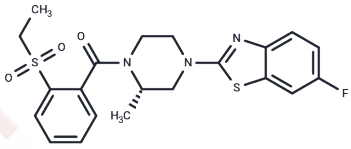
Data Sheet (Cat.No.T9528)

TargetMol

ARN19702

Chemical Properties



CAS No. : 1971937-18-4

Formula: C21H22FN3O3S2

Molecular Weight: 447.55

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	ARN19702 is a selective, orally active, reversible, and brain-penetrant N-acylethanolamine acid amidase (NAAA) inhibitor with an IC50 of 230 nM for human NAAA, exhibiting a broad analgesic profile [1] [2].
Targets(IC50)	Others
In vivo	ARN19702, administered orally (po) at dosages of 3-10 mg/kg daily for seven consecutive days, effectively reduces Paclitaxel-induced neuropathic nociception in male rats without causing subacute antinociceptive tolerance [1]. In male mice, ARN19702 demonstrates a dose-dependent reduction in spontaneous nocifensive behaviors triggered by intraplantar formalin, and alleviates hypersensitivity following intraplantar carrageenan injection, paw incision, or sciatic nerve ligation, at dosages ranging from 0.1-30 mg/kg (po) [1]. Additionally, at a dosage range of 3-10 mg/kg (po), ARN19702 offers significant protection against multiple sclerosis in mice [2]. Pharmacokinetic analysis in mice reveals that at a 3 mg/kg dosage, ARN19702 achieves a maximum concentration (Cmax) of 1660±166 ng/mL and 613±68 ng/mL for intravenous (i.v.) and oral administration respectively, with corresponding times to reach Cmax (Tmax) of approximately 5.0 minutes (i.v.) and 30 minutes (po). The clearance (CL) rates stand at 33.2±1.6 mL/min/kg (i.v.) and 49±8 mL/min/kg (po), with half-lives (t 1/2) of 73.9±3.7 minutes (i.v.) and 104±16 minutes (po). Area under the curve (AUC) measurements indicate plasma levels of 1366.8±68.3 h×ng/mL (i.v.) and 988±157 h×ng/mL (po), and brain levels of 404.3±109.1 h×ng/mL (i.v.) and 181±28 h×ng/mL (po), with an oral bioavailability (F) of 72±11%.

Solubility Information

Solubility	DMSO: 50 mg/mL (111.72 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.47 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2344 mL	11.1719 mL	22.3439 mL
5 mM	0.4469 mL	2.2344 mL	4.4688 mL
10 mM	0.2234 mL	1.1172 mL	2.2344 mL
50 mM	0.0447 mL	0.2234 mL	0.4469 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.

Reference

Yannick Fotio, et al. Antinociceptive Profile of ARN19702, (2-Ethylsulfonylphenyl)-[(2S)-4-(6-fluoro-1,3-benzothiazol-2-yl)-2-methylpiperazin-1-yl]methanone, a Novel Orally Active N-Acylethanolamine Acid Amidase Inhibitor, in Animal Models. J Pharmacol Exp Ther. 2021 Aug;378(2):70-76.

Zhou L, Tian M, Zhang B, et al.Lysosome targeting fluorescent probe for NAAA imaging and its applications in the drug development for anti-inflammatory.International Journal of Biological Macromolecules.2024: 130307.

Marco Migliore Dr, et al. Second-Generation Non-Covalent NAAA Inhibitors are Protective in a Model of Multiple Sclerosis. Angew Chem Int Ed Engl. 2016 Sep 5;55(37):11193-11197.