

UNCOMPETITIVE INHIBITORS AS MEDICATIONS

Aoussar Mustapha

Scientific supervisor: Krasilnikova O.A.

National University of Pharmacy, Kharkiv, Ukraine

mmustaphaawssar@gmail.com

Introduction. Uncompetitive inhibition, also known as anti-competitive inhibition, takes place when an enzyme inhibitor binds only to the complex formed between the enzyme and the substrate (the E-S complex). As inhibitor binds, the amount of ES complex is reduced. This reduction in the effective concentration of the ES complex can be explained by the fact that having the inhibitor bound to the ES complex essentially converts it to ESI complex, which is considered a separate complex altogether. This reduction in ES complex decreases the maximum enzyme activity (V_{max}), as it takes longer for the substrate or product to leave the active site.

Aim. The aim of this investigation is to analyze data about implications and uses of uncompetitive inhibitors in biological systems and the prospects for the use of uncompetitive inhibitors as medications.

Materials and methods. In order to obtain data, reviews of the literature were studied, as well as articles on the research issue. Literature has been researched over the past 5 years.

Results and discussion. Uncompetitive inhibition necessitates the formation of enzyme-substrate complex. The inhibitor binds to the formed complex thus preventing the reaction of the enzyme with the substrate and the product formation. The no nucleoside reverse transcriptase inhibitors, used in the treatment of AIDS, provide interesting examples of clinically relevant uncompetitive inhibitors. There are a few compounds which are used as medications to treat different disorders. For example, valproic acid, camptothecin, ciglitazone. Uncompetitive inhibition is much less common in nature than consideration of enzyme structure and mechanism might lead one to expect. A possible explanation may be that uncompetitive inhibition of an enzyme in a metabolic pathway can have enormously larger effects on the concentrations of metabolic intermediates than competitive inhibition, under circumstances where their effects on the kinetics of the isolated enzyme are very similar.

Conclusions. The use of uncompetitive inhibitors is currently not enough; however, it has prospects in application. The severely toxic effects that an uncompetitive inhibitor might be expected to have may have caused enzymes to have evolved in such a way that there has been selection against structures that might favor uncompetitive inhibition.