Enzyme and Receptor Modulation

Abstract

Covalent conjugation of an alpha amino acid ester to a modulator of the activity of a target intracellular enzyme or receptor, wherein the ester group of the conjugate is hydrolysable by one or more intracellular carboxylesterase enzymes to the corresponding acid, leads to accumulation of the carboxylic acid hydrolysis product in the cell and enables improved or more prolonged enzyme or receptor modulation relative to the unconjugated modulator.

(Figure 2)