

## ➤ The Service in Brief

The CDK-IC50 Profiler standard service package comprises biochemical activity testing of 4 test compounds against a panel of 28 CDK/Cyclin complexes formed from 16 CDKs and 15 cyclins. In addition each project includes testing of one reference inhibitor freely selectable out of a collection of eight known CDK inhibitors (see figure 2).

## ➤ Panel of CDK/Cylins

- **No. of complexes:** 28 (see figure 1)
- **species** human
- **Assay technology** ProQinase <sup>33</sup>P PanQinase activity assay. IC50 values will be calculated from percent inhibition values of 10 concentrations tested in semi-log steps
- **Positive control:** One known inhibitor of CDK/Cyclin-complexes (can be selected from a panel of eight inhibitors (see figure 2))
- **Results type** Report including IC50 values against 28 CDK-Cyclin complexes

Complex	Complex
CDK1/CycA2	CDK7/CycH/MAT1
CDK1/CycB1	CDK8/CycC
CDK1/CycE1	CDK9/CycK
CDK2/CycA2	CDK9/CycT1
CDK2/CycD1	CDK12 wt/CycK
CDK2/CycE1	CDK13/CycK
CDK3/CycC	CDK16/CycY
CDK3/CycE1	CDK17/p35NCK
CDK4/CycD1	CDK18/CycY
CDK4/CycD2	CDK19/CycC
CDK4/CycD3	CDK20/CycH
CDK5/p25NCK	CDK20/CycT1
CDK5/p35NCK	
CDK6/CycD1	
CDK6/CycD2	
CDK6/CycD3	

Figure 1: Available Panel of CDK/Cyclins

## ➤ CDK/Cyclin Reference Compounds

In each CDK/Cyclin-IC50-Profiler project ProQinase will include one reference kinase inhibitor with known activity against different members of CDK/Cyclin kinase family. Customer can select the reference inhibitor according to his own preferences out of a list of eight clinical stage or approved inhibitors (see figure 2).

Name	Synonyms	Primary CDK-Target
Abemaciclib	LY2835219	CDK4/6
Alvociclib	Flavopiridole	CDK9
CCT251545	HY-12681	CDK8
Dinaciclib	SCH727625	CDK1/5
Palbociclib	PD0332991	cdk4/6
Ponatinib	AP24534	CDK8, CDK19
Ribociclib	LEE 011	cdk4/6
Seliciclib	Roscovitine, CYC202	CDK2/7/9

Figure 2: Reference kinase inhibitors

## ➤ Example of application

In an internal evaluation project, eight known CDK inhibitors have been tested in the CDK/Cyclin-IC50 Profiler. Figure 3 shows a comparison of the profiles of two CDK4/CDK6 inhibitors Palbociclib (yellow) and Abemaciclib (blue). In addition to differences in the potency against different CDKs, a marked difference of both compounds against different CDK6/Cyclin complexes can be observed.

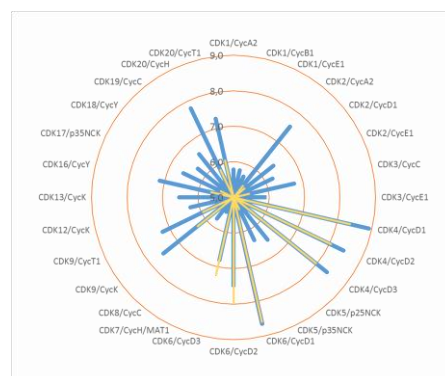


Figure 3: CDK/Cyclin Profile of Palbociclib and Abemaciclib (pIC50)

**Biochemical Assay Service**