Chemical Pharmaceutics Oriented Medicinal Chemistry

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Much like conventional pharmaceutics, chemical pharmaceutics deals with the delivery of drugs. However, contrary to conventional pharmaceutics that focused on formulation techniques, chemical pharmaceutics delivers drugs using techniques based on organic chemistry. In this presentation, I would like to report our recent work in this field. We synthesized water-soluble prodrugs based on intramolecular migration reaction in sparingly-water-soluble HIV protease inhibitors and taxoids, as well as new anti-tumor drugs based on a vascular disrupting agent, phenylahistin (PLH). In the development of water-soluble HIV protease inhibitors based on succinimide formation for a parent drug release, I would like to discuss the importance of the parent drug regeneration time on gastrointestinal absorption. On the other hand, in the development of a new anticancer drug, a structure-activity relationship study of PLH derivatives based on cytotoxic activity derived from anti-microtubule activity and vascular disrupting activity of a potent PLH derivative, KPU-2 (NPI-2358), will be discussed.