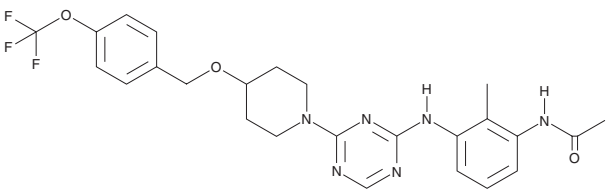
PRODUCT INFORMATION

$Na_v1.7$ Blocker 52

Item No. 34477

CAS Registry No.: 1211866-85-1
Formal Name: N-[2-methyl-3-[[4-[4-[[4-(trifluoromethoxy) phenyl]methoxy]-1-piperidinyl]-1,3,5-triazin-2-yl]amino]phenyl]-acetamide
Synonyms: TC-N 1752, Voltage-gated Sodium Channel 1.7
MF: $C_{25}H_{27}F_3N_6O_3$
FW: 516.5
Purity: ≥98%
Supplied as: A 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

$Na_v1.7$ blocker 52 is supplied as a solid. A stock solution may be made by dissolving the $Na_v1.7$ blocker 52 in the solvent of choice, which should be purged with an inert gas. $Na_v1.7$ blocker 52 is soluble in the organic solvent DMSO at a concentration of approximately 30 mg/ml.

Description

$Na_v1.7$ blocker 52 is an inhibitor of voltage-gated sodium channel 1.7 ($Na_v1.7$; IC_{50} = 0.17 µM).[1] It is selective for $Na_v1.7$ over human-ether-a-go-go (hERG), also known as $K_v11.1$, $Na_v1.5$, and $Na_v1.8$ (IC_{50}s = >10, 1.1, and 2.2 µM, respectively) but does inhibit $Na_v1.3$ and $Na_v1.4$ (IC_{50}s = 0.3 and 0.4 µM, respectively). $Na_v1.7$ blocker 52 (20 and 30 mg/kg) inhibits formalin-induced flinching in a rat model of persistent pain. It also increases the latency to paw withdrawal in a hot plate test in a mouse model of inflammatory pain induced by complete Freund's adjuvant (CFA).[1]

References

1. Bregman, H., Berry, L., Buchanan, J.L., *et al.* Identification of a potent, state-dependent inhibitor of Nav1.7 with oral efficacy in the formalin model of persistent pain. *J. Med. Chem.* **54(13)**, 4427-4445 (2011).
2. Matson, D.J., Hamamoto, D.T., Bregman, H., *et al.* Inhibition of inactive states of tetrodotoxin-sensitive sodium channels reduces spontaneous firing of C-fiber nociceptors and produces analgesia in formalin and complete Freund's adjuvant models of pain. *PLoS One* **10(9)**, e0138140 (2015).

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