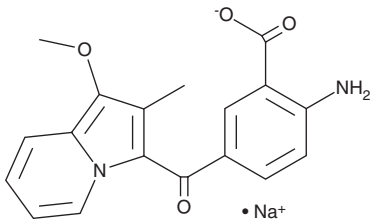
PRODUCT INFORMATION

SSR 128129E

Item No. 22128

CAS Registry No.:	848318-25-2
Formal Name:	2-amino-5-[(1-methoxy-2-methyl-3-indolizinyl) carbonyl]-benzoic acid, monosodium salt
MF:	$C_{18}H_{15}N_2O_4 \bullet Na$
FW:	346.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 219, 238, 406 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SSR 128129E is supplied as a crystalline solid. A stock solution may be made by dissolving the SSR 128129E in the solvent of choice, which should be purged with an inert gas. SSR 128129E is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of SSR 128129E in ethanol is approximately 1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of SSR 128129E can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of SSR 128129E in PBS, pH 7.2, is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

SSR 128129E is a potent inhibitor of the FGF receptor (FGFR; IC_{50} = 1.9 nM).[1] It reduces FGF2-induced endothelial cell proliferation and migration (IC_{50}s = 31 and 15.2 nM, respectively), as well as lamellipodia formation *in vitro*. SSR 128129E also reduces proliferation of PAE cells expressing FGFR1, mPanc02 cells expressing FGFR2, hB9 myeloma cells expressing FGFR3, and HUVECs expressing FGFR4 when used at a concentration of 100 nM. *In vivo*, SSR 128129E (30 mg/kg per day) reduces limb swelling, redness, and deformity and improves performance in an exercise endurance test in a mouse model of arthritis. It reduces tumor growth and metastasis and enhances antitumor activity of the VEGF receptor (VEGFR) antibody αVEGFR2 in a Panc02 mouse orthotopic tumor model. SSR 128129E (50 mg/kg per day) reduces atherosclerotic lesion size in the aortic sinus of $apoE^{-/-}$ mice.[2] It also reduces intimal hyperplasia following jugular vein-to-artery bypass grafting surgery in rats.[3]

References

1. Bono, F., De Smet, F., Herbert, C.A., *et al.* Inhibition of tumor angiogenesis and growth by a small-molecule multi-FGF receptor blocker with allosteric properties. *Cancer Cell* **23(4)**, 477-488 (2013).
2. Dol-Gleizes, F., Delesque-Touchard, N., Marés, A.M., *et al.* A new synthetic FGF receptor antagonist inhibits arteriosclerosis in a mouse vein graft model and atherosclerosis in apolipoprotein E-deficient mice. *PLoS One* **8(11)**, e80027 (2013).
3. Huang, Q.-X., Liang, L.-D., Lan, Z.-C., *et al.* Effects of ssr128,129e on intimal hyperplasia in autogenous vein grafts of rats. *Shiyong Yixue Zazhi* **31(2)**, 188-190 (2015).

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