

# Infigratinib

Catalog No: tcsc0586



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg

**Size:** 200mg

**Size:** 500mg

**Size:** 1g

**Size:** 2g



## Specifications

**CAS No:**

872511-34-7

**Formula:**

$C_{26}H_{31}Cl_2N_7O_3$

**Pathway:**

Protein Tyrosine Kinase/RTK

**Target:**

FGFR

**Purity / Grade:**

>98%

**Solubility:**

DMSO : 27 mg/mL (48.17 mM; Need ultrasonic and warming)

#### Alternative Names:

BGJ-398;NVP-BGJ398

#### Observed Molecular Weight:

560.48

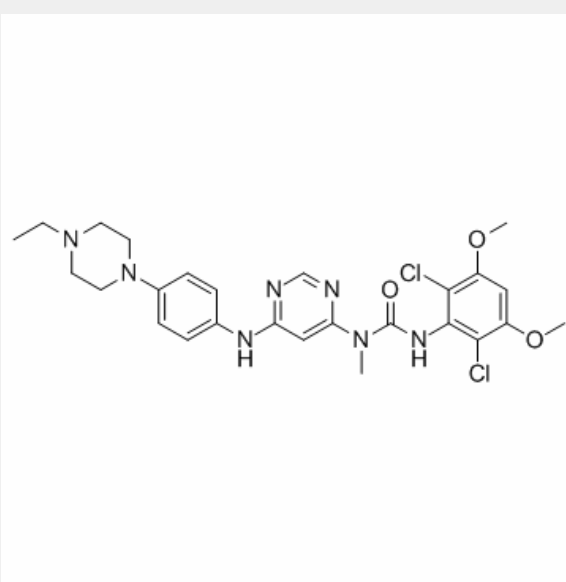
### Product Description

Infigratinib (BGJ-398) is a potent inhibitor of the **FGFR** family with **IC<sub>50</sub>**s of 0.9 nM, 1.4 nM, 1 nM, and 60 nM for **FGFR1**, **FGFR2**, **FGFR3**, and **FGFR4**, respectively.

IC50 & Target: IC50: 0.9 nM (FGFR1), 1.4 nM (FGFR2), 1 nM (FGFR3), 60 nM (FGFR4)<sup>[1]</sup>

**In Vitro:** Infigratinib (BGJ-398) inhibits FGFR1, FGFR2, and FGFR3 with  $IC_{50} \sim 1$  nM, FGFR3<sup>K650E</sup> with  $IC_{50} = 4.9$  nM, and FGFR4 with  $IC_{50} = 60$  nM.  $IC_{50}$  values for all other kinases are in the  $\mu$ M range (FYN, LCK, YES, and ABL,  $IC_{50} = 1.9, 2.5, 1.1,$  and  $2.3 \mu$ M, respectively) except for VEGFR2, KIT, and LYN, which are inhibited at submicromolar concentrations ( $IC_{50} = 0.18, 0.75,$  and  $0.3 \mu$ M, respectively). Infigratinib (BGJ-398) inhibits the proliferation of the FGFR1-, FGFR2-, and FGFR3-dependent BaF3 cells with  $IC_{50}$  values which are in the low nanomolar range and comparable to those observed for the inhibition of the receptors kinase activity in the enzymatic assay. For the remaining cells, all  $IC_{50}$  values are greater than  $1.5 \mu$ M except for VEGFR2 ( $IC_{50} = 1449$  and  $938$  nM), for which there is at least a 400-fold selectivity versus FGFR1, FGFR2, and FGFR3<sup>[1]</sup>. Infigratinib (BGJ-398) (ranging between 1 nM and  $10 \mu$ M) is potent at inhibiting cell growth of *FGFR2*-mutant endometrial cancer cells<sup>[2]</sup>.

**In Vivo:** Infigratinib (BGJ-398) is administered to athymic nude mice implanted subcutaneously with RT112/luc1 tumors: either as a 5 mg/kg intravenous bolus in NMP/PEG200 (1:9, v/v) or orally by gavage as a suspension in PEG300/D5W (2:1, v/v) at a 20 mg/kg dose. The relevant pharmacokinetic (PK) parameters indicate that the oral bioavailability of Infigratinib (BGJ-398) in this study is 32%. After intravenous dosing, Infigratinib (BGJ-398) shows a rapid distribution from the vascular compartment into the peripheral tissues, translating into a high volume of distribution (26 L/kg). The plasma clearance is high at 3.3 L/h/kg (61% of liver blood flow). The ratio of tumor to plasma after oral dosing based on AUC is determined to be  $10^{[1]}$ . Infigratinib (BGJ-398) (30 mg/kg) significantly inhibits the growth of *FGFR2*-mutated endometrial cancer xenograft models<sup>[2]</sup>.



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