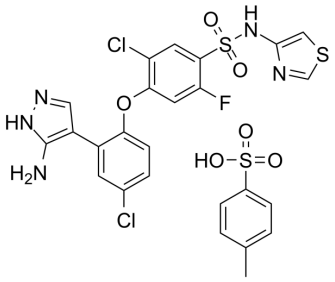
PF 05089771 tosylate

Cat. No.:	HY-12883B
CAS No.:	1430806-04-4
Molecular Formula:	$C_{25}H_{20}Cl_2FN_5O_6S_3$
Molecular Weight:	672.56
Target:	Sodium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PF 05089771 tosylate is a potent, orally active and selective arylsulfonamide $Na_V1.7$ inhibitor, with IC_{50} values of 11 nM, 12 nM, 13 nM, 171 nM and 8 nM for $hNa_V1.7$, $cynNa_V1.7$, $dogNa_V1.7$, $ratNa_V1.7$, and $musNa_V1.7$, respectively. PF 05089771 is under the study for pain and diabetic neuropathy[1][2].
IC_{50} & Target	IC50: 11 nM ($hNa_V1.7$), 12 nM ($cynNa_V1.7$), 13 nM ($dogNa_V1.7$), 171 nM ($ratNa_V1.7$), 8 nM ($musNa_V1.7$)[1][2].
In Vitro	PF-05089771 is determined to be more than 1000-fold selective over tetrodotoxin-resistant (TTX-R) $Na_V1.5$ and $Na_V1.8$ channels (IC_{50}s >10 μM) and exhibited a range of selectivity over TTX-sensitive (TTX-S) channels (10-fold for $Na_V1.2$ to 900-fold for $Na_V1.3$ and $Na_V1.4$)[1]. PF-05089771 (30 nM) blocks the majority of TTX-S current (75.5 ± 10.5%, n = 5) whilst 100 nM resulted in complete block[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- FEBS J. 2022 Jan 13.
- Front Pharmacol. 16 December 2021.

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REFERENCES

[1]. Alexandrou AJ, et al. Subtype-Selective Small Molecule Inhibitors Reveal a Fundamental Role for Nav1.7 in Nociceptor Electrogenesis, Axonal Conduction and Presynaptic Release. PLoS One. 2016 Apr 6;11(4):e0152405.

[2]. Theile JW, et al. The Selective Nav1.7 Inhibitor, PF-05089771, Interacts Equivalently with Fast and Slow Inactivated Nav1.7 Channels. Mol Pharmacol. 2016 Nov;90(5):540-548.

Caution: Product has not been fully validated for medical applications. For research use only.

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