

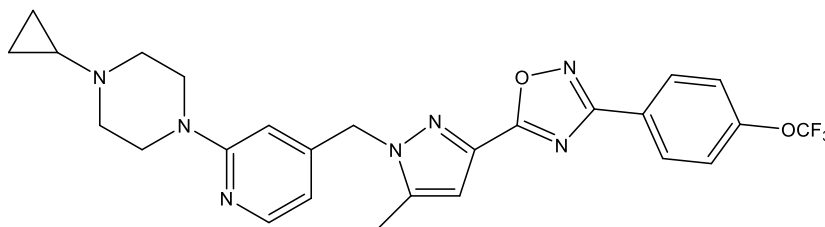
**Catalog # 10-4910**

**BAY 87-2243**

CAS# 1227158-85-1

5-[1-[[2-(4-Cyclopropylpiperazin-1-yl)pyridin-4-yl]methyl]-5-methylpyrazol-3-yl]-3-[4-(trifluoromethoxy)phenyl]-1,2,4-oxadiazole

Lot # FBS2045



BAY 87-2243 potently inhibits HIF-1 reporter gene activity ( $IC_{50} = 0.7$  nM) and CA9 protein expression ( $IC_{50} = 2.0$  nM).<sup>1</sup> It inhibited HIF-1 $\alpha$  and HIF-2 $\alpha$  protein accumulation in hypoxic H460 cells and reduced tumor weight in nude mice inoculated with H460 cells. BAY 87-2243 potently inhibits mitochondrial complex I activity ( $IC_{50} = 10$  nM in mitochondria isolated from PC3 cells) leading to its HIF-1 effects. It has no effect on mitochondrial complex III. BAY 87-2243 reduced melanoma tumor growth *via* its targeting of mitochondrial complex I.<sup>2,3</sup>

- 1) Ellinghaus *et al.* (2013), *BAY 87-2243, a highly potent and selective inhibitor of hypoxia-induced gene activation has antitumor activities by inhibition of mitochondrial complex I*; *Cancer Med.*, **2** 611
- 2) Schockel *et al.* (2015), *Targeting mitochondrial complex I using BAY 87-2243 reduces melanoma tumor growth*; *Cancer Metab.*, **3** 11
- 3) Basit *et al.* (2017), *Mitochondrial complex I inhibition triggers a mitophagy-dependent ROS increase leading to necroptosis and ferroptosis in melanoma cells*; *Cell Death Discov.*, **8** e2716

**PHYSICAL DATA**

Molecular Weight:	525.54
Molecular Formula:	C <sub>26</sub> H <sub>26</sub> F <sub>3</sub> N <sub>7</sub> O <sub>2</sub>
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (25 mg/ml); ethanol (10 mg/mL)
Physical Description:	White solid
Storage and Stability:	Store as supplied desiccated at room temperature for up to 1 year from the date of purchase. Solutions in DMSO or ethanol may be stored at -20°C for up to 2 months.

**Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.**