

Stable Isotopes in Drug Development

- Deuterated Pharmaceuticals
- Clinical Trials or Diagnostics



Deuterated Pharmaceuticals

In recent years some pharmaceutical companies have begun to investigate deuteration of molecules that may provide advantages over their existing nondeuterated counterparts. In addition, increasing research into the potential medical advantages of new deuterated drugs is also occurring.

The potential advantages of deuterated pharmaceuticals include:

- Improved metabolic profile. The improved metabolic profile may potentially reduce or eliminate unwanted side effects or undesirable drug interactions.
- Improved oral bioavailability. Deuteration in some compounds has reduced the presystemic metabolism that occurs in the digestive track, allowing more of the unmetabolized drug to reach its target.
- Increased half-life. Deuterated compounds can have a slower pharamacokinetic effect, extending the absorption and distribution in the body. This may decrease the number of doses a patient may require in certain time period compared to its nondeuterated counterpart.

Clinical Trials or Diagnostics

Stable isotopes can be used in clinical trials to determine:

- Assessment of drug pharmacology to determine the pharmacokinetic profile or mode of action of a drug substance;
- Drug-delivery parameters such as bioavailability or release profile;
- Patient-specific drug treatment.

Clinical Trials

A Study in Healthy Volunteers to Evaluate the Application of Stable Isotope Approach to Reduce Number of Subjects Needed for PK Study

CNS and Plasma Amyloid-Beta Kinetics in Alzheimer's Disease





References

Gu, H., et al. 2012. Calculation and Mitigation of Isotopic Interferences in LC-MS/MS Assays and Its Application in Supporting Microdose Absolute Bioavailability Studies. Anal Chem, 84(11), 4844-4850.

Schellekens, R.C., et al. 2011. Applications of stable isotopes in clinical pharmacology. Br J Clin Pharmacol, 72(6), 879-897.





References

Mullard, A. 2016. Deuterated drugs draw heavier backing. Nat Rev Drug Discov, 15(4), 219-221



