SSR128129E

Cat. No.: HY-15599

CAS No.: 848318-25-2

Molecular Formula: $C_{18}H_{15}N_2NaO_4$

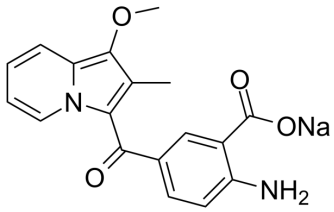
Molecular Weight: 346.31

Target: FGFR

Pathway: Protein Tyrosine Kinase/RTK

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 54 mg/mL (155.93 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8876 mL	14.4379 mL	28.8759 mL
5 mM	0.5775 mL	2.8876 mL	5.7752 mL
10 mM	0.2888 mL	1.4438 mL	2.8876 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.22 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.22 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SSR128129E is an orally available and allosteric FGFR inhibitor with an IC_{50} of 1.9 μM for FGFR1.

IC_{50} & Target

FGFR1	FGFR2	FGFR3	FGFR4
1.9 μM (IC_{50})			

In Vitro

SSR128129E inhibits FGF2-induced EC proliferation with an IC_{50} of 31±1.6 nM, migration with an IC_{50} of 15.2±4.5 nM, and lamellipodia formation in a dose dependent manner. SSR128129E inhibits responses mediated by FGFR1-4. For instance, SSR128129E blocks EC migration in response to FGF1, a ligand of FGFR1 and FGFR4, and capillary tube formation in response to FGF19, a ligand of FGFR4. Proliferation and migration of the murine pancreatic Panc02 tumor cell line in response to FGF7 are also blocked by SSR128129E, showing that SSR128129E inhibits FGFR subtypes of other species as well. SSR128129E

	blocks different FGFR subtypes in various cell lines with nanomolar potency[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Oral delivery of SSR128129E (30 mg/kg/day, from day 3) inhibits growth of orthotopic Panc02 tumors by 44% and delays growth of Lewis lung carcinoma. oral SSR128129E (30 mg/kg/day, from day 5) reduces tumor size and weight by 53% and 40%, respectively. SSR128129E inhibits the growth of subcutaneous CT26 colon tumors by 34% and of the multidrug resistant MCF7/ADR breast cancer xenograft model by 40%. SSR128129E reduces tumor invasiveness and metastasis of Panc02 tumor cells to peritoneal lymph nodes[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	HUVECs, freshly isolated from different donors and used between passage two and five, are cultured in M199 medium supplemented with 20% fetal bovine serum (FBS), 2 mM L-glutamine, 30 mg/L endothelial cell growth factor supplements (EGCS), 10 units/mL heparin, and penicillin/streptomycin. For proliferation, ECs are starved overnight in growth factor-depleted M199 medium containing 2% FBS and stimulated for 24 hr with 10 ng/mL bFGF with SSR128129E or DMSO. Proliferation is assessed the last 2 hr by incubation with 1 μCi/mL [^{3}H]thymidine[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: Anesthetized BALB/c mice are inoculated with murine 4T1 mammary carcinoma cells. After randomization of tumor bearing mice for tumor size on day 5 after tumor cell inoculation, SSR128129E or vehicle (0.6 % methylcellulose) is administered daily via oral gavage at a dose of 30 mg/kg until the end of the experiment at day 21. Tumor volume is measured. At the end of the experiment, mice are sacrificed by pentobarbital injection, and lungs and tumors are dissected. Visible metastatic nodules on the lungs are counted by using a dissecting microscope[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Cell. 2021 Jan 11;39(1):68-82.e9.

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REFERENCES

[1]. Bono F, et al. Inhibition of tumor angiogenesis and growth by a small-molecule multi-FGF receptor blocker with allosteric properties. Cancer Cell. 2013 Apr 15;23(4):477-88.

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