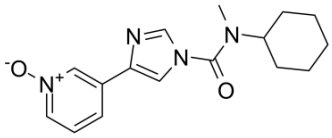
BIA 10-2474

Cat. No.:	HY-19740
CAS No.:	1233855-46-3
Molecular Formula:	$C_{16}H_{20}N_4O_2$
Molecular Weight:	300.36
Target:	FAAH; Autophagy
Pathway:	Metabolic Enzyme/Protease; Neuronal Signaling; Autophagy
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 2.2 mg/mL (7.32 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.3293 mL	16.6467 mL	33.2934 mL
5 mM	0.6659 mL	3.3293 mL	6.6587 mL
10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

BIA 10-2474 is an inhibitor of fatty acid amide hydrolase (FAAH) with IC_{50} values of 50 to 70mg/kg in various rat brain regions.

IC_{50} & Target

IC50: 50-70mg/kg (FAAH, rat brain regions)[1]

In Vitro

ExVivo: BIA 10-2474 proves to be a potent FAAH inhibitor with IC_{50}s of 50-70mg/kg (i.p.) in various brain regions. IC_{50} values for brain regions are 52 (cerebellum), 67 (rest of brain), 68 (cortex), and 71 mg/kg (hypothalamus)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

In January 2016, severe adverse events (SAE) occurs in the Phase I clinical trial using the drug BIA 10-2474 including one death. The possibilities for failure of trials such as off-target effect, dose calculation, unexpected immune response, species variation, and cumulative dose toxicity would be sought[2].

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PROTOCOL

Animal Administration [1]	Rats: Groups of rats (n=4) are pre-treated with BIA 10-2474 (2 mL/kg in 5% Tween 80 in saline i.p.) or with vehicle 40 min prior to radiotracer injection. Rats, in a restraining box, receives 3–4 MBq of high-specific activity [^{18}F]-DOPP in 0.3mL of 10% ethanol in citrate buffer (pH 6) via the tail vein which has been vasodilated in a warm water bath. They are sacrificed by decapitation at 40 min after radiotracer administration, the brain is surgically removed from the skull and stored on ice. Brain regions are excised, blotted, and weighed while blood is collected. Radioactivity in tissues is assayed[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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.

[2]. 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

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