PRODUCT INFORMATION



PF-6260933

Item No. 29220

CAS Registry No.: 1811510-56-1

5-(4-chlorophenyl)-3,3'-bipyridine]-6,6'-diamine Formal Name:

Synonym: PF-06260933 MF: C₁₆H₁₃CIN₄ FW: 296.8 **Purity:** ≥98%

 λ_{max} : 222, 283 nm UV/Vis.:

Supplied as: A solid Storage: -20°C Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PF-6260933 is supplied as a solid. A stock solution may be made by dissolving the PF-6260933 in the solvent of choice, which should be purged with an inert gas. PF-6260933 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of PF-6260933 in these solvents is approximately 1 mg/ml.

Description

PF-6260933 is an inhibitor of mitogen-activated protein kinase kinase kinase kinase 4 (MAP4K4; IC_{50} = 3.7 nM).¹ It is selective for MAP4K4 over a panel of 41 kinases at 1 μ M but also inhibits TRAF2- and NCK-interacting kinase (TNIK) and misshapen-like kinase 1 (MINK1; $IC_{50}s = 15$ and 8 nM, respectively). PF-6260933 inhibits the replication of CMV strains AD169 and Merlin(R1111) strains in human foreskin fibroblast (HFF) cells (EC₅₀s = 9.6 and 13.3 μ M, respectively).² It inhibits collagen- or thrombin-induced aggregation of isolated human platelets by 70.9 and 61.2%, respectively, when used at a concentration of 20 μM.³ It decreases plaque formation in ApoE^{-/-} mice fed a Western diet in a model of atherosclerosis when administered at a dose of 10 mg/kg.⁴ PF-6260933 (15 mg/kg) decreases LPS-induced increases in TNF-α levels in wild-type mice and fasting blood glucose levels in ob/ob mice.1

References

- 1. Ammirati, M., Bagley, S.W., Bhattacharya, S.K., et al. Discovery of an in vivo tool to establish proof-ofconcept for MAP4K4-based antidiabetic treatment. ACS Med. Chem. Lett. 6(11), 1128-1133 (2015).
- 2. Strang, B.L., Asquith, C.R.M., Moshrif, H.F., et al. Identification of lead anti-human cytomegalovirus compounds targeting MAP4K4 via machine learning analysis of kinase inhibitor screening data. PLoS One 13(7), e0201321 (2018).
- 3. Nam, G.S., Kim, S., Kwon, Y.-S., et al. A new function for MAP4K4 inhibitors during platelet aggregation and platelet-mediated clot retraction. Biochem. Pharmacol. 188, 114519 (2021).
- Roth Flach, R.J., Skoura, A., Matevossian, A., et al. Endothelial protein kinase MAP4K4 promotes vascular inflammation and atherosclerosis. Nat. Commun. 6, 8995 (2015).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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