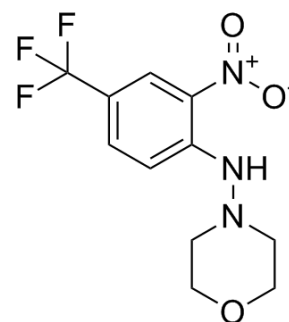


THS-044

Cat. No.:	HY-19621		
CAS No.:	62054-67-5		
Molecular Formula:	C ₁₁ H ₁₂ F ₃ N ₃ O ₃		
Molecular Weight:	291.23		
Target:	HIF/HIF Prolyl-Hydroxylase		
Pathway:	Metabolic Enzyme/Protease		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



BIOLOGICAL ACTIVITY

Description

THS-044 binding stabilizes the HIF2 α PAS-B folded state, for regulating HIF2 activity in endogenous and clinical settings. Target: HIF2 α . Limited trypsin proteolysis reveals that both apo and THS-044-bound protein are efficiently cut at R330 in the extended HI loop. In the THS-044 bound state, there appears no additional proteolysis at the remaining candidate trypsin sites. In contrast, these THS-044-protected sites are protease accessible in the unliganded protein, leading its complete degradation. In parallel, NMR-based deuterium exchange measurements revealed a dramatic stabilization of the THS-044-bound protein β -sheet, with some sites experiencing 100-fold enhanced protection factors relative to the ligand-free protein.

REFERENCES

- [1]. Motto I, et al. New aryl hydrocarbon receptor homology model targeted to improve docking reliability. *J Chem Inf Model*. 2011 Nov 28;51(11):2868-2881.
- [2]. Scheuermann TH, et al. Artificial ligand binding within the HIF2 α PAS-B domain of the HIF2 transcription factor. *Proc Natl Acad Sci U S A*. 2009 Jan 13;106(2):450-455.

Caution: Product has not been fully validated for medical applications. For research use only.

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