

Animal testing can mislead drug discovery and development

Several blockbuster drugs would not be on the market, if scientists had relied solely on drug-uptake in animal trials, according to new research.

The research, carried out by PharmaInformatic, a German biotech company, compared study results on drug-uptake ("Oral Bioavailability") in animals and humans for a large number of approved and established drugs.

Results showed that oral bioavailability in animals is inconsistent with the values reported for humans and large differences can exist. This also applies to very successful commercial drugs, called blockbuster drugs, with annual sales of more than one billion US Dollar.

In drug development today, a large number of compounds are tested in animals, such as rats, dogs, monkeys and mice to determine whether they are effective and possess sufficient oral bioavailability. If bioavailability in animals is too low, further drug development is usually discontinued.

Drug-uptake studies in animals can be misleading: <u>Aripiprazole</u> and <u>Esomeprazole</u>, the most sold drugs of 2013, have low oral bioavailability in animals, but drug-uptake in humans is high.

Alternative computational methods, such as the expert system <u>IMPACT-F</u> replace animal trials on drug-uptake.

<u>Pharmaceutical companies</u> have applied the expert system to evaluate human oral bioavailability in various therapeutic areas such as diabetes, inflammation, antivirals, autoimmune diseases and cancer.

The expert system evaluates oral bioavailability in humans significantly more reliably than animal trials. This further increases the prospects of human clinical trials, because the optimum oral dose for first-in-human clinical trials can be determined much more accurately. Efficacy issues are identified as the main reason why clinical trials fail.

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100 100 Human 90 90 90 Omeprazole / Duloxetine Aripiprazole Oral Bioavailability (%) Oral Bioavailability (%) (%) 80 80 80 Esomeprazole No.1 in 2013 No.5 in 2013 Bioavailability 70 70 70 No.2 in 2013 (US\$ 5083 million) (US\$ 6294 million) 60 60 60 (US\$ 5975 million) Human Human Mouse 50 50 50 40 40 40 30 30 30 Mouse Oral Dog Rat Rat 20 20 20 Rat Dog Monkey Dog 10 10 10

Oral bioavailability of blockbuster drugs in humans and animals (2013 U.S. sales):

Further details and images available at www.pharmainformatic.com.