



DEPARTMENT
OF PHARMACEUTICAL SCIENCES

Seminars on Drug Sciences (SDS)

Lecture of

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The elephant in the room: DMPK/PD optimization of orally available degraders

Degraders are an increasingly important sub-modality of small molecules as illustrated by an ever-expanding number of publications and clinical candidate molecules in human trials. Nevertheless, their preclinical optimization of ADME and PK/PD properties has remained challenging. Significant research efforts are being directed to elucidate underlying principles and to derive rational optimization strategies.

In this talk, current best practices in terms of in vitro-assays and in vivo-experiments will be summarized. Furthermore, the current understanding of optimal physicochemical characteristics and their impact on absorption, distribution, metabolism and excretion properties including the current knowledge of DDIs will be collated and commented. Additionally, approaches for PK prediction and PKPD-concepts unique to degraders and how to best implement these in research projects will be described. Finally, some potential future developments in the field such as tissue-targeting approaches or covalent degraders will be discussed.

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17:15 - 18:15

Lecture Hall 1, Pharmacenter, Klingelbergstrasse, 50, Basel

Host: Prof. em. B. Ernst
Molecular Pharmacy



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