bio-techne
TOCRIS

Print Date: May 10th 2024

Certificate of Analysis

www.tocris.com

Product Name: **PF 05089771** **Catalog No.: 5931** **Batch No.: 2**

CAS Number: 1430806-04-4

IUPAC Name: 4-[2-(3-Amino-1*H*-pyrazol-4-yl)-4-chlorophenoxy]-5-chloro-2-fluoro-*N*-4-thiazolylbenzenesulfonamide tosylate

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{18}H_{12}Cl_2FN_5O_3S_2.C_7H_8O_3S.$

Batch Molecular Weight: 672.56

Physical Appearance: White solid

Solubility: DMSO to 100 mM

Storage: Desiccate at RT

Batch Molecular Structure:

2. ANALYTICAL DATA

HPLC: Shows 99.0% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	44.65	3	10.41
Found	44.68	2.95	10.32

bio-techne.com
info@bio-techne.com
techsupport@bio-techne.com

North America
Tel: (800) 343 7475

China
info.cn@bio-techne.com
Tel: +86 (21) 52380373

Europe Middle East Africa
Tel: +44 (0)1235 529449

Rest of World
www.tocris.com/distributors
Tel:+1 612 379 2956

Product Name: **PF 05089771** **Catalog No.: 5931** **2**

CAS Number: 1430806-04-4

IUPAC Name: 4-[2-(3-Amino-1*H*-pyrazol-4-yl)-4-chlorophenoxy]-5-chloro-2-fluoro-*N*-4-thiazolylbenzenesulfonamide tosylate

Description:

PF 05089771 is a potent and selective $Na_v1.7$ channel blocker (IC_{50} = 8, 11 and 171 nM for mouse, human and rat $Na_v1.7$, respectively). Exhibits selectivity for $Na_v1.7$ over other Na_v1 channels (IC_{50} values are 0.11, 0.16, 0.85, 10, 11 and 25 µM for $Na_v1.2$, $Na_v1.6$, $Na_v1.1$, $Na_v1.4$, $Na_v1.3$ and $Na_v1.5$, respectively). Also exhibits selectivity over a panel of 81 other ion channels, receptors, enzymes and transporters. Blocks spontaneous firing of inherited erythromelalgia (IEM)-derived iPSC sensory neurons in vitro. Please see product specific page on www.tocris.com for full description.

Physical and Chemical Properties:

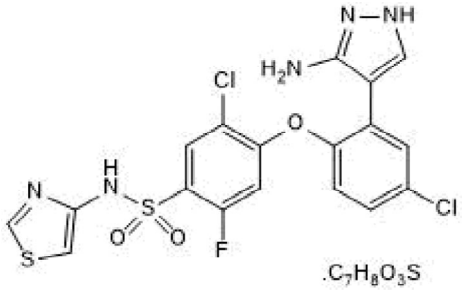
Batch Molecular Formula: $C_{18}H_{12}Cl_2FN_5O_3S_2.C_7H_8O_3S$.

Batch Molecular Weight: 672.56

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Desiccate at RT

Solubility & Usage Info:

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. *Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

Licensing Information:

Sold for research purposes under agreement from Pfizer Inc.

References:

Swain *et al* (2017) Discovery of clinical candidate 4-[2-(5-amino-1H-pyrazol-4-yl)-4-chlorophenoxy]-5-chloro-2-fluoro-N-1,3-thiazol-4-ylbenzenesulfonamide (PF-05089771): design and optimization of diaryl ether aryl sulfonamides as selective inhibitors of Na J.Med.Chem. ***60*** 7029. PMID: 28682065.

Alexandrou *et al* (2016) Subtype-selective small molecule inhibitors reveal a fundamental role for $Na_v1.7$ in nociceptor electrogenesis, axonal conduction and presynaptic release. PLoS One ***11*** e0152405. PMID: 27050761.

Cao *et al* (2016) Pharmacological reversal of a pain phenotype in iPSC-derived sensory neurons and patients with inherited erythromelalgia. Sci.Transl.Med. ***8*** 335ra56. PMID: 27099175.