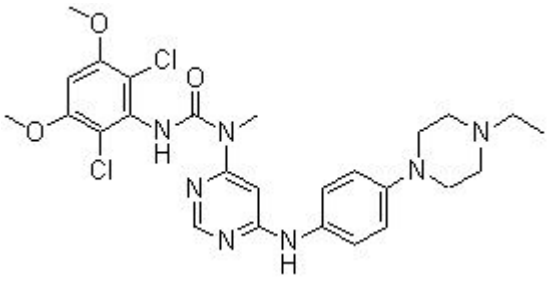
Product Introduction

BGJ398 (NVP-BGJ398)

BGJ398 (NVP-BGJ398) is a potent and selective **FGFR** inhibitor for FGFR1/2/3 with **IC50** of 0.9 nM/1.4 nM/1 nM, >40-fold selective for FGFR versus FGFR4 and VEGFR2, and little activity to Abl, Fyn, Kit, Lck, Lyn and Yes. Phase 2.

Technical Data:

Molecular Weight (MW):	560.48	
Formula:	$C_{26}H_{31}Cl_2N_7O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 1 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	872511-34-7	

Biological Activity

BGJ398 also prevents VEGFR2 with low potency. The IC50 of BGJ398 for inhibiting VEGFR2 is 0.18 μM. BGJ398 suppresses other kinases including ABL, FYN, KIT, LCK, LYN and YES with IC50 of 2.3 μM, 1.9 μM, 0.75 μM, 2.5 μM, 0.3 μM and 1.1 μM, respectively. At the cellular level, BGJ398 inhibits the proliferation of the FGFR1-, FGFR2-Q, and FGFR3-dependent BaF3 cells with IC50 of 2.9 μM, 2.0 μM and 2 μM, respectively. BGJ398 interferes with autophosphorylation on specific tyrosine residues including FGFR-WT,

FGFR2-WT, FGFR3-K650E, FGFR3-S249C and FGFR4-WT with IC50 of 4.6 nM, 4.9 nM, 5 nM, 5 nM and 168 nM, respectively. BGJ398 suppresses proliferation of the cancer cells with wild-type (WT) FGFR3 overexpression such as RT112, RT4, SW780 and JMSU1 with IC50 of 5 nM, 30 nM, 32 nM and 15 nM, respectively. [1]

In this orthotopic xenograft bladder cancer model, BGJ398 induces tumor growth inhibition and stasis after oral administration for 12 consecutive days at the doses of 10 and 30 mg/kg, respectively. Interestingly, the animals that received BGJ398 exhibits either no body weight loss (10 mg/kg) or 10% body weight gain (30 mg/kg), a further indication of efficacy. RT112 tumor-bearing and female Rowett rats receive a single oral administration of the monophosphate salt of BGJ398 at the doses of 4.25 and 8.51 mg/kg. BGJ398 significantly decreases the levels of pFRS2 and pMAPK in a dose-dependent manner. BGJ398 inhibits significantly bFGF-stimulated angiogenesis in a dose-dependent manner. However, BGJ398 does not impair VEGF-induced blood vessel formation [1]

References

[1] Guagnano V, et al. J Med Chem. 2011, 54(20), 7066-7083.

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