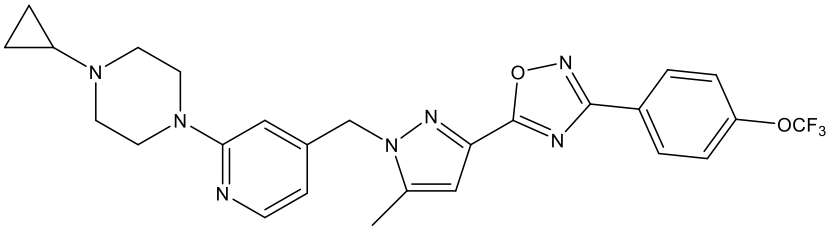
Catalog # 10-4910
BAY 87-2243

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).[1] It inhibited HIF-1α and HIF-2α 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.[2,3]

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:	$C_{26}H_{26}F_3N_7O_2$
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 -20°C 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.

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