

Strategies for the Oral Delivery of Oligonucleotides

Authors : Venkat Garigapati

Abstract : To date, more than a dozen oligonucleotide products are approved as injectable products for clinical use. However, there is no single oligo nucleotide product approved for clinical use. Oral delivery of oligo nucleotides is patient friendly administration however, many challenges involved in the development of oral formulation. Over the course of last twenty plus years, the research in this space aimed to address these challenges. This paper describes the issues involved in solubility, stability, enzymatic (nuclease) induced degradation, and permeation of nucleotides in the Gastrointestinal (GI) and how to overcome these challenges. Also, the translation of in vitro data to in vivo models hinders the formulation development. This paper describes the challenges involved in the development of Oligo Nucleotide products for oral administration. It also discusses the chemistry and formulation strategies for oral administration of oligonucleotides.

Keywords : oral administration, oligo nucleotides, stability, permeation, gastrointestinal tract

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