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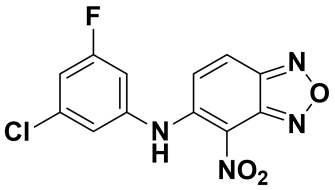
HIF-2 Inhibitor – HIF-C2

Chemical Name: N-(3-chloro-5-fluorophenyl)-4-nitrobenzo[c][1,2,5]oxadiazol-5-amine



Molecular Weight:	308.65
Formula:	$C_{12}H_6ClFN_4O_3$
Purity:	≥98%
CAS#:	1422955-31-4
Solubility:	DMSO up to 50 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

HIF-C2 is a potent and selective allosteric inhibitor of hypoxia inducible factor-2 (HIF-2). It binds within the internal cavity of HIF-2α PAS-B (K_d of 81 nM) and disrupts heterodimerization of the full-length HIF-2 transcription factor. HIF-C2 functions effectively as a HIF-2 inhibitor in living cells, disrupting HIF-2 DNA binding and inhibiting the transcription of its target genes. Moreover, HIF-C2 is selective for the HIF-2 isoform and has no activity to antagonize HIF-1. HIF-C2 provides an opportunity to delineate differences in HIF-1 and HIF-2 biology and serves as an entry point for development of HIF-2 inhibitor drugs for treating diseases, including renal cell carcinomas.

How to Use:

In vitro: HIF-C2 was used at 10 μM final concentration in vitro and in cellular assays.

In vivo: n/a

Reference:

1. Scheuermann TH, et al. Allosteric inhibition of hypoxia inducible factor-2 with small molecules. (2013) Nat Chem Biol 9(4):271-6.
2. Rogers JL, et al. Development of Inhibitors of the PAS-B Domain of the HIF-2α Transcription Factor. (2013) J Med Chem. 56(4):1739-47.