

The CDK Profiler is performed with ³³PanQinase™ assay technology at our German site.

➤ The Service in Brief

The CDK Profiler service comprises biochemical activity testing of compounds against a panel of 32 CDK/Cyclin complexes formed from 20 CDKs and 16 cyclins. Each project includes testing one reference inhibitor from a selection of eight known CDK inhibitors.

Panel of available CDK/Cyclins

- No. of complexes: 32 covering all 20 CDKs (table 1)
- Species: human
- Assay technology: ³³PanQinase™ activity assay. IC50 values with 10 concentrations.
- Positive control: One known CDK inhibitor can be selected as a reference compound (table 2)
- Results type: Report including IC50 values against 32 CDK/Cyclin complexes

CDK1/CycA2	CDK7/CycH/MAT1
CDK1/CycB1	CDK8/CycC
CDK1/CycE1	CDK9/CycK
CDK2/CycA2	CDK9/CycT1
CDK2/CycD1	CDK10/CycQ
CDK2/CycE1	CDK11 357-795/CycK
CDK3/CycC	CDK12/CycK
CDK3/CycE1	CDK13/CycK
CDK4/CycD1	CDK14/CycY
CDK4/CycD2	CDK15/CycA2
CDK4/CycD3	CDK16/CycY
CDK5/p25NCK	CDK17/p35NCK
CDK5/p35NCK	CDK18/CycY
CDK6/CycD1	CDK19/CycC
CDK6/CycD2	CDK20/CycH
CDK6/CycD3	CDK20/CycT1

Table 1: Panel of available CDK/Cyclins

Name	Synonyms	Primary CDK-Target(s)
Abemaciclib	LY2835219	CDK4/6
Alvocidib	Flavopyridole	CDK9
CCT251545	HY-12681	CDK8
Dinaciclib	SCH727625	CDK1/5
Palbociclib	PD0332991	CDK4/6
Ponatinib	AP24534	CDK8/19
Ribociclib	LEE011	CDK4/6
Seliciclib	Roscovitine, CYC202	CDK2/5/9

Table 2: Reference CDK inhibitors

CDK/Cyclin Reference Compounds

Every CDK Profiler project includes one reference inhibitor with known activity against different members of the CDK/Cyclin kinase family. Customers can select a reference inhibitor according to their preferences from the eight clinical-stage or approved inhibitors (see table 2).

Example of application

Figure 1 shows a comparison of the profiles of two CDK4/CDK6 inhibitors, Palbociclib (yellow) and Abemaciclib (blue). In addition to differences in the potency against various CDKs, both inhibitors display different potencies against CDK6/type D cyclin complexes.

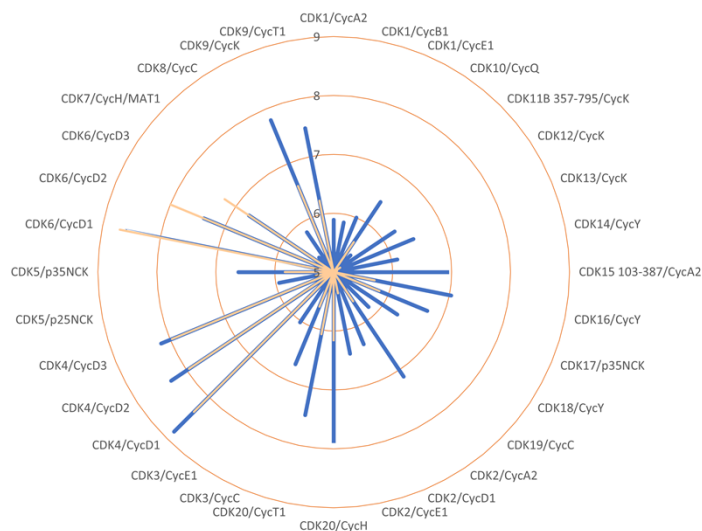


Figure 1: CDK/Cyclin profiles (pIC50) of Palbociclib (yellow) and Abemaciclib (blue)