

AAK1-IN-4

Chemical Properties

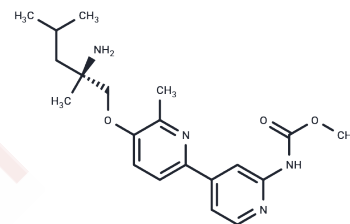
CAS No. : 1815612-79-3

Formula: C₂₀H₂₈N₄O₃

Molecular Weight: 372.46

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	AAK1-IN-4 is a potent and specific inhibitor of adaptor protein-2-associated kinase 1 (AAK1), capable of efficiently penetrating the central nervous system and exhibiting oral bioactivity. It has demonstrated a high degree of selectivity with an AAK1 inhibitory concentration (IC ₅₀) of 4.6 nM, a Filt dissociation constant (K _i) of 0.9 nM, and a cellular IC ₅₀ of 8.6 nM. AAK1-IN-4 holds promise as a valuable tool in the investigation of neuropathic pain [1].
Targets(IC ₅₀)	Others,AAK1 (AP2 associated kinase 1)
In vitro	AAK1-IN-4 (compound 43) at 0.5 μM for 0-10 minutes shows significant cell potency with a value of 2.4 in liver microsomes and high metabolic stability, with values of 95, 95, and 93 in human, rat, and mouse microsomes respectively, without detected CYP inhibition [1].
In vivo	AAK1-IN-4, administered orally at a dosage of 3 mg/kg, substantially mitigates tactile allodynia, achieving nearly 80% pain response inhibition in a rat model of chronic constriction injury (CCI)-induced pain, alongside demonstrating considerable spinal cord penetration and an 8.8 spinal-cord-to-plasma concentration ratio. When dosage ranged from 1-10 mg/kg, AAK1-IN-4 significantly attenuated mechanical allodynia in a rat model of streptozotocin (STZ)-induced diabetic peripheral neuropathic pain (DPNP), with peak pain response inhibition exceeding 80% at 10 mg/kg and over 60% at 3 mg/kg. These findings underscore AAK1-IN-4's potent analgesic effects across different doses and neuropathic pain models, affirming its therapeutic potential.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6849 mL	13.4243 mL	26.8485 mL
5 mM	0.537 mL	2.6849 mL	5.3697 mL
10 mM	0.2685 mL	1.3424 mL	2.6849 mL
50 mM	0.0537 mL	0.2685 mL	0.537 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481