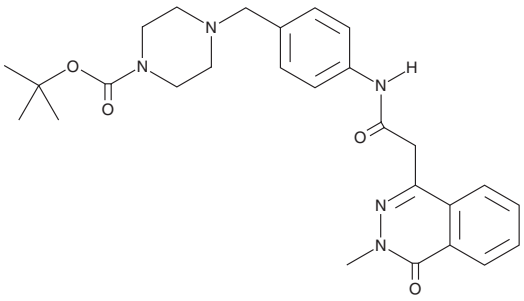
PRODUCT INFORMATION

PH-002

Item No. 27739

CAS Registry No.:	1311174-68-1
Formal Name:	4-[[4-[[2-(3,4-dihydro-3-methyl-4-oxo-1-phthalazinyl)acetyl]amino]phenyl]methyl]-1-piperazinecarboxylic acid, 1,1-dimethylethyl ester
MF:	$C_{27}H_{33}N_5O_4$
FW:	491.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 248 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PH-002 is supplied as a solid. A stock solution may be made by dissolving the PH-002 in the solvent of choice, which should be purged with an inert gas. PH-002 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PH-002 in ethanol and DMSO is approximately 10 mg/ml and approximately 15 mg/ml in DMF.

PH-002 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PH-002 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PH-002 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PH-002 is an inhibitor of the interaction between the amino- and carboxy-terminal domains of apolipoprotein E4 (ApoE4; IC_{50} = 116 nM in a FRET reporter assay for domain interaction).[1,2] It restores intracellular trafficking of ApoE4 to the endoplasmic reticulum and Golgi apparatus in Neuro-2a cells expressing *EGFP-ApoE4* or *EGFP-ApoE4-R61T*, a mutation that impairs ApoE4 domain interactions, when used at a concentration of 100 nM. PH-002 also prevents impairments in neurite outgrowth and dendritic spine development induced by expression of *ApoE4* in Neuro-2a cells. It restores levels of mitochondrial complex IV subunit 1 in Neuro-2a cells expressing *ApoE4* (EC_{50} = 39 nM) and increases mitochondrial motility in PC12 cells expressing *ApoE4* (EC_{50} = <1 nM).[1]

References

1. Chen, H.-K., Liu, Z., Meyer-Franke, A., *et al.* Small molecule structure correctors abolish detrimental effects of apolipoprotein E4 in cultured neurons. *J. Biol. Chem.* **287(8)**, 5253-5266 (2012).
2. Brodbeck, J., McGuire, J., Liu, Z., *et al.* Structure-dependent impairment of intracellular apolipoprotein E4 trafficking and its detrimental effects are rescued by small-molecule structure correctors. *J. Biol. Chem.* **286(19)**, 17217-17226 (2011).

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