

# Canertinib (dihydrochloride)

Catalog No: tcsc0263



## Available Sizes

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg

**Size:** 200mg



## Specifications

**CAS No:**

289499-45-2

**Formula:**

$C_{24}H_{27}Cl_3FN_5O_3$

**Pathway:**

JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

**Target:**

EGFR;EGFR

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Alternative Names:**

Canertinib;CI-1033 dihydrochloride;PD-183805 dihydrochloride

**Observed Molecular Weight:**

558.86

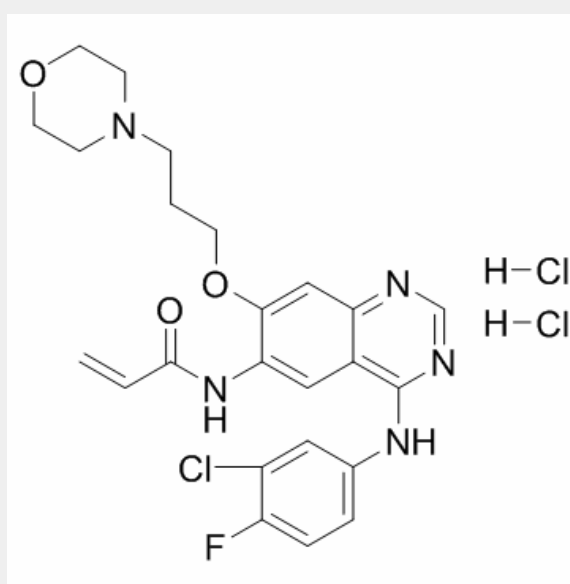
## Product Description

Canertinib dihydrochloride (CI-1033;PD-183805) is a potent and irreversible **EGFR** inhibitor; inhibits cellular **EGFR** and **ErbB2** autophosphorylation with **IC<sub>50</sub>**s of 7.4 and 9 nM.

IC50 & Target: IC50: 7.4 nM (EGFR), 9 nM (ErbB2)<sup>[1]</sup>

**In Vitro:** Canertinib significantly inhibits growth of cultured melanoma cells, RaH3 and RaH5, in a dose-dependent manner. IC<sub>50</sub> is approximately 0.8 μM and by 5μM both cell lines are completely growth-arrested within 72 h of treatment. Incubation of exponentially growing RaH3 and RaH5 with 1 μM canertinib accumulated the cells in the G1-phase of the cell cycle within 24 h of treatment without induction of apoptosis. 1 μM canertinib inhibits ErbB1-3 receptor phosphorylation with a concomitant decrease of Akt-, Erk1/2- and Stat3 activity in both cell lines<sup>[2]</sup>.

**In Vivo:** Canertinib shows superior *in vivo* antitumor activity, giving growth delays in A431 xenografts exceeding 50 days following oral administration<sup>[1]</sup>. The growth of human malignant melanoma xenografts, RaH3 and RaH5, in nude mice is significantly inhibited by i.p. injections of 40 mg/kg/day canertinib (Fig. 4). The anti-proliferative effect on melanoma xenografts is visible already within 4 days of treatment and further increased throughout the treatment period as observed through the differences in tumor volumes, reaching statistical significance within 18 days of treatment<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!