

Peptidomimetics in medicine and drug discovery

Safaa Zouhori¹, Nodar Sulashvili², Lili Arabuli³

The University of Georgia, School of Health Sciences and Public Health

¹BA Student of pharmacy program in English; ²Supervisor, Pharm D, PhD (c); ³Supervisor, PhD, Associated Professor

Peptidomimetics are compounds which essential elements mimic a natural peptide and have ability to interact with the biological target and show the same biological effect. Ppetiomimetics are designed due to the problems associated with a natural peptide, such as stability and poor bioavailability. Therefore, mimics have great potential in drug discovery. The design begins by developing structure-activity relationships that can define a minimal active sequence or major pharmacophore elements and identify the key residues that are responsible for the biological effect. The demand for modified peptides with improved stability and pharmacokinetic properties has a great interest. Many structural modifications of peptides are based on the rational design and molecular modeling to develop novel synthetic approaches. The modifications can involve N-alkylation, cyclization, N-replacement and backbone or side-chain transformations, as well as the incorporation of unnatural amino acids. Among peptidomimetics, DOPA derivatives play a crucial role in the therapy of Parkinson disease (PD). DOPA peptides are able to increase the capacity of DOPA in penetration of the blood brain barrier (BBB) by specific peptide-mediated carrier transport systems (PMCTS).

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