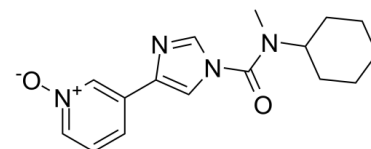


Data Sheet

Product Name:	BIA 10-2474
Cat. No.:	CS-6218
CAS No.:	1233855-46-3
Molecular Formula:	C ₁₆ H ₂₀ N ₄ O ₂
Molecular Weight:	300.36
Target:	Autophagy; FAAH
Pathway:	Autophagy; Metabolic Enzyme/Protease; Neuronal Signaling
Solubility:	DMSO : 6.4 mg/mL (21.31 mM; Need ultrasonic and warming)



BIOLOGICAL ACTIVITY:

BIA 10-2474 is an inhibitor of fatty acid amide hydrolase (FAAH) with IC₅₀ values of 50 to 70mg/kg in various rat brain regions. IC₅₀ & Target: IC₅₀: 50-70mg/kg (FAAH, rat brain regions)^[1] **In Vitro:** ExVivo: BIA 10-2474 proves to be a potent FAAH inhibitor with IC₅₀s of 50-70mg/kg (i.p.) in various brain regions. IC₅₀ values for brain regions are 52 (cerebellum), 67 (rest of brain), 68 (cortex), and 71 mg/kg (hypothalamus)^[1]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: ^[1]Rat: 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 [¹⁸F]-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].

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

CAIndexNames:

1H-Imidazole-1-carboxamide, N-cyclohexyl-N-methyl-4-(1-oxido-3-pyridinyl)-

SMILES:

O=C(N1C=C(C2=C[N+](O-)=CC=C2)N=C1)N(C3CCCC3)C

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

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