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产品名称: **BIA 10-2474**
产品别名: **BIA 10-2474**

生物活性:					
Description	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].				
Solvent&Solubility	In Vitro: DMSO : 6.4 mg/mL (21.31 mM; Need ultrasonic and warming)				
	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	0.3329 mL	1.6647 mL	3.3293 mL
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。				
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				
实验参考:					
Animal Administration	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⁾ .				
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				