

PFI-7: A chemical probe for GID4

Version 1.0 (29th September 2021)



Web link for more details: <https://www.thesgc.org/chemical-probes/PFI-7>

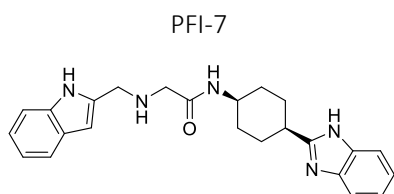
Overview

Pfizer in collaboration with the SGC have developed PFI-7 a potent ligand for the E3 ligase GID4. PFI-7 binds potently to GID4 with $K_D = 0.08 \mu\text{M}$ (SPR) and displaces the known degron peptide in a NanoBRET assay with $EC_{50} = 0.6 \mu\text{M}$. PFI-7N is a closely related negative control with $K_D = 5 \mu\text{M}$ (SPR).

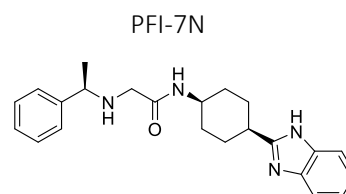
Summary

Chemical Probe Name	PFI-7
Negative control compound	PFI-7N
Target(s) (synonyms)	GID4 (glucose-induced degradation protein 4 homolog)
Recommended <i>in vitro</i> assay concentration	< 0.1 μM ; use with negative control for best interpretation of data
Suitability for <i>in vivo</i> use and recommended dose	This chemical probe was not tested for <i>in vivo</i> use.
Publications	
Orthogonal chemical probes	
<i>In vitro</i> assay(s) used to characterise	SPR, FP
Cellular assay(s) for target-engagement	NanoBRET
ChemicalProbes.org	

Chemical Probe & Negative Control Structures and Use



SMILES:
C1C[C@H](CC[C@H]1c1nc2ccccc2[nH]1)NC(CNCc1cc2ccccc2[nH]1)=O
InChiKey: HLHNFJNSQZZUNW-MAEOIBBWSA-N
Molecular weight: 401.2
Storage: As a dry powder or as DMSO stock solutions (10 mM) at -20 °C.
DMSO stocks beyond 3-6 months or 2 freeze/thaw cycles should be tested for activity before use
Dissolution: Soluble in DMSO up to 50 mM; use only 1 freeze/thaw cycle per aliquot



SMILES:
C[C@H](c1ccccc1)NCC(N[C@@H]1CC[C@@H](CC1)c1nc2ccccc2[nH]1)=O
InChiKey: ZQSHOYHCUAIUKX-QRQLOZEOSA-N
Molecular weight: 376.2
Storage: As a dry powder or as DMSO stock solutions (10 mM) at -20 °C.
DMSO stocks beyond 3-6 months or 2 freeze/thaw cycles should be tested for activity before use
Dissolution: Soluble in DMSO up to 50 mM; use only 1 freeze/thaw cycle per aliquot

Chemical Probe Profile

In vitro Potency & Selectivity: PFI-7 binds potently to GID4 with a K_D (SPR) of 80 nM.

Potency in Cells and Cellular Target Engagement: NanoBRET measurements showed an EC_{50} of 0.6 μM .