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Chemistry and formulation-enabled drug delivery tools: Enabling discovery

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Formulation-enabled drug delivery tools such as nanomilling, amorphous solid dispersions, formation of micelles, and organic solubilizing vehicles are used to increase absorption and plasma exposures of poorly soluble drug substance molecules. Amorphization through spray-drying can lead to significant improvements in plasma levels providing the desired safety margins in preclinical species. Other tools, such as salts of the drug substance are often used to enhance absorption properties of potent drug candidates. Pro-active use of prodrugs earlier in the discovery space can provide significant benefits. Unlike the physical transformation through particle size reduction or amorphization, prodrugs are a chemistry-enabled drug delivery tool where the active parent is chemically modified to improve drug absorption. The prodrug is transformed *in vivo* into the active parent drug via enzymatic and/or chemical transformation and improve drug absorption, due to solubility or permeability enhancements. Improvements in metabolic stability leading to reduced dosing frequency and adverse events, can also be obtained through prodrugs. The advantages of pro-active use of formulation-enabled and prodrug (chemistry-enabled) drug delivery strategy early in discovery will be highlighted using case studies. Using the right enabled technology at the right time and in the right way is key to the selection of the right drug candidate for development.

Biography

S D Clas established PharmaSolv Consulting after working for 23 years in drug development at Merck & Co., Inc. Prior to that, she worked for 3 years in the Basic Pharmaceutical Sciences group in West Point, providing physico-chemical characterization and pre-clinical tox formulation support to the Discovery teams in the areas of infectious diseases, neurosciences and endocrine. She also led the Global Prodrug Expert Team at Merck with a mandate to promote using prodrugs in Discovery. She has led the Pre-formulation group at Merck Frosst, Canada, for 17 years till 2008. During that time, her team contributed to the development of Singulair®, Vioxx®, Arcoxia®, laropiprant (Tredaptive®), veterinary Cox-2 inhibitors (e.g. Equioxx®), as well as compounds that are presently in Phase II to III studies, for example, odanacatib, a Cat K inhibitor for osteoporosis in Phase III. She is also adjunct professor in the Faculty of Pharmacy at the University of Montreal. She has been an invited lecturer at many symposia and conferences and has contributed to 76 oral and poster presentations. She is co-inventor of 11 patents and co author of 43 refereed publications and 15 preprints and abstracts.

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