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

Rociletinib

Catalog No: tcsc1631

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Size: 5g

Size: 10g

Specifications

CAS No:
1374640-70-6

Formula:
$C_{27}H_{28}F_3N_7O_3$

Pathway:
JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

Target:
EGFR;EGFR

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Purity / Grade:
>98%

Solubility:
DMSO : ≥ 43 mg/mL (77.40 mM)

Alternative Names:
CO-1686;AVL-301;CNX-419

Observed Molecular Weight:
555.55

Product Description

Rociletinib (CO-1686) is an orally delivered kinase inhibitor that specifically targets the mutant forms of **EGFR** including T790M, and the $\mathbf{K_i}$ values for EGFRL858R/T790M and EGFRWT are 21.5 nM and 303.3 nM, respectively.

IC50 & Target: Ki: 21.5 nM (EGFRL858R/T790M), 303.3 nM (EGFR)

In Vitro: Rociletinib (CO-1686) (0.1 μM) inhibits EGFR potently and irreversibly, and inhibits more than 50% of 23 targets. Rociletinib potently and selectively inhibits growth of NSCLC cells expressing mutant EGFR and induces apoptosis. Rociletinib resistant NSCLC cell lines are sensitive to AKT inhibition[1].

In Vivo: Rociletinib (CO-1686) (100 mg/kg/day, p.o.) demonstrates anti-tumor activity in NSCLC EGFR mutant xenograft models. Rociletinib (CO-1686) (50 mg/kg bid, p.o.) demonstrates anti-tumor activity in human EGFR-L858R and EGFR-L858R-T790M expressing transgenic mice[1].