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Conjugation of Tryptamine to Biologically Active Carboxylic Acids in Order to Create Prodrugs

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ABSTRACT

A number of blood and brain barrier penetrating neurotransmitters contain polar functional groups. Gamma-aminobutyric acid (GABA) is an amino acid, which is one of the primary inhibitory neurotransmitter in the brain and a major inhibitory neurotransmitter in the spinal cord. Antiepileptic medications such as Gabapentin, Phenibut, and Pregabalin have been developed to structurally represent GABA. These drugs are usually prescribed for the treatment of neuropathic pain. Since these drugs contain a polar carboxylic acid group, it affects their ability to penetrate the blood and brain barrier. To address the low bioavailability and tendency for intramolecular cyclization of Gabapentin, its less polar prodrug Gabapentin Enacarbil has been approved in 2011. In this project, the highly polar functional group such as the -COOH group has been concealed by creating non-polar conjugates has been addressed. Several biologically active carboxylic acids have been conjugated with tryptamine via an amide bond. Tryptamine is a decarboxylated derivative of tryptophan, which is known to easily cross the blood and brain barrier. This approach allows one to deliver conjugates, which contain carboxylic acid, through the blood and brain barrier and release tryptamine and the carboxylic acid containing moiety as a result of the amide bond cleavage.

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