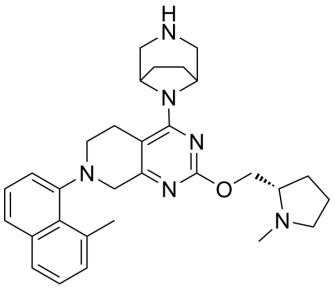
TH-Z827

Cat. No.:	HY-153663
CAS No.:	2847881-81-4
Molecular Formula:	$C_{30}H_{38}N_6O$
Molecular Weight:	498.66
Target:	Ras
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	TH-Z827 is a mutant selective KRAS(G12D) inhibitor with an IC_{50} of 2.4 μM. TH-Z827 does not bind KRAS(WT) or KRAS(G12C). TH-Z827 blocked the KRAS(G12D)-CRAF interaction with an IC_{50} value of 42 μM[1].
IC_{50} & Target	KRAS(G12D) 2.4 μM (IC_{50})
In Vitro	In two pancreatic cancer cell lines bearing the KRAS G12D mutation (PANC-1 and Panc 04.03), TH-Z827 conferres anti-proliferative effects with IC_{50} values of 4.4 and 4.7 μM, respectively. Treatment with TH-Z827 also reduces the levels of pERK and pAKT in PANC-1 and Panc 04.03 cells, confirming that TH-Z827 prevents the activation of MAPK and PI3K/mTOR signaling[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	BALB/c nude mice subcutaneously inoculated with Panc 04.03 cells and C57BL/6 mice inoculated with KPC cells. In the nude mice model, TH-Z827 (10-30 mg/kg) significantly reduces the tumor volumes, and does so in a dose-dependent manner. However, an intraperitoneal dosing of 30 mg/kg TH-Z827 results in observed weight loss, again suggesting the potential of off-target effects[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Zhongwei Mao, et al. KRAS(G12D) can be targeted by potent inhibitors via formation of salt bridge. Cell Discov. 2022 Jan 25;8(1):5.

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