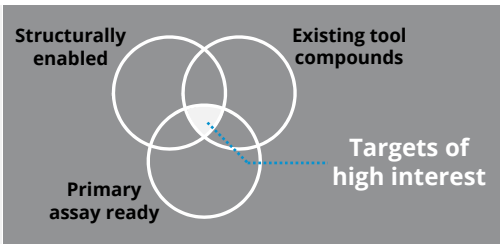
Pfizer's Centers for Therapeutic Innovation

Helpful Tips for Small Molecule Pre-Proposals

Pfizer's Centers for Therapeutic Innovation (CTI) collaborates with academic investigators to accelerate concepts into potential breakthrough therapies for patients. What does Pfizer consider when evaluating a small molecule pre-proposal?

KEY CONSIDERATIONS

What does Pfizer look for when evaluating small molecule pre-proposals?*

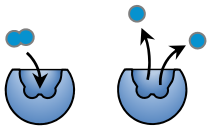


*When evaluating proposals for collaborative projects, Pfizer considers many factors including but not limited to these criteria.

SMALL MOLECULE AMENABILITY

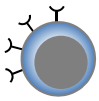
Having a target can greatly accelerate drug discovery efforts. Targets are broadly categorized by location, which helps to evaluate small molecule druggability.

Intracellular:



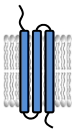
Intracellular targets have proven to be highly druggable. Enzymes such as kinases have been extensively investigated while historically challenging targets such as phosphatases and RNA have more recently shown tractability.

Extracellular/secreted:



Extracellular targets are often the focus of large molecule approaches therefore differentiation with small molecule modulation is key. Harnessing intracellularly driven processes such as protein degradation may be challenging.

Membrane bound:



GPCR's and ion channels represent a large percentage of approved small molecule therapeutics. This has been aided by a high level of structural understanding and large number of existing assays.

COMPOUND READY ASSAY

Having a disease-relevant biochemical or cellular assay ready and available is one of the primary means to accelerate the drug discovery process allowing for data generation ahead of any high-throughput-screening or medicinal chemistry.

TOOL COMPOUND

Tool compounds, often with on-target activities in the 1–5 micromolar range, can be instrumental in helping optimize assays and serve as starting points for medicinal chemistry. Whether publicly available or discovered from your in-lab efforts, Pfizer scientists can help to profile existing tools and rapidly identify related compounds from our internal library for follow-up testing.

CRYSTAL STRUCTURE

3D structures are key to helping predict the druggability of a target and can be used to drive both computational screening efforts as well as early medicinal chemistry work. Targets (or homologs) with known structures allow for rational compound design and more targeted screening of Pfizer compound libraries.

If you have any questions about the pre-proposal process please ask your technology transfer representative to put you in touch with Pfizer.