Inhibitors, Agonists, Screening Libraries

Data Sheet

Product Name: SC144
Cat. No.: HY-15614
CAS No.: 895158-95-9
Molecular Formula: C_{16}H_{11}FN_{6}O
Molecular Weight: 322.30
Target: gp130 inhibitor
Pathway: Interleukin Related
Solubility: DMSO: 19.5 mg/mL

BIOLOGICAL ACTIVITY:
SC144 is the first-in-class orally active small-molecule gp130 inhibitor; inhibits cell growth in a panel of human ovarian cancer cell lines with IC50 values in a submicromolar range.

IC50 value: < 1 uM (Cell assay) [1]
Target: gp130 inhibitor
SC144 shows greater potency in human ovarian cancer cell lines than in normal epithelial cells. SC144 binds gp130, induces gp130 phosphorylation (S782) and deglycosylation, abrogates Stat3 phosphorylation and nuclear translocation, and further inhibits the expression of downstream target genes. In addition, SC144 shows potent inhibition of gp130 ligand-triggered signaling. Oral administration of SC144 delays tumor growth in a mouse xenograft model of human ovarian cancer without significant toxicity to normal tissues.

PROTOCOL (Extracted from published papers and Only for reference)
Cell assay [1] Cells were seeded in 96-well microtiter plates, allowed to attach 24 hours before siRNA transfections or the addition of corresponding compounds to the culture medium. After 72 hours, cells were incubated with 0.3 mg/mL MTT (Amresco) for an additional 3 hours at 37°C. After removal of the supernatant, DMSO was added to the wells and the absorbance was read at 570 nm. All assays were conducted in triplicate. Percentage of cell growth inhibition was expressed as: (1-A/C) × 100% (A and C were the absorbance values from experimental and control cells, respectively). Inhibitory concentration 50% (IC50) values were determined for each drug from a plot of log (drug concentration) versus percentage of cell growth inhibition. SDs were calculated on the basis of the IC50 values obtained from at least 3 independent experiments. Animal administration [1] To prepare SC144 solution for i.p. administration, 200 mg/mL DMSO stock solution of SC144 was diluted to 20 mg/mL in propylene glycol and further added to 0.9% NaCl with 40% propylene glycol. For oral administration, SC144 (100 mg/kg) was orally delivered every day to the treatment group. To prepare SC144 solution for oral administration, 300 mg/mL DMSO stock solution of SC144 was mixed with sesame oil. Mouse body weight and tumor volume were measured twice a week.

References:

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

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