

# 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.



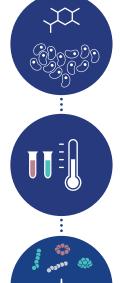
Expose the sample to a range of different temperatures to melt the proteins.

#### **SEPARATE**

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

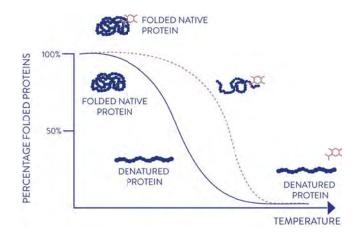
#### **DETECT**

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







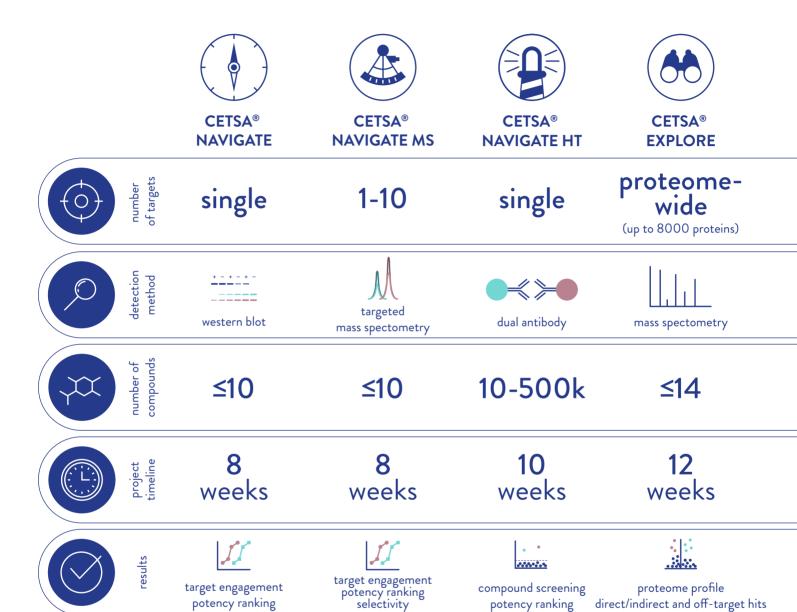


#### THERMAL SHIFT

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.



### APPLICABLE AT EVERY STAGE

