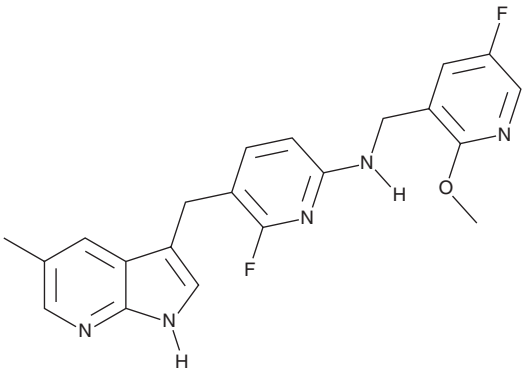
PRODUCT INFORMATION

PLX5622

Item No. 28927

CAS Registry No.:	1303420-67-8
Formal Name:	5-fluoro-N-[6-fluoro-5-[(5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl) methyl]-2-pyridinyl]-2-methoxy-3-pyridinemethanamine
MF:	$C_{21}H_{19}F_2N_5O$
FW:	395.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 227, 291 nm
Supplied as:	A crystalline 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

PLX5622 is supplied as a crystalline solid. A stock solution may be made by dissolving the PLX5622 in the solvent of choice, which should be purged with an inert gas. PLX5622 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of PLX5622 in these solvents is approximately 5 mg/ml.

PLX5622 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PLX5622 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PLX5622 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PLX5622 is a brain-penetrant inhibitor of the colony stimulating factor 1 receptor (CSF1R; IC_{50} = 0.016 µM).[1] It is selective for CSF1R over FMS-related tyrosine kinase 3 (FLT3), Kit, Aurora C, and kinase insert domain receptor (VEGFR2; IC_{50}s = 0.39, 0.86, 1, and 1.1 µM, respectively) and is greater than 100-fold selective for CSF1R over a panel of 230 kinases.[1,2] PLX5622 (65 mg/kg) reduces the number of Iba-1$^+$ cells, a marker of reduced microglia activation, in the dorsal horn of the spinal cord in a mouse model of neuropathic pain induced by partial ligation of the sciatic nerve.[2] It also decreases macrophage levels of TNF-α and IL-1β and infiltration into the sciatic nerve, as well as alleviates mechanical and cold allodynia in the same model. Dietary administration of PLX5622 (1,200 ppm in chow) decreases the number of hippocampal microglia by 90%, as well as reduces the number and volume of retrosplenial and somatosensory cortical amyloid-β (Aβ) plaques in the 5XFAD transgenic mouse model of Alzheimer's disease.[1]

References

1. Spangenberg, E., Severson, P.L., Hohsfield, L.A., *et al.* Sustained microglial depletion with CSF1R inhibitor impairs parenchymal plaque development in an Alzheimer's disease model. *Nat. Commun.* **10(1)**, 3758 (2019).
2. Lee, S., Shi, X.Q., Fan, A., *et al.* Targeting macrophage and microglia activation with colony stimulating factor 1 receptor inhibitor is an effective strategy to treat injury-triggered neuropathic pain. *Mol. Pain* **14**, (2018).

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