OATP1B1/1B3 Inhibition

Hepatic Uptake Transporter Interaction Risk

NEZU
Biotech GmbH

Dear Client,

first and foremost, thanks for downloading this free resource. All graphics in this report are predictions made by our AI systems. They show the profile of this ADMET endpoint for thousands of marketed drugs. We divided it by indication, and as you can see, it changes a lot from one category to another. Therefore, try to find the closest indication to the compounds you are currently developing.

Organic anion transporting polypeptide 1B1 (**OATP1B1**) and organic anion transporting polypeptide 1B3 (**OATP1B3**) inhibition ask whether a compound blocks two transport proteins that move drugs from blood into liver cells. This uptake often supports hepatic metabolism or biliary elimination. Inhibition is important when another medicine depends on these transporters for clearance.

The experiment commonly uses human embryonic kidney or Chinese hamster ovary cells engineered to express OATP1B1 or OATP1B3. Control cells lack the transporter. Researchers expose both cell types to a known substrate and several concentrations of the test compound. The substrate may be radiolabelled, fluorescent, or quantified by liquid chromatography–mass spectrometry. Reduced intracellular substrate accumulation in transporter-expressing cells indicates inhibition. A higher percent inhibition means stronger inhibition.

OATP1B1 contributes substantially to the hepatic uptake of several statins. OATP1B3 also transports drugs and endogenous molecules, including conjugated steroid hormones and some bile-related compounds. Blocking either transporter reduces hepatic uptake of susceptible substrates. This can decrease hepatic clearance and increase their systemic exposure. The clinical effect depends on inhibitor concentration, unbound exposure, substrate dependence, and contributions from other transport and metabolic pathways.

Strong in vitro inhibition identifies potential drug–drug interaction liability. It does not prove that a clinical interaction or liver injury will occur. Interpretation must include projected hepatic inlet concentrations and mechanistic or regulatory risk calculations.

We generated these insights using advanced AI systems designed to analyze complex biotech data and highlight potential trends. While we strive for accuracy, AI models can occasionally make mistakes or miss context, so please treat these predictions as informative guidelines rather than absolute facts.

This report is provided for general informational and educational purposes only, not for medical diagnosis, treatment decisions, or financial advice. Because individual

circumstances and data can vary, we cannot be held responsible for any decisions made or losses incurred based on these predictions.

Now that we got this out of the way, we genuinely hope these results are useful for your research.

Sincerely,

Your friends at Nezu Biotech GmbH.

You have the vision. We can help make it reality.

Click here to book a demo. Tell us about your molecules.

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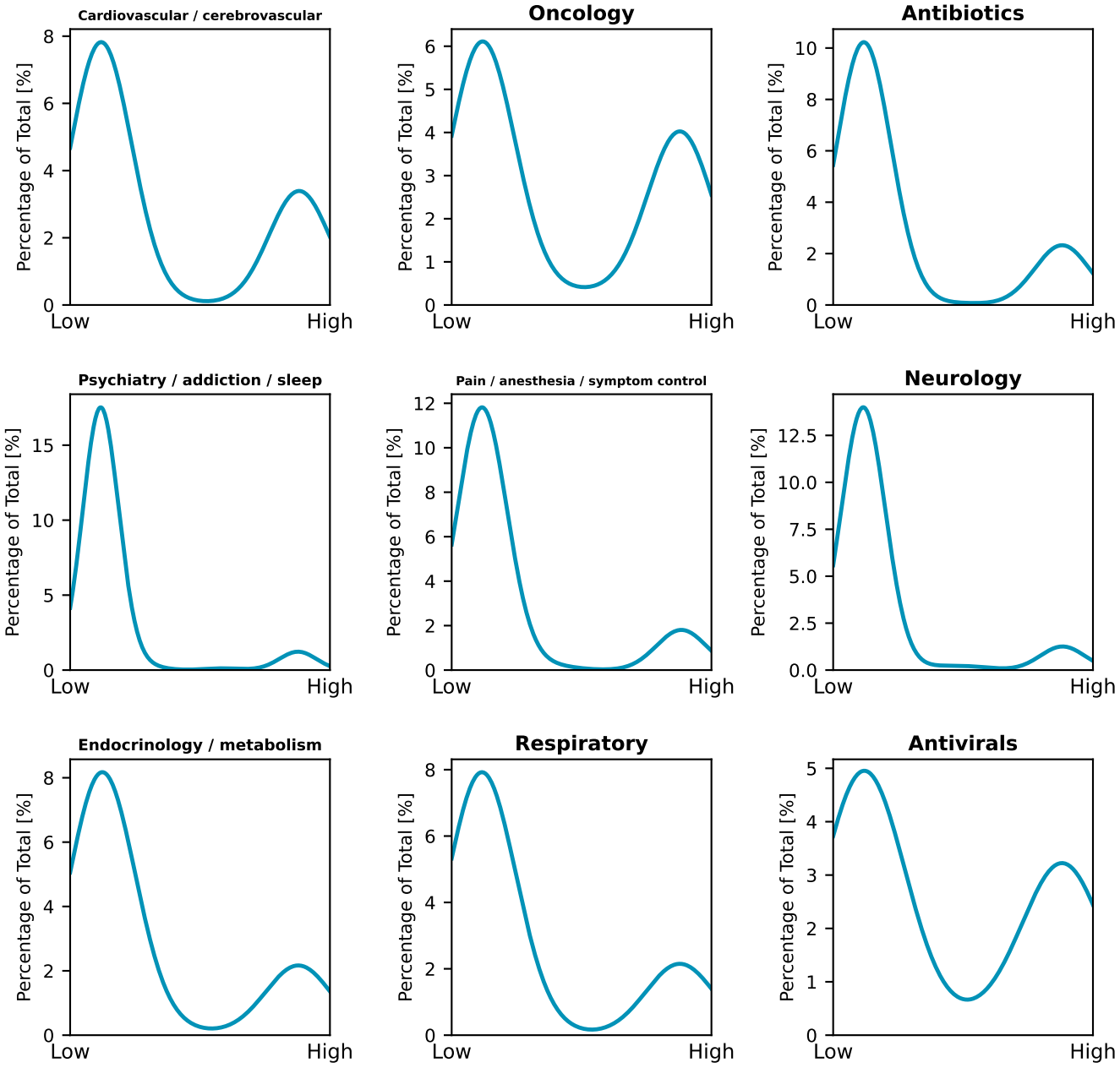
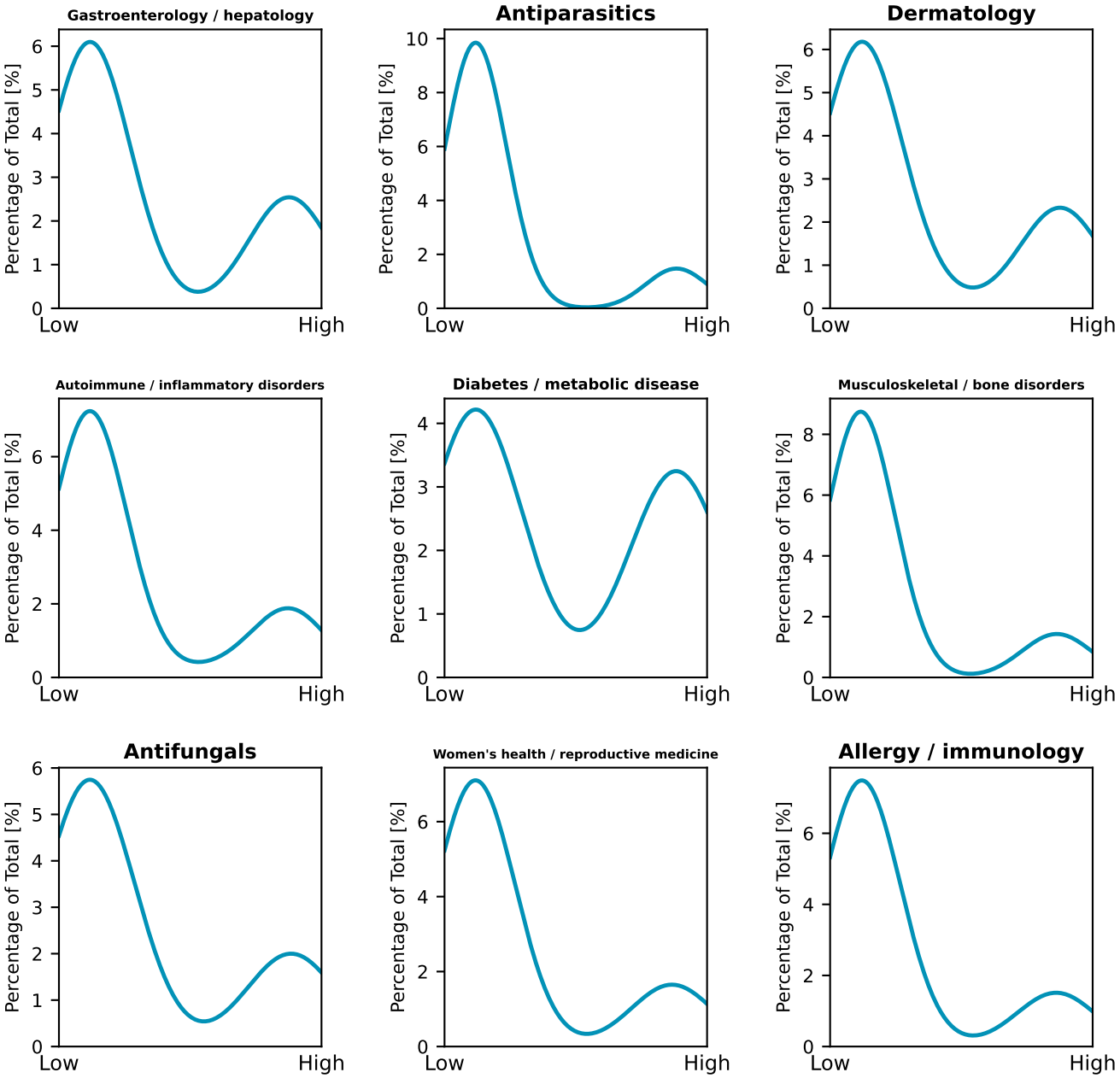
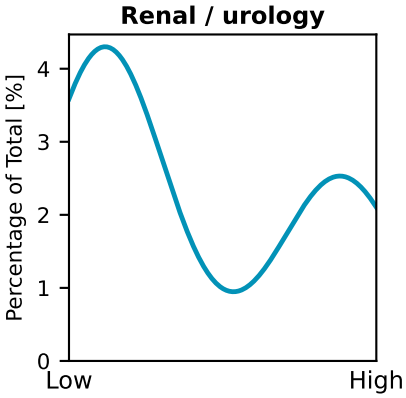
OATP1B1 Inhibitor

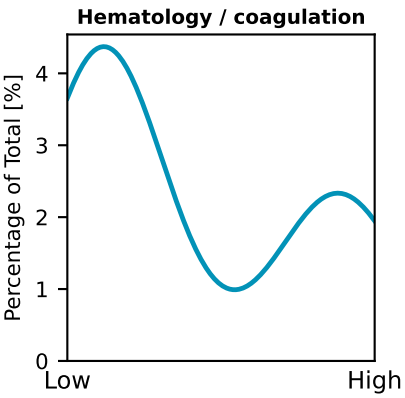


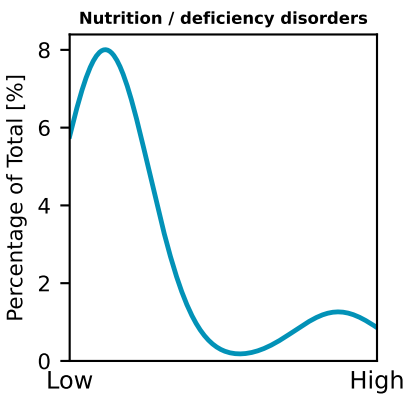
OATP1B1 Inhibitor

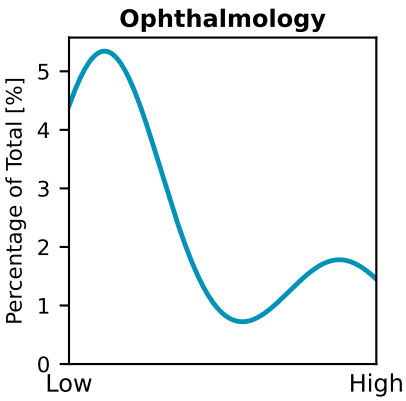


OATP1B1 Inhibitor



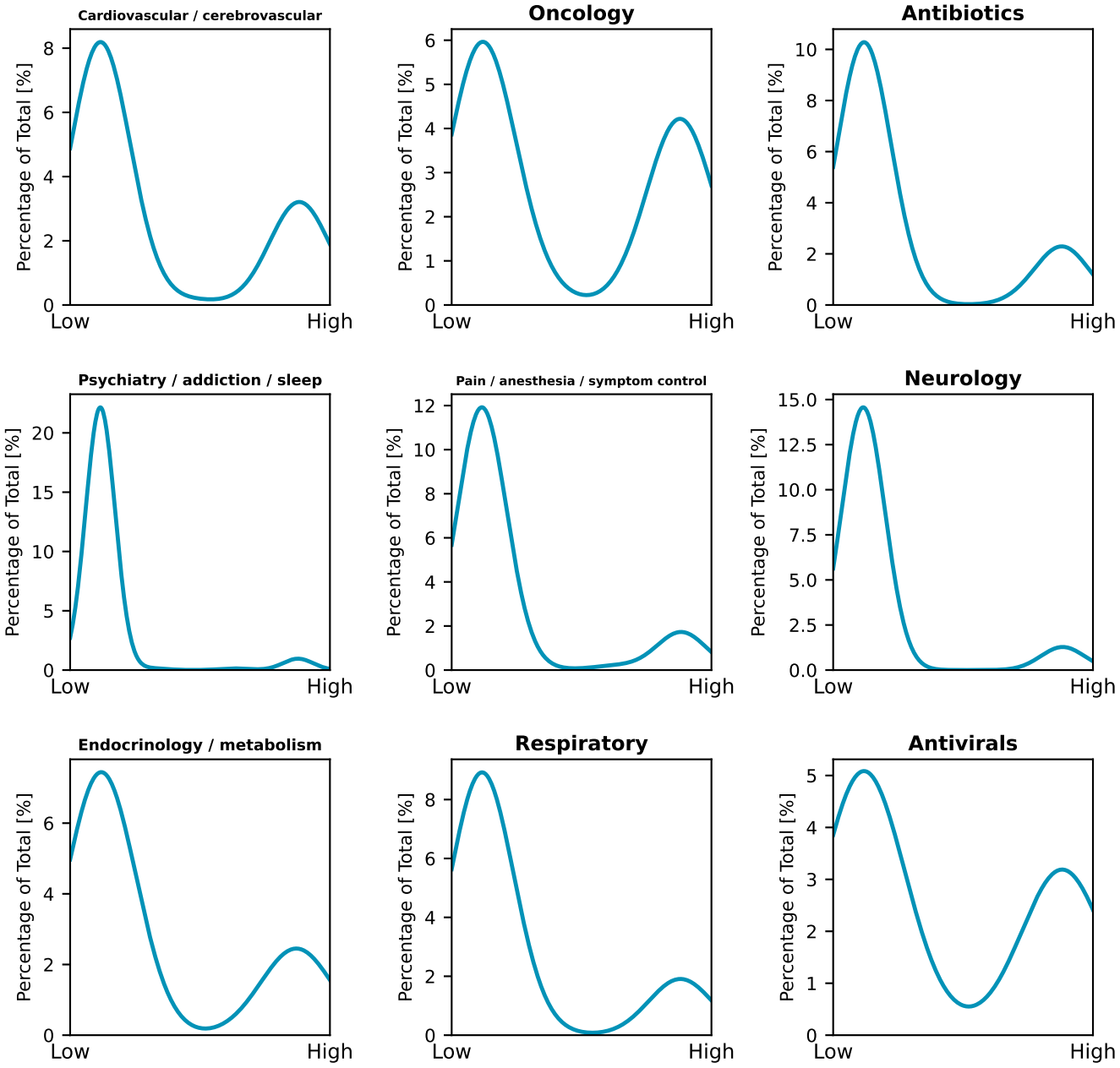




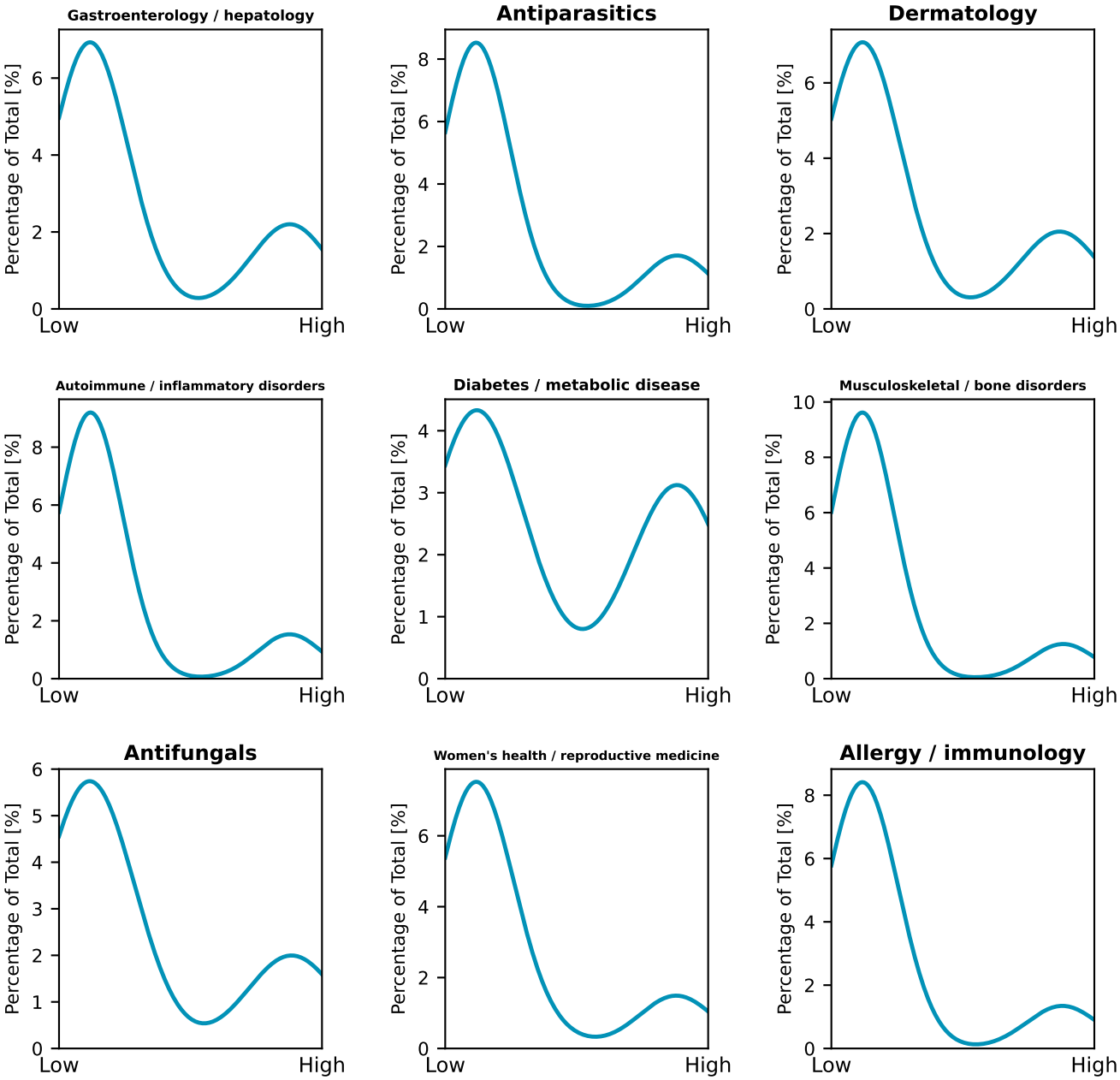


Derived from thousands of marketed drugs

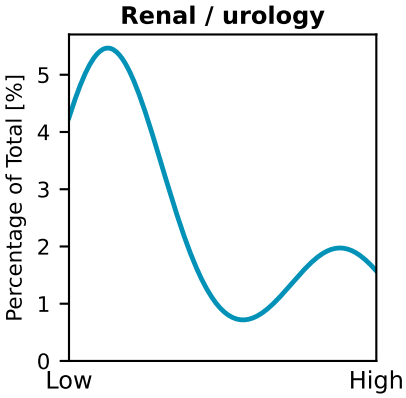
OATP1B3 Inhibitor

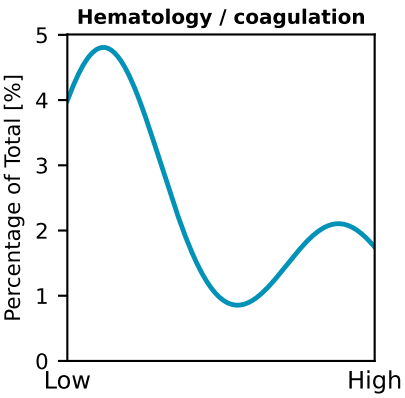


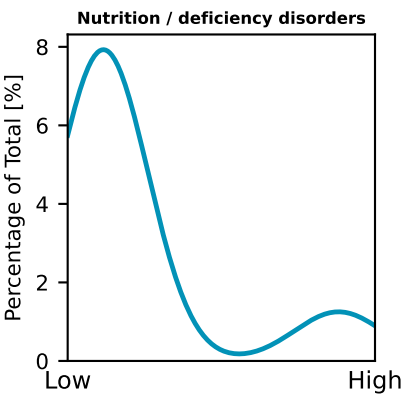
OATP1B3 Inhibitor

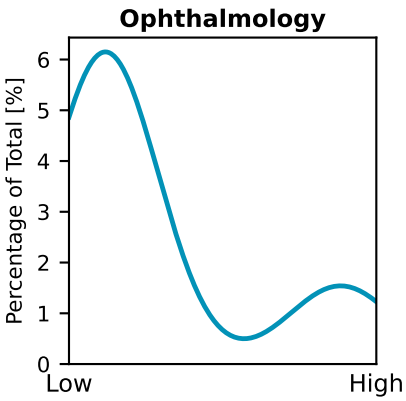


OATP1B3 Inhibitor









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