PFI-E3H1: A chemical handle for GID4

Version 2 (1st November 2021)

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

Overview

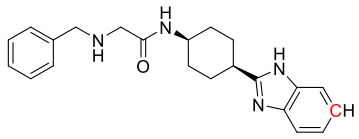
Pfizer in collaboration with the SGC have developed PFI-E3H1 which is a chemical handle for the E3 ligase GID4. PFI-E3H1 binds potently to GID4 with K_D = 0.65 µM (SPR). Further characterization identifies C26 as a suitable site to attach an exit vector.

Summary

Chemical Handle Name	PFI-E3H1
Negative control compound	NA
Target(s) (synonyms)	GID4 (glucose-induced degradation protein 4 homolog)
Recommended in vitro assay concentration	< 0.1 µM
Suitability for in vivo use and recommended dose	This chemical probe was not tested for in vivo use.
Publications	
Orthogonal chemical probes	NA
In vitro assay(s) used to characterise	SPR
ChemicalProbes.org	

Chemical Handle Structure and Use

PFI-E3-H1



SMILES:
C1C[C@@H](CC[C@@H]1c1nc2ccccc2[nH]1)NC(CNCc1ccccc1)=O
InChiKey: NJJOKGWFZQVSMR-HDICACEKSA-N
Molecular weight: 362.2
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 Handle Profile

In vitro Potency & Selectivity:

PFI-E3H1 binds potently to GID4 with a K_D (SPR) of 650 nM.