

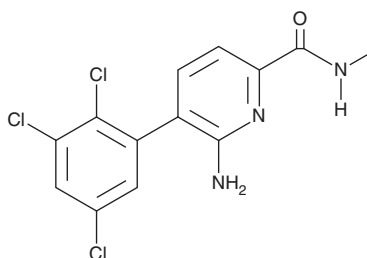
PRODUCT INFORMATION



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: C₁₃H₁₀Cl₃N₃O
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 (IC₅₀ = 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 (IC₅₀s = 13, 12.8, 9, and 19 μM, respectively) as well as ether-a-go-go (ERG) potassium channels (IC₅₀ = 30 μM). PF-01247324 blocks Na_v1.8 channels in a VSP-FRET assay using HEK293 cells (IC₅₀ = 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_v1.8 channel blocker, PF-01247324, attenuates nociception and sensory neuron excitability. *Br. J. Pharmacol.* **172(10)**, 2654-2670 (2015).

WARNING

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

SAFETY DATA

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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