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Drug design via a scaffold hopping strategy: Case studies on discovery of clinical candidates H_3 receptor antagonist and β-tryptase inhibitor

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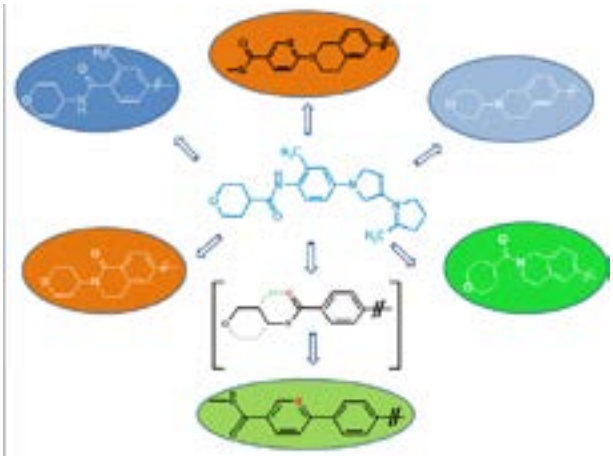
Scaffold hopping is a technique that generates compounds containing a topologically different scaffold from the parent compound, but with similar or improved activity and other properties. This can be done intellectually by medicinal chemists or by computational algorithm. The technique is based on topological pharmacophore models developed from SAR of the current lead. In scaffold hopping, medicinal chemist's insights into pharmacophore and SAR are crucial in this iterative process. Scaffold hopping can be used to generate new chemical entity; overcome patent or other limitations for the current leads; generate differentiated series of chemical matters. Common approaches include heterocyclic replacements, bonds formation and cleavage, and topology-based hopping. This presentation will describe medicinal chemistry of H_3 receptor antagonist and tryptase inhibitor programs via using scaffold hopping strategy to generate multi-genesis of chemical leads. Through optimization of the leads, clinical candidates were identified. The profile of the candidates and *in vivo* effects in disease animal models will also be briefly discussed.



Biography

Zhongli Gao is currently a Senior Principal Scientist at Sanofi. He received his PhD in Organic Chemistry from the City University of New York in 1993. Upon graduation, he carried out his Post-doctoral Research on the total synthesis of spinosyn-A supervised by Professor Paquette at Ohio State University. He joined Hoechst Pharmaceuticals, one of the predecessor companies of Sanofi in 1995. He has worked on a broad range of disease areas in CNS, respiratory, inflammation, oncology, and rare disease involving GPCRs, proteases, enzymes, kinases, ion channels and transporters. He has led many projects in advancing compounds into clinical and preclinical decisions.

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