

Data Sheet

Cat. No.: CS-0086991 CAS No.: 939681-36-4 Molecular Formula: C ₂₂ H ₁₉ F ₂ NO ₃
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Molecular Formula: C ₂₂ H ₁₉ F ₂ NO ₃
Molecular Weight: 383.39
Target: Apoptosis; JAK
Pathway: Apoptosis; Epigenetics; JAK/STAT Signaling; Stem Cell/Wnt
Solubility: DMSO : 83.33 mg/mL (217.35 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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]. **In Vitro:** G5-7 (0-5 μ M) 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].

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

References:

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

CAIndexNames:

1-Piperidinecarboxylic acid, 3,5-bis[(2-fluorophenyl)methylene]-4-oxo-, ethyl ester

SMILES:

O=C(N1C/C(C(/C(C1)=C\C2=CC=CC=C2F)=O)=C/C3=CC=CC=C3F)OCC

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

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