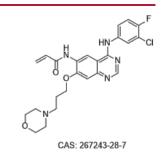


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Data S	heet
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Product Name	:	Canertinib
Cat. No.	:	PC-23843
CAS No.	:	267243-28-7
Molecular Formula	:	C <sub>24</sub> H <sub>25</sub> CIFN <sub>5</sub> O <sub>3</sub>
Molecular Weight	:	485.94
Target	:	EGFR
Solubility	:	10 mM in DMSO



## **Biological Activity**

Canertinib (CI-1033, PD183805) is a potent, irreversible, orally available pan-ErbB inhibitor for EGFR and ErbB2 with IC50 of 7.5 nM and 9.0 nM in autophosphorylation assay.

Canertinib displays no activity to PDGFR, FGFR, InsR, PKC, and CDK1/2/4.

Canertinib suppresses heregulin-stimulated tyrosine phosphorylation of erbB2, erbB3 and erbB4 with IC50 of 5, 14 and 10 nM, respectively, also inhibits expression of pp62c-fos in response to heregulin. Canertinib shows high in vivo activity against A431 xenografts.

## References

Smaill JB, et al. J Med Chem. 2000 Apr 6;43(7):1380-97. Erlichman C, et al. Cancer Res. 2001 Jan 15;61(2):739-48. Nyati MK, et al. Clin Cancer Res. 2004 Jan 15;10(2):691-700.

> Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com