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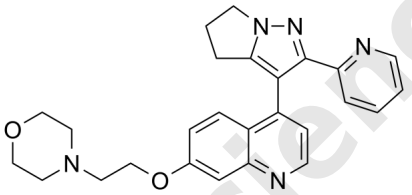
Data Sheet

Product Information

Catalog Number	BP12806
Product Name	LY2109761
Description	LY2109761 is a novel selective TGF-β receptor type I/II (TβRI/II) dual inhibitor with Ki of 38 nM and 300 nM, respectively; shown to negatively affect the phosphorylation of Smad2.
Targets&IC50	TβRI:38 nM (Ki, cell free), TβRII:300 nM (Ki, cell free)
In vitro	Targeting TβRI/II kinase activity with LY2109761 (5 μM) almost completely suppressed both the basal (P = 0.0107) and TGF-β1–stimulated migration of L3.6pl/GLT cells (P < 0.0001), indicating that the migration of L3.6pl/GLT cells in vitro is effectively driven by endogenous TGF-β . LY2109761 (0.001-0.1 μM) up-regulates (P < 0.001) E-cadherin mRNA and protein levels. This increase was localized at the cellular membrane where E-cadherin mediates anchorage that is cell-cell dependent . LY2109761 (10 μM) or radiation (4 Gy) alone reduced neurosphere-forming efficiency in NMA-23 cells. The combination of LY2109761 plus radiation had supra-additive effects in neurosphere formation and limiting dilution assays .
In vivo	LY2109761 (50 mg/kg, p.o.) greatly reduced the tumor volume and increased the median survival duration of the mice to 45.0 days, but the differences were not significant. Only when LY2109761 was combined with gemcitabine were significant effects noted on tumor volume (P < 0.05) and median survival duration, which was increased to 77.5 days (P = 0.0018) . In an orthotopic intracranial model, LY2109761 significantly reduced tumor growth, prolonged survival, and extended the prolongation of survival induced by radiation treatment. Histologic analyses showed that LY2109761 inhibited tumor invasion promoted by radiation, reduced tumor microvessel density, and attenuated mesenchymal transition .

CAS No.	700874-71-1
Chemical Formula	C26H27N5O2
Molecular Weight	441.535
Solubility	DMSO: 20 mg/mL H2O: InsolubleEthanol: Insoluble
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	

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