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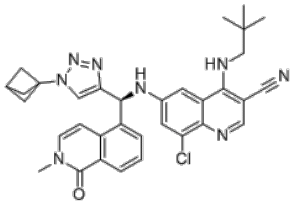
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Tilpisertib
Cat. No.	:	PC-38374
CAS No.	:	2065153-41-3
Molecular Formula	:	$C_{33}H_{33}ClN_8O$
Molecular Weight	:	593.132
Target	:	MEKK (MAP3K)
Solubility	:	10 mM in DMSO



Biological Activity

Tilpisertib (GS-4875) is a potent, highly selective **TPL2** kinase (COT, **MAP3K8**) inhibitor with IC50 of 1.3 nM, with no significant off-target binding activity.
Tilpisertib (GS-4875) selectively inhibited LPS and TNFα-stimulated phosphorylation of TPL2, MEK, and ERK, with little to no inhibition of phosphorylated p38, JNK or p65.
Tilpisertib (GS-4875) similarly inhibited in the RNA production and secretion of TNFα, IL-1β, IL-6, and IL-8 following LPS stimulation in primary human monocytes.
Tilpisertib (GS-4875) inhibited the secretion of TNFα and IL-6 following LPS stimulation in monocyte-derived dendritic cells.
Tilpisertib (GS-4875) reduced TNFα-stimulated pERK with no effect on ERK activation downstream of EGF in A431 cells stimulated with either TNFα or EGF.
Tilpisertib (GS-4875) treatment showed dose and exposure dependent inhibition (IC50=667 nM) of LPS-stimulated TNFα production a rat LPS-TNFα model of acute inflammation.

References

Matthew Warr, et al. Meeting: 2019 ACR/ARP Annual Meeting.

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