**Proteins** 

# **Product** Data Sheet

## **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

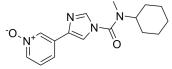
Pathway: Metabolic Enzyme/Protease; Neuronal Signaling; Autophagy

Storage: Powder -20°C 3 years

In solvent

4°C 2 years -80°C 2 years

-20°C 1 year



### **SOLVENT & SOLUBILITY**

In Vitro

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

| Preparing<br>Stock Solutions | Solvent Mass<br>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.

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| Description               | BIA 10-2474 is an inhibitor of fatty acid amide hydrolase (FAAH) with IC <sub>50</sub> values of 50 to 70mg/kg in various rat brain regions.   |
|---------------------------|--|
| IC <sub>50</sub> & Target | IC50: 50-70mg/kg (FAAH, rat brain regions) <sup>[1]</sup>  |
| 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) <sup>[1]</sup> . 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 <sup>[2]</sup> .  MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

### **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 [<sup>18</sup>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<sup>[1]</sup>.

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

#### **CUSTOMER VALIDATION**

- Cell Death Differ. 2022 Sep 14.
- Cell Death Discov. 2024 Jan 6;10(1):12.

See more customer validations on www.MedChemExpress.com

#### **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

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

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