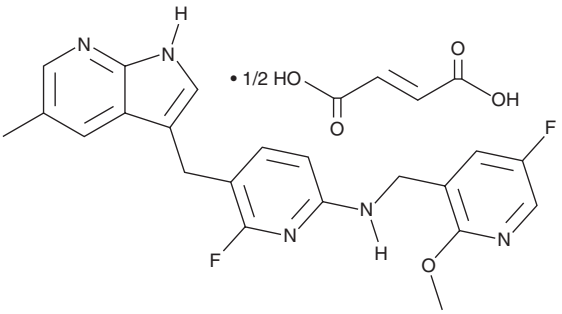
PRODUCT INFORMATION

PLX5622 (hemifumarate)

Item No. 36277



Formal Name:	6-fluoro-N-((5-fluoro-2-methoxypyridin-3-yl) methyl)-5-((5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl)methyl)pyridin-2-amine, hemifumarate
MF:	$C_{21}H_{19}F_2N_5O \bullet 1/2C_4H_4O_4$
FW:	485.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 218, 229, 292 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

PLX5622 (hemifumarate) is supplied as a solid. A stock solution may be made by dissolving the PLX5622 (hemifumarate) in the solvent of choice, which should be purged with an inert gas. PLX5622 (hemifumarate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of PLX5622 (hemifumarate) in these solvents is approximately 16 and 20 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of PLX5622 (hemifumarate) can be prepared by directly dissolving the solid in aqueous buffers. The solubility of PLX5622 (hemifumarate) in PBS (pH 7.2) is approximately 0.3 mg/ml. 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 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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