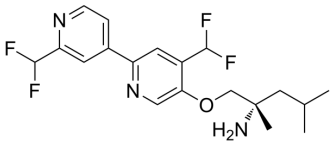
AAK1-IN-5

Cat. No.:	HY-145839
CAS No.:	1815613-44-5
Molecular Formula:	$C_{19}H_{23}F_4N_3O$
Molecular Weight:	385.4
Target:	AAK1
Pathway:	Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	AAK1-IN-5 is a highly selective, CNS-penetrable, and orally active adaptor protein-2-associated kinase 1 (AAK1) inhibitor (AAK1 IC_{50} of 1.2 nM, Filt K_i of 0.05 nM, and cell IC_{50} of 0.5 nM). AAK1-IN-4 has the potential for the research for neuropathic pain[1].
IC_{50} & Target	IC_{50}: 1.2 nM (AAK1)[1]. K_i: 0.05 nM (AAK1)[1]
In Vitro	AAK1-IN-5 (compound 58) (0.5 μM, 0-10 min) has a good metabolic stability, with half-life values of over 120 min in human and mouse liver microsomes, and 76.0, 17.6, 26.0 min in rat, cynomolgus monkey, and dog, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	AAK1-IN-5 (compound 58) can reduce hyperalgesia in SD rats (chronic constriction injury) efficiently with good efficacy observed at doses of 1 and 3 mg/kg[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (chronic constriction injury, CCI)[1]
Dosage:	1-3 mg/kg
Administration:	p.o., 0-5.5 hours
Result:	Reduced hyperalgesia in CCI rats efficiently with good efficacy observed at doses of 1 and 3 mg/kg.

REFERENCES

[1]. Luo G, et al. Discovery and Optimization of Biaryl Alkyl Ethers as a Novel Class of Highly Selective, CNS-Penetrable, and Orally Active Adaptor Protein-2-Associated Kinase 1 (AAK1) Inhibitors for the Potential Treatment of Neuropathic Pain. J Med Chem. 2022;65(6):4534-4564.

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

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