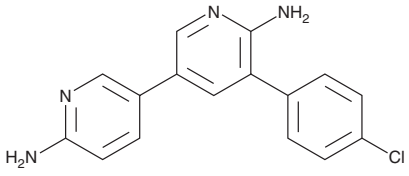
PRODUCT INFORMATION

PF-6260933

Item No. 29220

CAS Registry No.:	1811510-56-1
Formal Name:	5-(4-chlorophenyl)-3,3′-bipyridine]-6,6′-diamine
Synonym:	PF-06260933
MF:	$C_{16}H_{13}ClN_4$
FW:	296.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 222, 283 nm
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 µM 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 (EC_{50}s = 9.6 and 13.3 µM, 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-of-concept 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).
4. Roth Flach, R.J., Skoura, A., Matevossian, A., *et al.* Endothelial protein kinase MAP4K4 promotes vascular inflammation and atherosclerosis. *Nat. Commun.* **6**, 8995 (2015).

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