

Product Datasheet

CO1686

Catalog No. **BP-02467** · CAS **1374640-70-6** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-10**

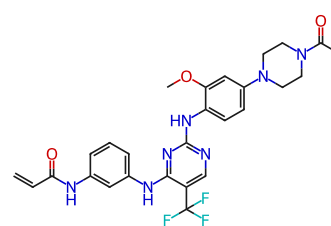
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Target EGFR	Research area Cancer
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Molecular formula **C₂₇H₂₈F₃N₇O₃**

Molecular weight **555.5515**

STRUCTURE



DESCRIPTION

Rociletinib (CO-1686) is an orally delivered kinase inhibitor that specifically targets the mutant forms of EGFR including T790M, and the Ki values for EGFR-L858R/T790M and EGFRWT are 21.5 nM and 303.3 nM, respectively.

BIOLOGICAL ACTIVITY

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.

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.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Walter AO, et al. Discovery of a mutant-selective covalent inhibitor of EGFR that overcomes T790M-mediated resistance in NSCLC. Cancer Discov. 2013 Sep 25.

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Lot CoA and SDS are separate documents.