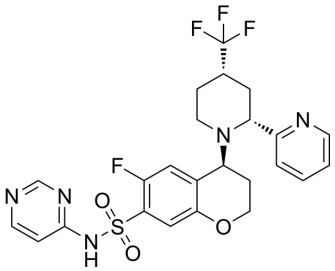
GNE-616

Cat. No.:	HY-126291
CAS No.:	2349371-81-7
Molecular Formula:	$C_{24}H_{23}F_4N_5O_3S$
Molecular Weight:	537.53
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	GNE-616 is a highly potent, metabolically stable, orally bioavailable, and subtype selective Nav1.7 inhibitor (K_i of 0.79 nM and K_d of 0.38 nM for hNav1.7) for the treatment of chronic pain. GNE-616 shows >1000 nM K_d and >2500-fold selectivity over hNav1.1, hNav1.3, hNav1.4, and hNav1.5. Selectivity over hNav1.2 and hNav1.6 is more modest at 31- and 73-fold, respectively[1].	
IC_{50} & Target	$hNa_V1.7$ 0.79 nM (Ki)	$hNa_V1.7$ 0.38 nM (Kd)
In Vitro	Site-directed mutagenesis is critical for the isoform selectivity profile of GNE-616 (hNav1.7, K_d: Y1537s/W1538=170±67 nM, V1541=3.9±1.1 nM, Y1537s/W1538/V1541=790±350 nM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	GNE-616 shows robust activity in a Nav1.7-dependent inherited erythromelalgia (IEM) PK/PD model with an EC_{50} of 740 nM and $EC_{50,u}$ of 9.6 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

REFERENCES

[1]. McKerrall SJ, et al. Structure- and Ligand-Based Discovery of Chromane Arylsulfonamide Nav1.7 Inhibitors for the Treatment of Chronic Pain. J Med Chem. 2019 Apr 25;62(8):4091-4109.

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