

Biotransformation in drug discovery

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Biotransformation is a process by which organic compounds are converted from one form to another with the aid of organisms such as enzymes, bacteria and fungi. In the drug discovery programme biotransformations are used as a valuable strategy to build molecules similar to parent drug. Biotransformations can also be used to synthesize molecules which are difficult by synthetic approaches. Microbial biotransformations are widely used to generate metabolites in gram quantities. Biotransformation and synthetic approaches in tandem serves as a vital source for generating compounds around core structures which can be screened for various activity studies to advance the compounds. During pre-clinical stage, information from biotransformation experiments can be effectively utilized to synthesize more back-up compounds.

Sulfonylurea urea drugs were incubated with hepatic microsomes to produce metabolites. For example one of the sulfonylurea urea drugs in the market shows new metabolites which are characterized using liquid chromatography and mass spectrometry. Liquid chromatography is used to separate the formed metabolites. Fragmentation pattern from the mass spectrum is utilized to identify the biotransformation site in the molecule which is the metabolic soft spot. Neutral loss scan in the mass spectrometer is used to identify adducts of the drugs. Identified new metabolites are structurally similar to parent drugs implying the possibility of better therapeutic effects. Formed new metabolites are due to the activity of different type of enzymes in hepatic microsomes.

Biography

Selvan Ravindran is a Senior Research Scientist in Sai Life Sciences Limited, Pune, India. He received his Ph.D degree from Indian Institute of Technology (Chennai). He did his postdoctoral studies in University of Texas Medical Branch, USA and University of Arizona, USA. He also worked as a Biotransformation Scientist in Biocon Bristol Myers and Squibb Research Centre in Bangalore. His major areas of research interests are Biotransformation (Metabolite identification using liquid chromatography and mass spectrometry), Bioanalysis and DMPK (Drug Metabolism and Pharmacokinetics). He has published more than 10 research papers in reputed journals and presented more than 15 papers in national and international conferences.

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