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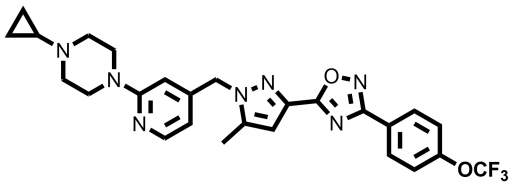
Hypoxia-inducible Factor-1 (HIF-1) Inhibitor BAY 87-2243

Chemical Name: 5-(1-((2-(4-cyclopropylpiperazin-1-yl)pyridin-4-yl)methyl)-5-methyl-1H-pyrazol-3-yl)-3-(4-(trifluoromethoxy)phenyl)-1,2,4-oxadiazole



Molecular Weight:	525.53
Formula:	$C_{26}H_{26}F_3N_7O_2$
Purity:	≥98%
CAS#:	1227158-85-1
Solubility:	DMSO up to 1 mM EtOH up to 15 mM (heating)
Storage	Powder: 4 ºC 1 year DMSO: 4 ºC 3 months -20 ºC 1 year

Biological Activity:

BAY 87-2243 is a highly potent and selective hypoxia-inducible factor-1 (HIF-1) inhibitor. It inhibits HIF-1 reporter gene activity and target gene CA9 expression with IC_{50} of 0.7 nM and 2 nM, respectively. In hypoxic lung cancer H460 cells, BAY 87-2243 suppresses HIF target gene expression, and inhibits HIF-1α protein accumulation. Under glucose depletion, BAY 87-2243 inhibits cell proliferation via interference with mitochondrial function. BAY 87-2243 had no effect on HIF target gene expression levels in RCC4 cells lacking Von Hippel-Lindau (VHL) activity nor did the compound affect the activity of HIF prolyl hydroxylase-2. Antitumor activity of BAY 87-2243, suppression of HIF-1α protein levels, and reduction of HIF-1 target gene expression in vivo were demonstrated in a H460 xenograft model. BAY 87-2243 is currently in phase I clinical trials.

How to Use:

In vitro: BAY 87-2243 was used at 0.1-10 μM final concentration in various in vitro assays.

In vivo: BAY 87-2243 was dosed to Mice bearing lung carcinoma H460 xenografts via oral gavage at 4 mg/kg once per day. Formulation is 1% (v/v) solution of ethanol/solutol/water (10/40/50%).

Reference:

1. Ellinghaus P, et al. BAY 87-2243, a highly potent and selective inhibitor of hypoxia-induced gene activation has antitumor activities by inhibition of mitochondrial complex I. (2013) Cancer Med. 2(5):611-24.