G5-7

Cat. No.: HY-115452

CAS No.: 939681-36-4

Molecular Formula: $C_{22}H_{19}F_2NO_3$

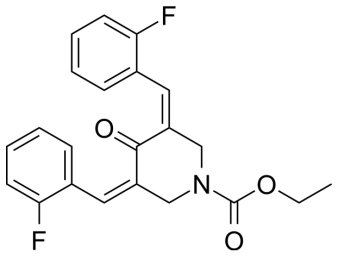
Molecular Weight: 383.39

Target: JAK; Apoptosis

Pathway: Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt; Apoptosis

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (217.35 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6083 mL	13.0415 mL	26.0831 mL
	5 mM	0.5217 mL	2.6083 mL	5.2166 mL
	10 mM	0.2608 mL	1.3042 mL	2.6083 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

G5-7, an orally active and allosteric JAK2 inhibitor, selectively inhibits JAK2 mediated phosphorylation and activation of EGFR (Tyr^{1068}) and STAT3 by binding to JAK2. G5-7 induces cell cycle arrest, apoptosis and possesses antiangiogenic effect. G5-7 has the potential for glioma study[1].

IC_{50} & Target

JAK2

In Vitro

G5-7 (0-5 μM) inhibits EGFR tyrosine phosphorylation and downstream mTOR signaling and arrests the cell cycle at G2 phase [1].

G5-7 does not directly inhibit EGFR activation[1].

G5-7 (0-10 μM) comparably increases the abundance of markers (cleved-PARP and caspase 3) of apoptosis in parental LN229 cells and U87MG/EGFRvIII cells[1].

G5-7 interacts with full-length JAK2[1].

G5-7 significantly inhibits EGFR Tyr1068 phosphorylation but had no effect on EGFR Tyr1045 phosphorylation[1].

G5-7 downregulates the downstream signaling of JAK by mTOR[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1].

Cell Line:	U87MG/PTEN cells.
Concentration:	0-5 μM.
Incubation Time:	6 hours.
Result:	Blocked EGFR phosphorylation and cell cycle at G2 phase to inhibit cell proliferation.

In Vivo

G5-7 (10 and 50 mg/kg, oral gavege) decreases VEGF secretion and exerts a potent antiangiogenic effect[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Cells (4×10^6) in 100 μl of serum-free DMEM were inoculated subcutaneously into 5- to 6-week-old female nude mice[1].
Dosage:	10 and 50 mg/kg.
Administration:	Oral gavage.
Result:	Suppresses angiogenesis in tumors.

REFERENCES

[1]. Kunyan He, et al. Blockade of glioma proliferation through allosteric inhibition of JAK2. Sci Signal. 2013 Jul 9;6(283):ra55.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA