

The Lipid Kinase Profiler service comprises biochemical activity testing of compounds for IC50 value determination on a panel of 14 wild-type lipid kinases of six different classes.

## ➤ Panel of Lipid Kinases

- No. of kinases: 14 (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 14 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 |
| PIP5K1A       | PIP5K  | PIP5K1        |
| PIP5K1B       | PIP5K  | PIP5K1        |
| PIP5K1C       | PIP5K  | PIP5K1        |

**Table 1:** Panel of wild type lipid kinases

## ➤ Lipid Kinase Reference Compounds

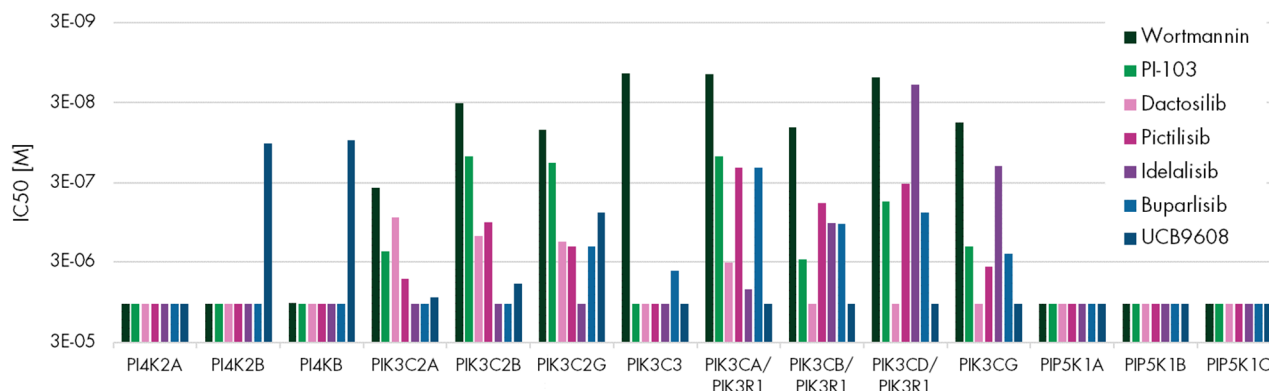
Each Lipid Kinase Profiler project will include the screening of one reference inhibitor. Customers can select the reference inhibitor according to their own preferences out of a list of seven known lipid kinase inhibitors (see table 2).

| 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

## ➤ 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.