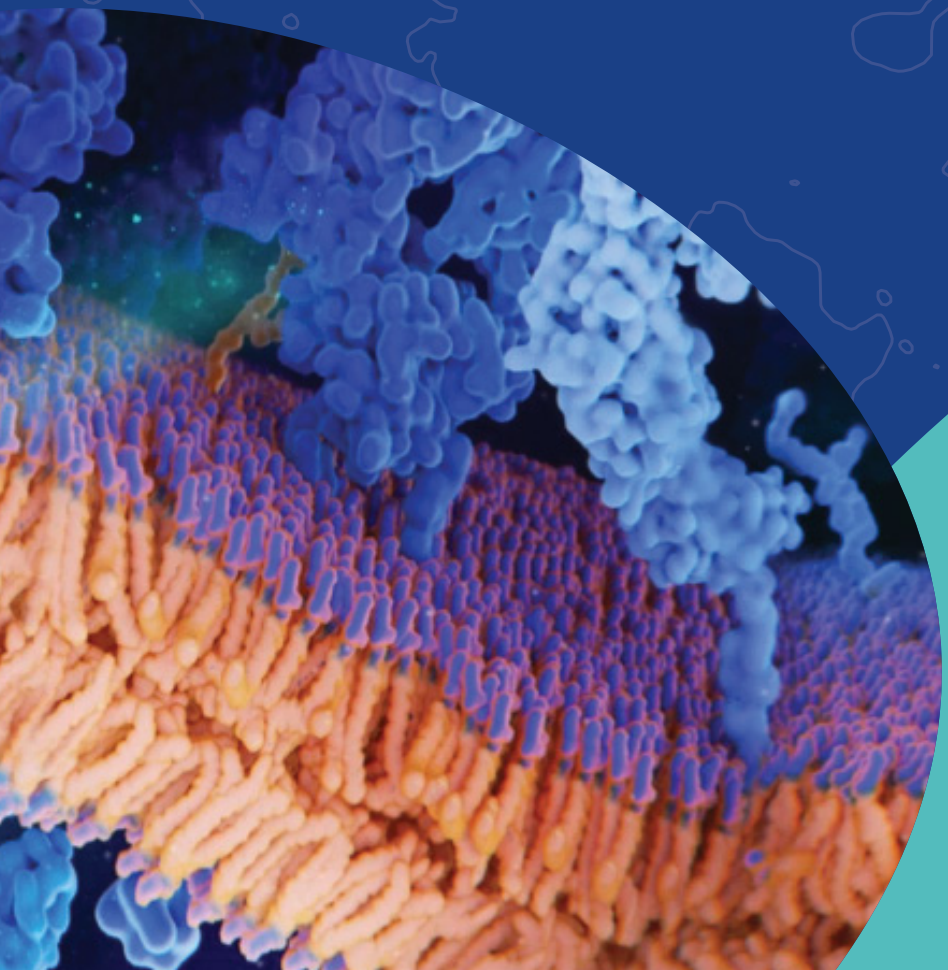
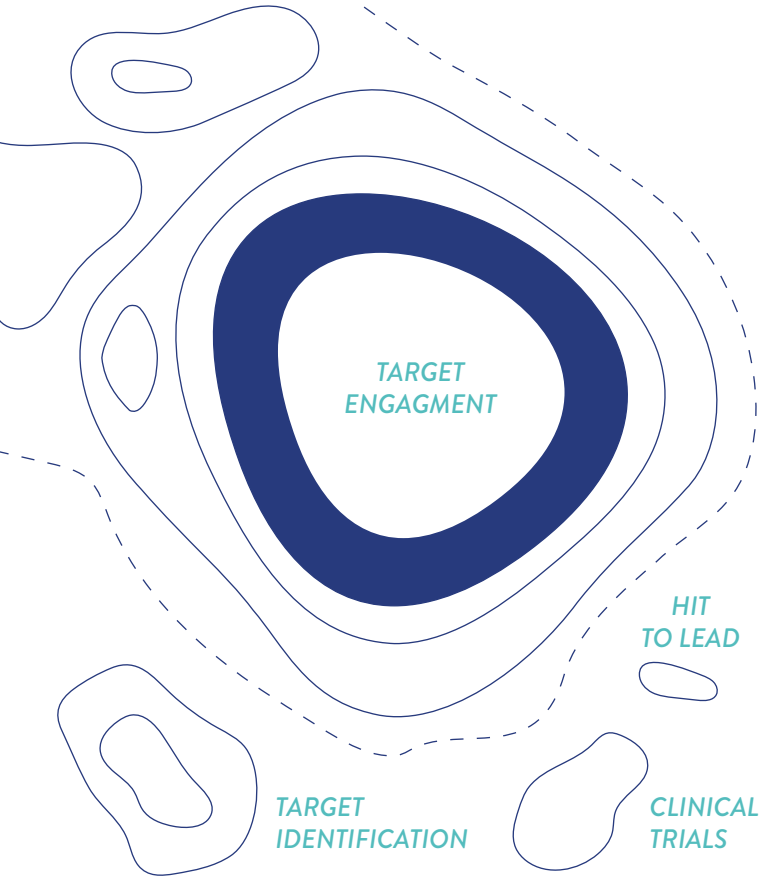
PELAGO BIOSCIENCE

WHAT IS CETSA®?





SET COURSE ON **THE RIGHT TARGET** WITH **CETSA®**

For a drug to be effective and safe, the compound must selectively bind to the target protein at the intended site of action. Conventional methods for assessing target engagement often do not deliver accurate results causing high failure rates in the drug discovery process. However, there is already one promising solution. The Cellular Thermal Shift Assay (CETSA®) enables direct, physiologically relevant TE quantification within intact cells and tissues, based on the fundamental principle of thermal shift assays.

THE CETSA® **PRINCIPLE**

TREAT

Incubate cells, lysate or tissue with or without compound.

HEAT

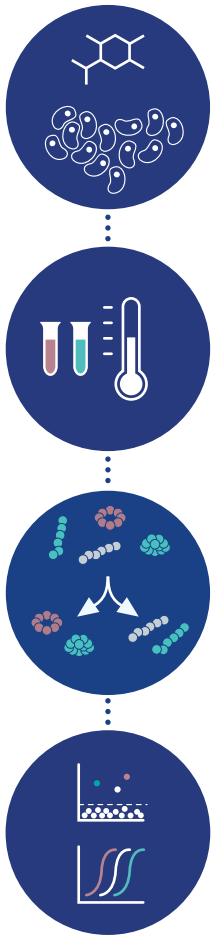
Expose the sample to a range of different temperatures to melt the proteins.

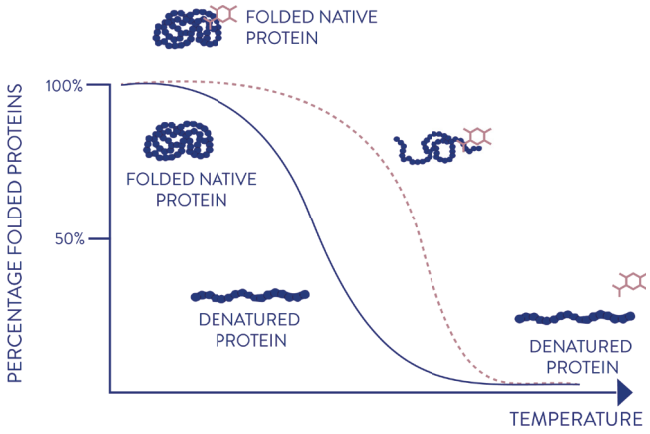
SEPARATE

Separate unfolded proteins from the soluble fraction. The remaining soluble protein indicates the amount of protein that has stayed folded.

DETECT

Detect the target interaction with one of the methods applicable to our different experimental setups.





THERMAL SHIFT

If the compound has bound to the target protein the latter becomes more or less resistant to heat, causing a change in thermal stability. Quantifying the amount of protein that remains soluble after heat shock and plotting this to a range of different temperatures gives the CETSA® melt curve of the protein.

A concentration-response experiment at a single temperature then determines the TE potency at half-maximal effect concentration (CETSA® EC_{50}).

ONE PROVEN ASSAY.
MANY FLEXIBLE FORMATS.

	CETSA® NAVIGATE	CETSA® NAVIGATE MS	CETSA® NAVIGATE HT	CETSA® EXPLORE
number of targets	single	1-10	single	proteome-wide (up to 8000 proteins)
detection method	western blot	targeted mass spectometry	dual antibody	mass spectometry
number of compounds	≤10	≤10	10-500k	≤14
project timeline	8 weeks	8 weeks	10 weeks	12 weeks
results	target engagement potency ranking	target engagement potency ranking selectivity	compound screening potency ranking	proteome profile direct/indirect and off-target hits

APPLICABLE AT EVERY STAGE

TARGET IDENTIFICATION · LEAD GENERATION · LEAD OPTIMISATION · PRECLINICAL STUDIES · CLINICAL TRIALS · APPROVED DRUGS