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

CH5183284

Catalog No: tcsc5504

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Specifications

CAS No:
1265229-25-1

Formula:
$C_{20}H_{16}N_6O$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
FGFR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 31 mg/mL (86.99 mM)

Alternative Names:
Debio 1347

Observed Molecular Weight:
356.38

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Product Description

CH5183284 is an orally available and selective **FGFR** inhibitor with **IC_{50}**s of 9.3, 7.6, and 22 nM for FGFR1, FGFR2, FGFR3, and FGFR4, respectively.

IC50 & Target: IC50: 9.3 nM (FGFR1), 7.6 nM (FGFR2), 22 nM (FGFR3), 290 nM (FGFR4)[1]

In Vitro: CH5183284 is well balanced in cellular antiproliferative activity against SNU-16 and stability in human liver microsome. The selectivity of 8 to inhibit FGFR over KDR is suggested to be caused by the difference in the interaction with M535 in FGFR1 and L889 in KDR[1]. The IC_{50} of CH5183284/Debio 1347 is 29 nM for FGF-dependent proliferation and 780 nM for VEGF-dependent proliferation [2].

In Vivo: CH5183284 treatment shows a dose-dependent tumor regression (tumor growth inhibition (TGI)=106% at 30 mg/kg and 147% at 100 mg/kg) without apparent body weight loss. CH5183284 treatment also shows significant *in vivo* efficacy in xenograft mice models with FGFR genetic alterations, such as KG1 (leukemia, FGFR1OP-FGFR1 fusion), MFE280 (endometrial cancer, FGFR2 S252W mutation), UM-UC-14 (bladder cancer, FGFR3 S249C mutation), and RT112/84 (bladder cancer, FGFR3-TACC3 fusion)[1].