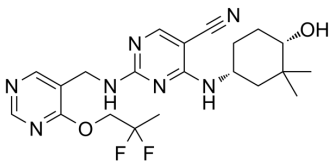
CC-90005

Cat. No.:	HY-132304
CAS No.:	1799574-70-1
Molecular Formula:	$C_{21}H_{27}F_2N_7O_2$
Molecular Weight:	447.48
Target:	PKC
Pathway:	Epigenetics; TGF-beta/Smad
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	CC-90005 is a potent, selective and orally active inhibitor of protein kinase C-θ (PKC-θ), with an IC_{50} of 8 nM. CC-90005 shows selectivity for PKC-θ over PKC-δ (IC_{50}=4440 nM). CC-90005 can inhibit T cell activation by IL-2 expression[1].
IC_{50} & Target	PKCθ 8 nM (IC_{50})
In Vitro	CC-90005 shows the exquisite selectivity of CC-90005, with IC_{50}s for all other family members of >3 μM[1]. CC-90005 is a moderate inhibitor of both CYP2C9 (IC_{50}=8 μM) and CYP2C19 (IC_{50}=5.9 μM) in human liver microsomes[1]. CC-90005 inhibits IL-2 expression in LRS_WBC human PBMCs, with an IC_{50} of 0.15 μM[1]. CC-90005 (1-10 μM; 24 h) inhibits T cell proliferation in PBMCs by 51% at 1 μM and 88% at 3 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	CC-90005 (3-30 mg/kg; p.o. twice daily for 4 days) significantly reduces the popliteal lymph node (PLN) size in a model of chronic T cell activation[1]. CC-90005 (100 mg/kg; a single p.o.) significantly inhibits plasma and spleen IL-2 release by 51 and 54%, respectively[1]. CC-90005 exhibits reasonable oral bioavailability (66 and 46%) and C_{max} (1.18 and 1.2 μM) following oral administration (10 and 3 mg/kg) in rat and dog, respectively[1]. CC-90005 exhibits the mean residence time (0.52 and 2.0 h), CL (69.1 and 20.5 mL/min/kg) and Vss (2.11 and 2.44 L/kg) following intravenous administration (2 and 1 mg/kg) in rat and dog, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	B6D2F1 mice (20 g) were injected with allogeneic spleen cells
Dosage:	3, 10, 30 mg/kg
Administration:	P.o. twice daily for 4 days
Result:	Inhibited PLN size by 45 and 38% at doses of 10 and 30 mg/kg, respectively.

REFERENCES

[1]. Papa P, et, al. Discovery of the Selective Protein Kinase C-θ Kinase Inhibitor, CC-90005. J Med Chem. 2021 Aug 26;64(16):11886-11903.

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