NAZ2329

Cat. No.: HY-103693

CAS No.: 2809469-05-2

Molecular Formula: $C_{21}H_{18}F_3NO_4S_3$

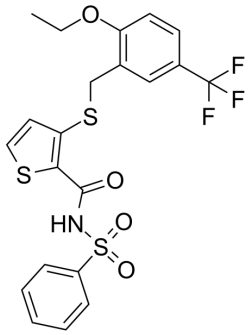
Molecular Weight: 502

Target: Phosphatase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (199.20 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9920 mL	9.9602 mL	19.9203 mL
	5 mM	0.3984 mL	1.9920 mL	3.9841 mL
	10 mM	0.1992 mL	0.9960 mL	1.9920 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 (4.98 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.98 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NAZ2329, the first cell-permeable inhibitor of R5 subfamily of receptor-type protein tyrosine phosphatases (RPTPs), allosterically and preferentially inhibits PTPRZ (IC_{50}=7.5 μM for hPTPRZ1) and PTPRG (IC_{50}=4.8 μM for hPTPRG) over other PTPs. NAZ2329 binds to the active D1 domain and more potently inhibits PTPRZ-D1 fragment (IC_{50} of 1.1 μM) than the whole intracellular (D1 + D2) fragment (IC_{50} of 7.5 μM). NAZ2329 can effectively inhibit tumor growth of the glioblastoma cells and suppress stem cell-like properties[1].

IC_{50} & Target

IC50: 7.5 μM (PTPRZ), 4.8 μM (PTPRG), 35.7 μM (PTPRA), 56.7 μM (PTPRM), 23.7 μM (PTPRS), 35.4 μM (PTPRB), 15.2 μM

	(PTPN6), 14.5 μM (PTPN1)[1]
In Vitro	NAZ2329 (0-25 μM; 48 hours) dose-dependently inhibits cell proliferation and migration in all cell lines (rat glioblastoma cells bearing C6 clone and human U251 glioblastoma cells) [1]. ?NAZ2329 (25 μM; 0-90 min) obviously promotes the phosphorylation level of paxillin at Tyr-118 site, leading to inhibition for PTPR substrate[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Rat glioblastoma cells bearing C6 clone, human U251 glioblastoma cells
Concentration:	0 μM, 6.3 μM, 12.5 μM, 25 μM
Incubation Time:	48 hours
Result:	Exerted inhibition in cell proliferation and migration in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	Rat glioblastoma cells bearing C6 clone
Concentration:	25 μM
Incubation Time:	0 min, 15 min, 30 min, 60 min, 90min
Result:	Promoted the phosphorylation level of paxillin at Tyr-118 site.

In Vivo

NAZ2329 (22.5 mg/kg; intraperitoneal injection; twice per week; 40 days) alone? has a moderate inhibitory effect. However, the combination of Temozolomide and NAZ2329 exerts a significantly increased inhibition of tumor growth compared with the control group, the NAZ2329 monotherapy group and the Temozolomide monotherapy group[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BALB/c- nu/nu mice aged 4 week-old bearing parental or Ptprz-knockdown C6 cells[1]
Dosage:	22.5 mg/kg; Temozolomide (TMZ, 50 mg/kg)
Administration:	Intraperitoneal injection; twice per week; 40 days
Result:	The combination of NAZ2329 and TMZ significantly delayed tumor growth compared to NAZ2329 or TMZ alone.

REFERENCES

[1]. Akihiro Fujikawa, et al. Targeting PTPRZ inhibits stem cell-like properties and tumorigenicity in glioblastoma cells. Sci Rep. 2017 Jul 17;7(1):5609.

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