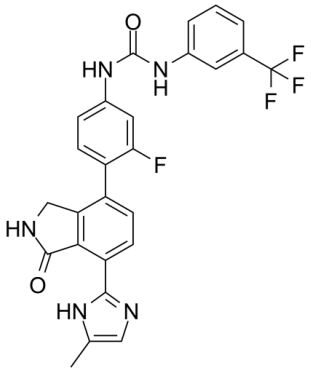
Data Sheet

Product Name:	CG-806
Cat. No.:	CS-0058852
CAS No.:	1370466-81-1
Molecular Formula:	C26H19F4N5O2
Molecular Weight:	509.45
Target:	Btk; FLT3
Pathway:	Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 7.2 mg/mL (14.13 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

CG-806 is an orally active, potent and non-covalent pan-**FLT3**/pan-**BTK** inhibitor with an **IC_{50}** of 0.08 μM for **FLT3**[1][2]. CG-806 has an **IC_{50}** of 11 nM against **FLT3** wild type (WT)-transfected Ba/F3 cells[3]. IC50 & Target: FLT3/BTK[1]. **In Vitro:** In FLT3-ITD AML cells, CG-806 induces apoptosis through inhibition of FLT3 signaling (decreases phospho-FLT3, -STAT5 and -ERK) and promotion of G0/G1 cell cycle arrest. In FLT3-WT AML cell lines, or Ba/F3 cells transfected with FLT3-WT, D835Y, ITD+D835Y, or ITD+F691L, CG-806 markedly decreases phosphorylation of BTK, aurora kinases (AURK) and H3S10, resulting in G2/M arrest or polyploidy, and apoptosis with less or no effect on FLT3-WT activity. CG-806 decreases BTK phosphorylation in all malignant B cell lines tested and inhibits cell proliferation and colony formation.CG-806 equivalently inhibits BTK-WT and BTK-C481S in HEK293 transfected cells[3]. **In Vivo:** CG-806 (0-120 mg/kg; oral administration; for 28 days; CD-1 mice) treatment suppresses leukemia growth at all doses tested throughout the 28-day period of dosing, and has no adverse CG-806-related effects on body weight, ophthalmic, respiratory or neurological examinations, clinical pathology (coagulation, clinical chemistry, or urinalysis), organ weight or macroscopic evaluations in the subcutaneous MV4-11 xenograft model[1].

References:

[1]. Hongying Zhang, et al. CG-806, PRECLINICAL IN VIVO EFFICACY AND SAFETY PROFILE AS A PAN-FLT3/PAN-BTK INHIBITOR. EHA. Jun 14, 2019; 265993; PF203.

[2]. Hongying Zhang, et al. Abstract 1323: CG-806, a pan-FLT3 / pan-BTK inhibitor, demonstrates superior potency against cells from IDH-1 mutant and other non-favorable risk groups of AML patients. Cancer Research. July 2019. 79 (13): Supplement.

[3]. Hongying Zhang, et al. Abstract 794: CG'806, a first-in-class pan-FLT3/pan-BTK inhibitor, targets multiple pathways to kill diverse subtypes of acute myeloid leukemia and B-cell malignancy in vitro. July 2018. Cancer Research. 78 (13): Supplement.

CAIndexNames:

Urea, N-[4-[2,3-dihydro-7-(5-methyl-1H-imidazol-2-yl)-1-oxo-1H-isoindol-4-yl]-3-fluorophenyl]-N'-[3-(trifluoromethyl)phenyl]-

SMILES:

O=C(NC1=CC=CC(C(F)(F)F)=C1)NC2=CC=C(C3=CC=C(C4=NC=C(C)N4)C5=C3CNC5=O)C(F)=C2

Caution: Product has not been fully validated for medical applications. For research use only.

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