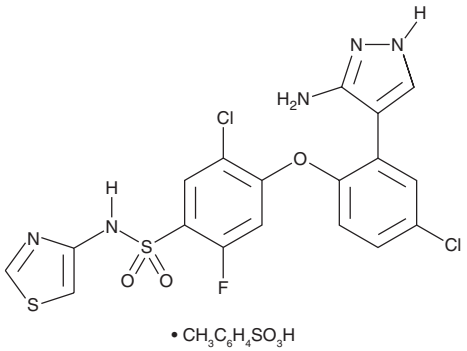
PRODUCT INFORMATION

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
MF:	$C_{18}H_{12}Cl_2FN_5O_3S_2 \bullet C_7H_8O_3S$
FW:	672.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 240 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-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 ($Na_v1.7$) blocker (IC_{50}s = 11, 16, 33, and 20 nM for 5N11S, 5A11L, 5A11S, and 5A11L $Na_v1.7$ splice variants, respectively).[1] It is selective for $Na_v1.7$ over $Na_v1.1$-1.6 and 1.8 channels (IC_{50}s = 0.11-25 µM), L-type calcium, and K_vLQT and hERG potassium channels (IC_{50}s = ≥10 µM), as well as human and cynomolgus monkey TRPV1 receptors (IC_{50}s = 10 and 20 µM, respectively). PF-05089771 is also 1,000-fold selective for half-inactivated over resting $Na_v1.7$ 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 $Na_v1.7$ in nociceptor electrogenesis, axonal conduction and presynaptic release. *PLoS One* **11(4)**, e0152405, (2016).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM