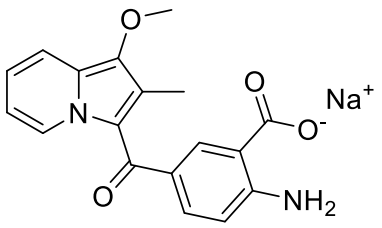
Product data sheet

MedKoo Cat#: 406387 Name: SSR128129E CAS#: 848318-25-2 (sodium) Chemical Formula: $C_{18}H_{16}N_2O_4$ Exact Mass: 324.1110 Molecular Weight: 324.33	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

SSR128129E (SSR) is an potent FGFR inhibitor, which inhibits fibroblast growth factor receptor (FGFR) signaling by binding to the extracellular FGFR domain without affecting orthosteric FGF binding. SSR128129E exhibits allosteric properties, including probe dependence, signaling bias, and ceiling effects. Inhibition by SSR128129E is highly conserved throughout the animal kingdom. Oral delivery of SSR128129E inhibits arthritis and tumors that are relatively refractory to anti-vascular endothelial growth factor receptor-2 antibodies. Thus, orally-active extracellularly acting small-molecule modulators of RTKs with allosteric properties can be developed and may offer opportunities to improve anticancer treatment.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	51.0	157.25
DMF	30.0	92.50
Ethanol	1.0	3.08
Water	1.0	3.08
PBS (pH 7.2)	1.0	3.08

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	3.08 mL	15.42 mL	30.83 mL
5 mM	0.62 mL	3.08 mL	6.17 mL
10 mM	0.31 mL	1.54 mL	3.08 mL
50 mM	0.06 mL	0.31 mL	0.62 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Ader I, Delmas C, Skuli N, Bonnet J, Schaeffer P, Bono F, Cohen-Jonathan-Moyal E, Toulas C. Preclinical evidence that SSR128129E--a novel small-molecule multi-fibroblast growth factor receptor blocker--radiosensitises human glioblastoma. Eur J Cancer. 2014 Sep;50(13):2351-9. doi: 10.1016/j.ejca.2014.05.012. Epub 2014 Jun 18. PMID: 24953334.

In vivo study

1. Zhang X, Wen X, Hu G, Zhang Q, Sun Q, Jia Y, Liu Y, Lin H, Li H. The fibroblast growth factor receptor antagonist SSR128129E inhibits fat accumulation via suppressing adipogenesis in mice. Mol Biol Rep. 2022 Sep;49(9):8641-8649. doi: 10.1007/s11033-022-07699-1. Epub 2022 Jun 22. PMID: 35731366.

2. Bono F, De Smet F, Herbert C, De Bock K, Georgiadou M, Fons P, Tjwa M, Alcouffe C, Ny A, Bianciotto M, Jonckx B, Murakami M, Lanahan AA, Michielsen C, Sibrac D, Dol-Gleizes F, Mazzone M, Zacchigna S, Herault JP, Fischer C, Rigon P, Ruiz de Almodovar C, Claes F, Blanc I, Poesen K, Zhang J, Segura I, Gueguen G, Bordes MF, Lambrechts D, Broussy R, van de Wouwer M, Michaux C, Shimada T, Jean I, Blacher S, Noel A, Motte P, Rom E, Rakic JM, Katsuma S, Schaeffer P, Yayon A, Van Schepdael A, Schwalbe H, Gervasio FL, Carmeliet G, Rozensky J, Dewerchin M, Simons M, Christopoulos A, Herbert JM, Carmeliet P. 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. doi: 10.1016/j.ccr.2013.02.019. PMID: 23597562.

7. Bioactivity

Biological target:

SSR128129E is a FGFR inhibitor with an IC50 of 1.9 μM for FGFR1.

In vitro activity

SSR12819E radiosensitised radioresistant U87 and SF763 glioblastoma cells. SSR128129E administration to U87 cells increased the radiation-induced mitotic cell death. It also decreased cell membrane availability of the FGFR-1 mainly expressed in these cells, increased this receptor's ubiquitylation, inhibited radiation-induced RhoB activation and modulated the level of hypoxia inducible factor, HIF-1α, a master regulator of hypoxia, thus suggesting a role of FGFR in the regulation of hypoxia pathways.

Reference: Eur J Cancer. 2014 Sep;50(13):2351-9. https://pubmed.ncbi.nlm.nih.gov/24953334/

In vivo activity

SSR128129E may be a promising drug candidate for the prevention of obesity via suppressing adipogenesis. SSR128129E administration significantly reduced the body weight gain and the fat content of mice. SSR128129E did not increase, but decreased the thermogenic capability of both brown and white fat. However, SSR128129E markedly suppressed adipogenesis of adipose tissues.

Reference: Mol Biol Rep. 2022 Sep;49(9):8641-8649. https://pubmed.ncbi.nlm.nih.gov/35731366/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.