Product Datasheet

NVP-BGJ398

Catalog No. **BP-02094** · CAS **872511-34-7** · Form **free base** · Physical state **other** · Color **white to yellow** · Version **1.0** · Revision **2026-09-09**

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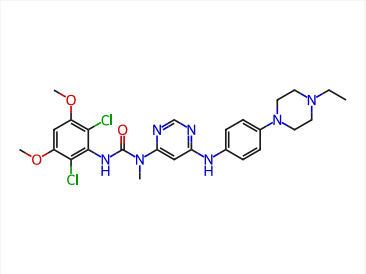
Target	Research area
FGFR; Apoptosis	**Cancer**

Molecular formula	$C_{26}H_{31}Cl_2N_7O_3$
Molecular weight	**560.4750**

STRUCTURE



DESCRIPTION

Infigratinib (BGJ-398; NVP-BGJ398) is a potent inhibitor of the FGFR family with IC50s of 0.9 nM, 1.4 nM, 1 nM, and 60 nM for FGFR1, FGFR2, FGFR3, and FGFR4, respectively.

BIOLOGICAL ACTIVITY

In Vitro

Infigratinib (BGJ-398) inhibits FGFR1, FGFR2, and FGFR3 with IC50=~1 nM, FGFR3K650E with IC50=4.9 nM, and FGFR4 with IC50=60 nM. IC50 values for all other kinases are in the μM range (FYN, LCK, YES, and ABL, IC50=1.9, 2.5, 1.1, and 2.3 μM, respectively) except for VEGFR2, KIT, and LYN, which are inhibited at submicromolar concentrations (IC50=0.18, 0.75, and 0.3 μM, respectively).

Infigratinib (BGJ-398) inhibits the proliferation of the FGFR1-, FGFR2-, and FGFR3-dependent BaF3 cells with IC50 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 IC50 values are greater than 1.5 μM except for VEGFR2 (IC50 1449 and 938 nM), for which there is at least a 400-fold selectivity versus FGFR1, FGFR2, and FGFR3.

Infigratinib (BGJ-398) (ranging between 1 nM and 10 μM) is potent at inhibiting cell growth of FGFR2-mutant endometrial cancer cells.

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.

Infigratinib (BGJ-398) (30 mg/kg) significantly inhibits the growth of FGFR2-mutated endometrial cancer xenograft models.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Guagnano V, et al. Discovery of 3-(2,6-Dichloro-3,5-dimethoxy-phenyl)-1-{6-[4-(4-ethyl-piperazin-1-yl)-phenylamino]-pyrimidin-4-yl}-1-me thyl-urea (NVP-BGJ398), A Potent and Selective Inhibitor of the Fibroblast Growth Factor Receptor Family of Receptor T

[2]. Konecny GE, et al. Activity of the fibroblast growth factor receptor inhibitors dovitinib (TKI258) and NVP-BGJ398 in human endometrial cancer cells. Mol Cancer Ther. 2013 May;12(5):632-42.

[3]. Liu H, et al. Identifying and Targeting Sporadic Oncogenic Genetic Aberrations in Mouse Models of Triple Negative Breast Cancer. Cancer Discov. 2018 Mar;8(3):354-369.