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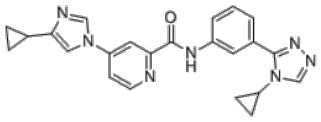
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	GS-444217
Cat. No.	:	PC-35427
CAS No.	:	1262041-49-5
Molecular Formula	:	$C_{23}H_{21}N_7O$
Molecular Weight	:	411.469
Target	:	MEKK (MAP3K)
Solubility	:	10 mM in DMSO



Biological Activity

GS-444217 (GS444217) is a potent, selective, ATP-competitive, orally available inhibitor of **ASK1** with Kd/IC50 of 4.1/2.87 nM。
GS-444217 displays >53-fold selectivity over DYRK1A and 104-fold over RSK4 in a panel of 442 kinases。
GS-444217 strongly suppresses the activation of ASK1, p38, and JNK in the kidney resulting in decreased death of parenchymal cells, inflammation, and fibrosis。
GS-444217 abrogates p38 MAPK activation in diabetic kidneys but has no effect upon hypertension in Nos3(-/-) mice。
GS-444217 dose dependently reduced pulmonary arterial pressure and reduced RV hypertrophy in pulmonary arterial hypertension (PAH) models。
GS-444217 also reduces the progressive inflammation and fibrosis in the kidney and halted decline of glomerular filtration rate in models of kidney disease, causes regression of fibrosis combined with RAS inhibitor enalapril.

References

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Tesch GH, et al. ***Diabetes.*** 2015 Nov;64(11):3903-13.

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Amos LA, et al. ***J Cell Mol Med.*** 2018 Jul 11. doi: 10.1111/jcmm.13705.

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