Abstract: The process of drug discovery applies rigorous selection pressures. Marketed oral drugs will generally possess favorable physiochemical properties with respect to absorption, metabolism, distribution, and clearance.

This paper describes a study in which the distributions of physiochemical properties of oral drugs in different phases of clinical development are already marketed. The aim is to identify the trends in physiochemical properties that favor a drug's successful passage through clinical development and on to the market.

Two libraries were created, one of current development oral drugs and one of marketed oral drugs. Statistical analysis of the two showed that the mean molecular weight of orally administered drugs in development decreases on passing through each of the different clinical phases and gradually converges toward the mean molecular weight of marketed oral drugs. It is also clear that the most lipophilic compounds are being discontinued from development.

Source: Journal of Medicinal Chemistry, 46, pp 1250 - 1256 (2003).

A Comparison of Physiochemical Property Profiles of Development and Marketed Oral Drugs

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