Table S3 | **Mean, median and standard deviations of potencies, LE, LLE and LELP values for collections of oral drugs, Phase II compounds, hits and leads**

Category[44]		pPotency	LE	LLE	LELP
Oral drugs (n=302)[a]	Mean	7.76	0.52	5.02	6.38
	Median	8.09	0.47	5.02	5.74
	SD	1.63	0.21	2.12	4.90
Phase II (n=210)[a]	Mean	8.20	0.42	5.17	8.52
	Median	8.30	0.39	5.23	7.15
	SD	1.26	0.16	2.28	7.69
Successful lead (n=60)[b]	Mean	6.44	0.39	3.78	8.82
	Median	6.39	0.36	3.43	8.25
	SD	1.57	0.16	2.71	10.50
HTS lead (n=319)[c]	Mean	7.57	0.38	3.64	11.75
	Median	7.52	0.37	3.73	10.46
	SD	0.98	0.11	1.99	7.59
Fragment lead (n=95)[d]	Mean	7.09	0.37	3.91	10.24
	Median	7.22	0.34	4.24	8.21
	SD	1.38	0.12	2.53	10.03
HTS hit (n=319)[c]	Mean	6.18	0.35	2.51	11.98
	Median	6.14	0.34	2.42	11.13
	SD	1.02	0.12	1.83	7.51
Fragment hit (n=100)[d]	Mean	4.37	0.41	2.54	5.36
	Median	4.19	0.37	2.18	4.64
	SD	1.45	0.15	2.02	4.85

Compiled in reference 44 (Tarcsay, A., Nyiri, K., Keserű, G. M. Impact of Lipophilic Efficiency on Compound Quality. *J. Med. Chem.* **55**, 1252−1260 (2012)), from cited source data. LLE and LELP are based on logP calculated using ChemAxon, version 5.3.6..[44] SD, standard deviation.

a. Compiled from https://integrity.thomson-pharma.com/integrity where target affinity was available.
b. Perola, E. An analysis of the binding efficiencies of drugs and their leads in successful drug discovery programs. *J. Med. Chem*. **53** 2986−2997 (2010).
c. Keserű, G. M.; Makara, G. M. The influence of lead discovery strategies on the properties of drug candidates. *Nat. Rev. Drug Discovery* **8**, 203−212 (2009).
d. Alex, A. A.; Flocco, M. M. Fragment-based drug discovery: What has it achieved so far? *Curr. Top. Med. Chem*. **7**, 1544−1567 (2007); Congreve, M.; Chessari, G.; Tisi, D.; Woodhead, A. J. Recent developments in fragment-based drug discovery. *J. Med. Chem.* **51**, 3661−3680 (2008); Schulz, M. N; Hubbard, R. E. Recent progress in fragment-based lead discovery. *Curr. Opin. Pharmacol.* **9**, 1−7. (2009); Murray, C. W.; Rees, D. C. The rise of fragment-based drug discovery. *Nat. Chem*. **1**, 187−189 (2009).