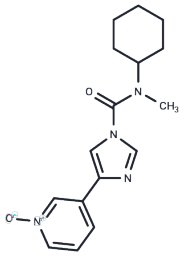
Data Sheet (Cat.No.T3354)

TargetMol

BIA 10-2474

Chemical Properties



CAS No. : 1233855-46-3

Formula: C16H20N4O2

Molecular Weight: 300.36

Appearance: no data available

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

Biological Description

Description	BIA 10-2474 is a long-acting reversible inhibitor of fatty acid amide hydrolase (FAAH) that increases levels of the neurotransmitter anandamide in the central nervous system and in peripheral tissues (that is, the rest of the body other than the brain and spinal cord). BIA 10-2474(BIA10-2474) interacts with the human endocannabinoid system. BIA 10-2474(BIA10-2474) was in development for the treatment of a range of different medical conditions from anxiety to Parkinson's disease, also for the treatment of chronic pain of multiple sclerosis, Y, hypertension or the treatment of obesity.
Targets(IC50)	FAAH,Autophagy
In vitro	ExVivo: BIA 10-2474 is a potent FAAH inhibitor with IC50 values of 52 mg/kg (cerebellum), 67 mg/kg (rest of brain), 68 mg/kg (cortex), and 71 mg/kg (hypothalamus), and 50-70 mg/kg (i.p.) in various brain regions [1].
In vivo	In January 2016, a Phase I clinical trial involving the drug BIA 10-2474 experienced severe adverse events (SAE), including a fatality. Investigations into the trial's failure will explore various potential causes, such as off-target effects, miscalculated dosage, unforeseen immune responses, interspecies variability, and cumulative dose toxicity[2].

Solubility Information

Solubility	DMSO: 4 mg/mL (13.32 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.3293 mL	16.6467 mL	33.2934 mL
5 mM	0.6659 mL	3.3293 mL	6.6587 mL
10 mM	0.3329 mL	1.6647 mL	3.3293 mL
50 mM	0.0666 mL	0.3329 mL	0.6659 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.

Reference

Tong J, et al. Inhibition of fatty acid amide hydrolase by BIA 10-2474 in rat brain. J Cereb Blood Flow Metab. 2016 Sep 20.

Kaur R, et al. What failed BIA 10-2474 Phase I clinical trial? Global speculations and recommendations for future Phase I trials. J Pharmacol Pharmacother. 2016 Jul-Sep;7(3):120-6