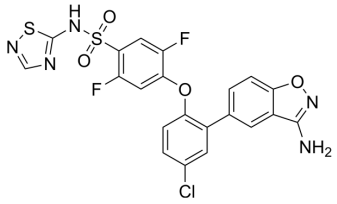
Nav1.7-IN-8

Cat. No.:	HY-141547
CAS No.:	1432913-44-4
Molecular Formula:	$C_{21}H_{12}ClF_2N_5O_4S_2$
Molecular Weight:	535.93
Target:	Sodium Channel; Cytochrome P450
Pathway:	Membrane Transporter/Ion Channel; Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Nav1.7-IN-8 is a potent blockage of NaV1.7 with high selectivity for the inhibition of NaV1.7 over the subtypes hNaV1.1 and hNaV1.5. Nav1.7-IN-8 inhibits CYP2C9 and CYP3A4 with an IC_{50} of 0.17 μM and 0.077 μM, respectively. Nav1.7-IN-8 displays significant analgesic effects in rodent models of acute and inflammatory pain[1].

IC_{50} & Target	CYP2C9	Nav1.7	CYP3A4
	0.17 μM (IC_{50})		0.077 μM (IC_{50})

In Vitro

Nav1.7-IN-8 plasma protein binding is very high in rat with a free fraction of -1.1 %[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Nav1.7-IN-8 (0~100 mpk, i.p.; 1 hour) shows a reduction of the pain response in phase 2a of the formalin assay in a dose dependent manner and produces a substantial inhibition of the pain response[1].

.Nav1.7-IN-8 (10~100 mpk, i.p.; 2 days) displays a dose-dependent reduction of the pain response[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rats[1]
Dosage:	0~100 mpk
Administration:	I.p.; 1 hour
Result:	Showed a reduction of the pain response in phase 2a of the formalin assay in a dose dependent manner and produced a substantial inhibition of the pain response.

Animal Model:	Mice[1]
Dosage:	10~100 mpk
Administration:	I.p.; 2 days
Result:	Displayed a dose-dependent reduction of the pain response.

REFERENCES

[1]. Focken T, et al. Discovery of Aryl Sulfonamides as Isoform-Selective Inhibitors of NaV1.7 with Efficacy in Rodent Pain Models. ACS Med Chem Lett. 2016;7(3):277-282. Published 2016 Jan 19.

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