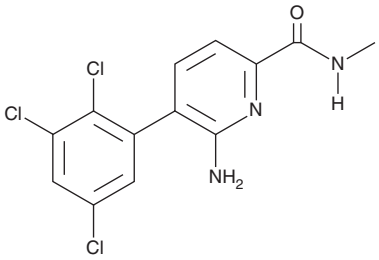
PRODUCT INFORMATION

PF-01247324

Item No. 22111

CAS Registry No.:	875051-72-2
Formal Name:	6-amino-N-methyl-5-(2,3,5-trichlorophenyl)-2-pyridinecarboxamide
MF:	$C_{13}H_{10}Cl_3N_3O$
FW:	330.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 329 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

PF-01247324 is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-01247324 in the solvent of choice. PF-01247324 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of PF-01247324 in these solvents is approximately 30 mg/ml.

PF-01247324 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-01247324 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. PF-01247324 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PF-01247324 is a blocker of the tetrodotoxin-resistant (TTX-R) sodium channel $Na_v1.8$ (IC_{50} = 0.19 µM for human $Na_v1.8$).[1] It is selective for $Na_v1.8$ over $Na_v1.1$, $Na_v1.2$, $Na_v1.5$, and $Na_v1.7$ channels (IC_{50}s = 13, 12.8, 9, and 19 µM, respectively) as well as ether-a-go-go (ERG) potassium channels (IC_{50} = 30 µM). PF-01247324 blocks $Na_v1.8$ channels in a VSP-FRET assay using HEK293 cells (IC_{50} = 2.6 µM). *In vivo*, PF-01247324 (100 mg/kg) reduces phase 2 flinching in a rat model of formalin-induced persistent pain.[2] It increases latency to lift the inflamed paw and latency to paw withdrawal in rat models of carrageenan-induced thermal hyperalgesia and mechanical hyperalgesia induced by complete Freund's adjuvant (CFA), respectively.

References

1. Bagal, S.K., Bungay, P.J., Denton, S.M., *et al.* Discovery and optimization of selective $Na_v1.8$ modulator series that demonstrate efficacy in preclinical models of pain. *ACS Med. Chem. Lett.* **6(6)**, 650-654 (2015).
2. Payne, C.E., Brown, A.R., Theile, J.W., *et al.* A novel selective and orally bioavailable Na_v 1.8 channel blocker, PF-01247324, attenuates nociception and sensory neuron excitability. *Br. J. Pharmacol.* **172(10)**, 2654-5670 (2015).

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