Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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Product Description

Canertinib dihydrochloride (CI-1033;PD-183805) is a potent and irreversible **EGFR** inhibitor; inhibits cellular **EGFR** and **ErbB2** autophosphorylation with **IC_{50}**s of 7.4 and 9 nM.

IC50 & Target: IC50: 7.4 nM (EGFR), 9 nM (ErbB2)[1]

In Vitro: Canertinib significantly inhibits growth of cultured melanoma cells, RaH3 and RaH5, in a dose-dependent manner. IC_{50} 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[2].

In Vivo: Canertinib shows superior *in vivo* antitumor activity, giving growth delays in A431 xenografts exceeding 50 days following oral administration[1]. 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[2].