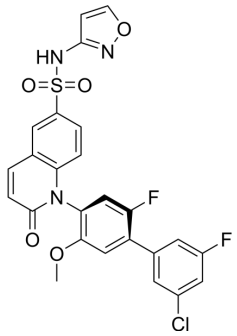
AMG8379

Cat. No.:	HY-108425
CAS No.:	1642112-31-9
Molecular Formula:	$C_{25}H_{16}ClF_2N_3O_5S$
Molecular Weight:	543.93
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	AMG8379 is a potent, orally active and selective sulfonamide antagonist of the voltage-gated sodium channel NaV1.7, with IC_{50}s of 8.5 and 18.6 nM for hNaV1.7 and mNaV1.7, respectively. AMG8379 potently and reversibly blocks endogenous Tetrodotoxin (TTX)-sensitive sodium channels in dorsal root ganglia (DRG) neurons with an IC_{50} of 3.1 nM[1].	
IC_{50} & Target	$hNa_V1.7$ 8.5 nM (IC_{50})	$mNa_V1.7$ 18.6 nM (IC_{50})
In Vitro	AMG8379 is 100 to 1000-fold selective over other NaV family members, including NaV1.4 expressed in muscle and NaV1.5 expressed in heart, as well as TTX-resistant NaV channels in DRG neurons[1]. The IC_{50} for AMG8379 inhibition of C-fiber spiking based on the level of firing in NaV1.7 KO mice representing complete pharmacological block of the NaV1.7-component of this assay is calculated. In this manner, the IC_{50} for AMG8379 block is 47.0 ± 8.1 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	AMG8379 (30-100 mg/kg; p.o.) inhibits Capsaicin-induced nociceptive behavior[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

Animal Model:	CD-1 male mice[1]
Dosage:	30 or 100 mg/kg body weight
Administration:	Oral
Result:	Showed a dose-dependent reduction in overall nociceptive behavior.

REFERENCES

[1]. Kornecook TJ, et al. Pharmacologic Characterization of AMG8379, a Potent and Selective Small Molecule Sulfonamide Antagonist of the Voltage-Gated Sodium Channel NaV1.7. J Pharmacol Exp Ther. 2017 Jul;362(1):146-160.

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

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