Docket #: S22-073

Improving the solubility and pharmacokinetic profile of an insoluble therapeutic

The Stanford Sarafan ChEM-H Medicinal Chemistry Knowledge Center has developed a novel aqueous solubilizing promoiety (Sol-moiety) that can be readily attached to a wide-range of functional groups and undergo controlled cleavage to improve the pharmacokinetic profile of a desired therapeutic. Solubility has long been a challenge for medicinal chemists as they develop increasingly more potent and selective molecules with hydrophobic character. This invention allows for rapid improvement in the solubility of drug prototypes that possess a free amino or hydroxyl group. The Solmoiety is attached to the drug and then cleaved in the presence of phosphatases in the intestine or plasma, allowing drug prototypes to be delivered orally or intravenously. The technology has been applied to several well-known drugs, including Enzalutamide and Vemurafenib, where excellent oral bioavailability was achieved using saline solution as a vehicle in mouse pharmacokinetic experiments. Sol-moiety technology has also enabled the oral bioavailability of drugs that are traditionally administered through intravenous infusion, such as Paclitaxel. The Sol-moiety platform has the potential to replace sophisticated formulation strategies currently used in the pharmaceutical industry, improve insoluble drug prototypes or marketed drugs that are thwarted by poor PK, and provide patients with a more convenient administration of highly potent therapeutics.

Stage of Development:
Research - in vivo data

Figure:

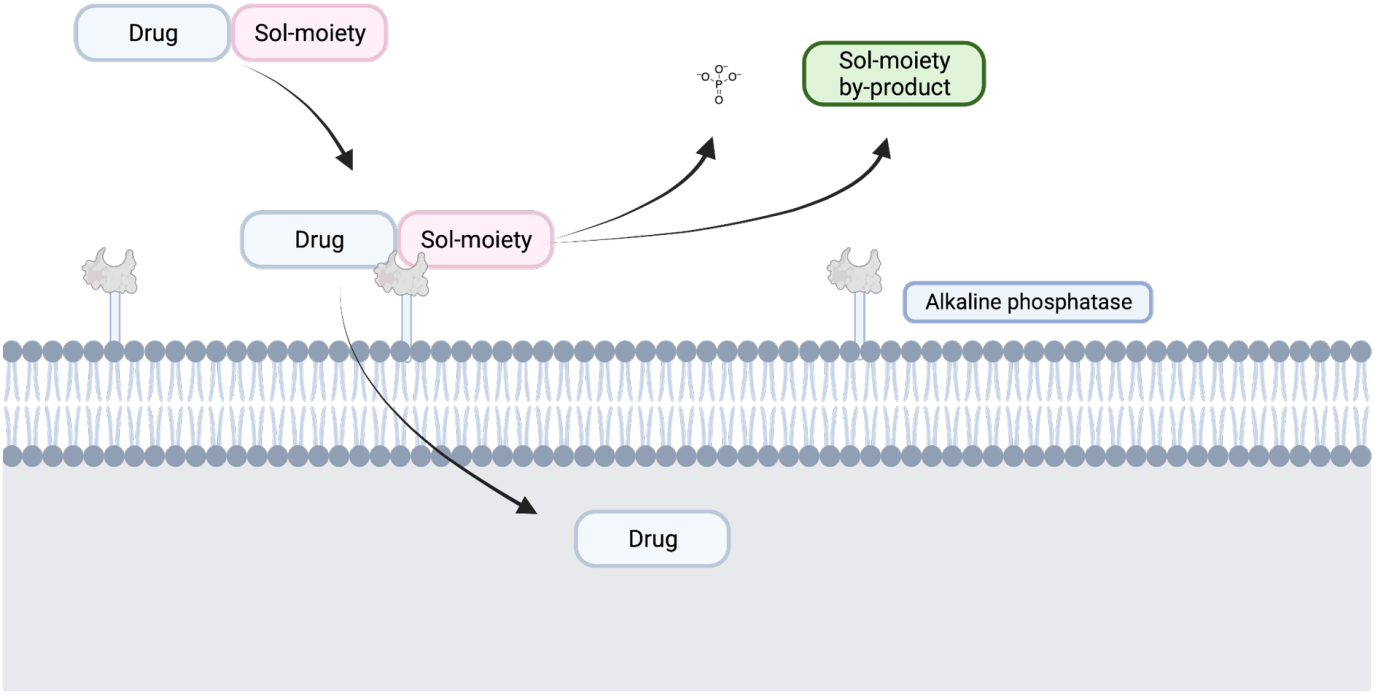

Figure Description: General concept of Sol-moiety technology (*Image credit: Stanford Sarafan ChEM-H Medicinal Chemistry Knowledge Center*)

Applications

- Can be applied to drug prototypes with a free amino or hydroxyl group that lack sufficient solubility
- Can be applied to drug prototypes with a free amino or hydroxyl group wherein oral delivery would be superior to intravenous delivery

Advantages

- Improves oral bioavailability beyond standard prodrug technology
- Allows drug prototypes to be delivered orally or intravenously
- Wider scope than traditional methods

Publications

- arbasi, A.B., Barfuss, J.D., Morgan, T.C. et al. Sol-moiety: Discovery of a water-soluble prodrug technology for enhanced oral bioavailability of insoluble therapeutics. *Nat Commun* 15, 8487 (2024).
- McClellan, Rebecca. New strategy could turn IV medicines into pills Stanford News. October 9, 2024.

Innovators

- Mark Smith

Licensing Contact

Hyunjin Kim

Licensing Manager, Life Sciences

Email