

# 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 :  $\geq 31$  mg/mL (86.99 mM)

**Alternative Names:**

Debio 1347

**Observed Molecular Weight:**

356.38

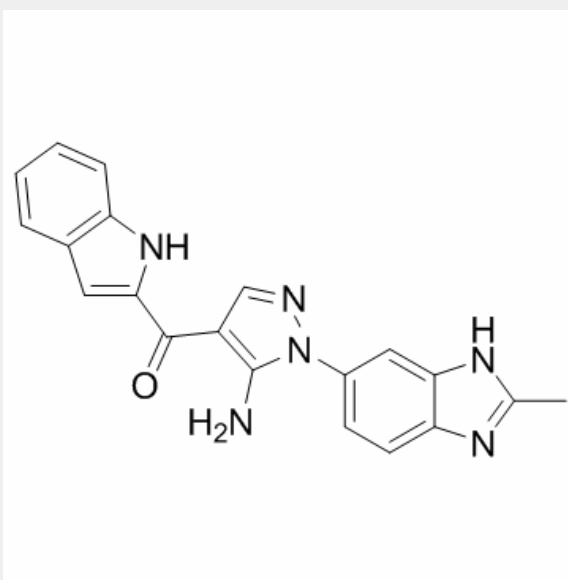
## Product Description

CH5183284 is an orally available and selective **FGFR** inhibitor with **IC<sub>50</sub>**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)<sup>[1]</sup>

**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<sup>[1]</sup>. The IC<sub>50</sub> of CH5183284/Debio 1347 is 29 nM for FGF-dependent proliferation and 780 nM for VEGF-dependent proliferation<sup>[2]</sup>.

**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)<sup>[1]</sup>.



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