

The Lipid Kinase Profiler service comprises biochemical activity testing of compounds for IC50 value determination on a panel of 18 wild-type lipid kinases of six different classes.

Panel of Lipid Kinases

- No. of kinases: 18 (see table 1)
- Species: Human
- Assay technology: ADP-Glo activity assay (Promega)
IC50 values will be calculated from percent inhibition values of 10 concentrations tested in semi-log steps
- Positive control: One reference inhibitor can be selected from table 2
- Results: The report includes IC50 values against 18 lipid kinases
- Screening location: Freiburg, Germany

Kinase	Family	Class
PIK3CA/PIK3R1	PI3K	Class I
PIK3CB/PIK3R1	PI3K	Class I
PIK3CD/PIK3R1	PI3K	Class I
PIK3CG	PI3K	Class I
PIK3C2A	PI3K	Class II
PIK3C2B	PI3K	Class II
PIK3C2G	PI3K	Class II
PIK3C3	PI3K	Class III
PI4KB	PI3K	Class IV
PI4K2A	PI4K	PI4K Class II
PI4K2B	PI4K	PI4K Class II
PIKFYVE	PIP5K	PIP5K1
PIP4K2A	PIP5K	PIP5K1
PIP4K2B	PIP5K	PIP5K1
PIP4K2C	PIP5K	PIP5K1
PIP5K1A	PIP5K	PIP5K1
PIP5K1B	PIP5K	PIP5K1
PIP5K1C	PIP5K	PIP5K1

Table 1: Panel of wild type lipid kinases

Inhibitor	Synonyms	Primary targets
Wortmannin	KY 12420, SL-2052	PI3K class
PI-103	UNII-YQX02F616F	PIK4CA, -CB, -CD
Dactolisib	BEZ235, NVP-BEZ235	PIK2C2A
Pictilisib	GDC-0941, RG7321	PIK3CA, -CB, -CD
Idelalisib	CAL-101, GS-1101	PIK3CA, -CD, -CG
Buparlisib	BKM120, NVP-BKM120	PIK3CA, -CB, -CD
UCB9608	Compound 44	PI2KB, PIK3C2G

Table 2: Reference lipid kinase inhibitors

Lipid Kinase Reference Compounds

Each Lipid Kinase Profiler project will include the screening of one reference inhibitor. Customers can select the reference inhibitor according to their own preferences out of a list of seven known lipid kinase inhibitors (see table 2).

Example of Application

Seven lipid kinase inhibitors were tested in the Lipid Kinase Profiler for IC50 value determination against 14 wild-type lipid kinases.

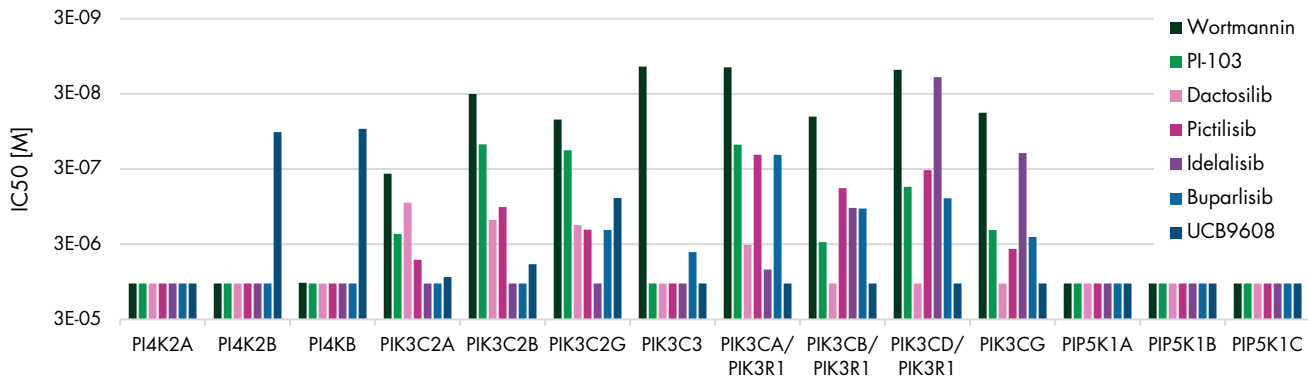


Figure 1: IC50 profile of seven reference inhibitors against 14 lipid kinases.