# PRODUCT INFORMATION



# PF-05089771 (tosylate)

Item No. 24449

CAS Registry No.: 1430806-04-4

Formal Name: 4-[2-(3-amino-1H-pyrazol-4-yl)-4-

> chlorophenoxy]-5-chloro-2-fluoro-N-4-thiazolyl-4-benzenesulfonamide, monomethylbenzenesulfonate

 $\mathsf{C}_{18}\mathsf{H}_{12}\mathsf{CI}_2\mathsf{FN}_5\mathsf{O}_3\mathsf{S}_2\bullet\mathsf{C}_7\mathsf{H}_8\mathsf{O}_3\mathsf{S}$ MF:

FW: 672.6 **Purity:** ≥98%

UV/Vis.:  $\lambda_{\text{max}}$ : 240 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years · CH, C, H, SO, H

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### **Laboratory Procedures**

PF-05089771 (tosylate) is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-05089771 (tosylate) in the solvent of choice. PF-05089771 (tosylate) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of PF-05089771 (tosylate) in these solvents is approximately 33 mg/ml.

PF-05089771 (tosylate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-05089771 (tosylate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PF-05089771 (tosylate) has a solubility of approximately 0.20 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

PF-05089771 is a voltage-gated sodium channel 1.7 (Na $_{v}$ 1.7) blocker (IC $_{50}$ s = 11, 16, 33, and 20 nM for 5N11S, 5A11L, 5A11S, and 5A11L Na<sub>v</sub>1.7 splice variants, respectively). It is selective for Na<sub>v</sub>1.7 over Na<sub>v</sub>1.1-1.6 and 1.8 channels (IC<sub>50</sub>s =  $0.11-25 \mu M$ ), L-type calcium, and K<sub>v</sub>LQT and hERG potassium channels (IC<sub>50</sub>s = ≥10 μM), as well as human and cynomolgus monkey TRPV1 receptors (IC<sub>50</sub>s = 10 and 20 μM, respectively). PF-05089771 is also 1,000-fold selective for half-inactivated over resting Na 1.7 channels, and mutation of the domain IV voltage-sensor domain (VSD4) reduces PF-05089771 potency by approximately 100-fold.

#### Reference

1. Alexandrou, A.J., Brown, A.R., Chapman, M.L., et al. Subtype-selective small molecule inhibitors reveal a fundamental role for Na, 1.7 in nociceptor electrogenesis, axonal conduction and presynaptic release. PLoS One 11(4), e0152405, (2016).

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

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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