chem. (2013) 5(7), 831-849. doi: 10.4155/FMC.13.25.

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