

## **Data Sheet**

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 Product Name
 :
 BAY 87-2243

 Cat. No.
 :
 PC-20172

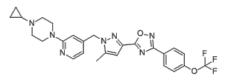
 CAS No.
 :
 1227158-85-1

 Molecular Formula
 :
 C<sub>26</sub>H<sub>26</sub>F<sub>3</sub>N<sub>7</sub>O<sub>2</sub>

**Molecular Weight**: 525.54

**Target** : HIF/HIF Prolyl-hydroxylase

**Solubility** : 10 mM in DMSO



## **Biological Activity**

BAY 87-2243 (BAY87-2243) is a highly potent, selective inhibitor of **HIF-1**, inhibits hypoxia-induced gene activation (IC50=0.7 nM), directly inhibits **mitochondrial complex I**.

BAY 87-2243 inhibits hypoxic induction of the HIF target gene CA9 on protein level in HCT116luc cells with IC50 of 2 nM. BAY 87-2243 inhibits hypoxia-inducible factor (HIF- $1\alpha$ ) and HIF- $2\alpha$  protein accumulation in hypoxic H460 cells H460 under hypoxia, but has no effect on HIF- $1\alpha$  protein levels induced by hypoxia mimetics and has no effect on prolyl hydroxylase 2 (PHD2) activity.

BAY 87-2243 suppresses HIF target gene expression in hypoxic lung cancer cells.

BAY 87-2243 inhibits mitochondrial oxygen consumption with IC50 of 10 nM.

BAY 87-2243 potently inhibits cell proliferation of H460 cells with EC50 of 3 nM.

BAY 87-2243 reduces tumor weight, HIF- $1\alpha$  protein, and HIF-1 target gene expression levels in H460 xenograft mouse model.

## References

Ellinghaus P, et al. *Cancer Med.* 2013 Oct;2(5):611-24.

Chang E,et al. *Clin Cancer Res.* 2015 Jan 15;21(2):335-46.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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