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FGFR Inhibitor, SSR128129E - CAS 848318-25-2 - Calbiochem FGFR Inhibitor, SSR128129E, CAS 848318-25-2, is a highly potent, allosteric blocker of multi-fibroblast growth factor receptors. Inhibits selective responses mediated by FGFR1-4.

Art. ID SAF-5334340001

Unit EA

Description

An orally bioavailable, highly potent allosteric blocker of multi-fibroblast growth factor receptors (FGFR) that specifically binds to the extracellular domain of the receptor and blocks selective responses mediated by FGFR1-4. Does not compete with FGF for binding to FGFR, but inhibits FGF-induced signaling linked to FGFR internalization. Also, does not show any binding to FGF1 or FGF2. Does not affect the activity of other related receptor tyrosine kinases. Shown to block the FGF2-induced ERK1/2 phosphorylation and prevent translocation of FGFR4 from cell surface to the cytosol, but does not block the phosphorylation of VEGFR2 or MET kinase. Inhibits FGF-1-innduced proliferation of HUVEC (IC50= 100 nM) and hB9-myeloma cells (IC50 = 25 nM) and diminishes FGF-2 induced ERK activation in HEKhFGFR2 WT (IC50 = 28 nM). Also reported to reduce the survival of endothelial cells in a dose-dependent manner (IC50 = 17.7 nM). Suppresses the growth of orthotopic Panc02 and 4T1 xenografts in mice (30 mg/kg/day, p.o) and delays the growth of Lewis lung carcinoma. Also shown to be effective against the multi-drug resistant MCF7/ADR breast cancer xenografts. Synergistically enhances the inhibitory effects of anti-VEGFR2., FGFR Inhibitor, SSR128129E, CAS 848318-25-2, is a highly potent, allosteric blocker of multi-fibroblast growth factor receptors. Inhibits selective responses mediated by FGFR1-4., An orally bioavailable, highly potent allosteric blocker of multi-fibroblast growth factor receptors (FGFR) that specifically binds to the extracellular domain of the receptor and blocks selective responses mediated by FGFR1-4. Does not compete with FGF for binding to FGFR, but inhibits FGF-induced signaling linked to FGFR internalization. Also, does not show any binding to FGF1 or FGF2. Does not affect the activity of other related receptor tyrosine kinases. Shown to block the FGF2-induced ERK1/2 phosphorylation and prevent translocation of FGFR4 from cell surface to the cytosol, but does not block the phosphorylation of VEGFR2 or MET kinase. Inhibits FGF-1-innduced proliferation of HUVEC (IC50= 100 nM) and hB9-myeloma cells (IC50 = 25 nM) and diminishes FGF-2 induced ERK activation in HEKhFGFR2 WT (IC50 = 28 nM). Also reported to reduce the survival of endothelial cells in a dose-dependent manner (IC50 = 17.7 nM). Suppresses the growth of orthotopic Panc02 and 4T1 xenografts in mice (30 mg/kg/day, p.o) and delays the growth of Lewis lung carcinoma. Also shown to be effective against the multi-drug resistant MCF7/ADR breast cancer xenografts. Synergistically enhances the inhibitory effects of anti-VEGFR2.Please note that the molecular weight for this compound is batch-specific due to variable water content. Please refer to the vial label or the certificate of analysis for the batch-specific molecular weight. The molecular weight provided represents the baseline molecular weight without water.

Text/Information	Analyte/Parameter	CAS number	Concentration/Value	Unit	Method	Source
	FGFR Inhibitor, SSR1281 29E	[848318-25-2]				