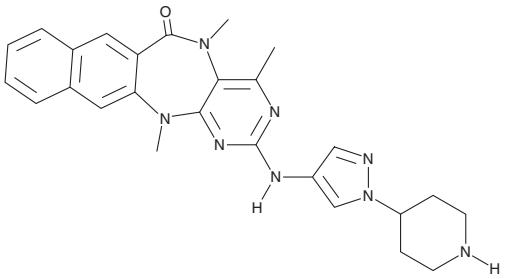
PRODUCT INFORMATION

HTH-01-015

Item No. 19091

CAS Registry No.:	1613724-42-7
Formal Name:	5,13-dihydro-4,5,13-trimethyl-2-[[1-(4-piperidinyl)-1H-pyrazol-4-yl]amino]-6H-naphtho[2,3-e]pyrimido[5,4-b][1,4]diazepin-6-one
MF:	$C_{26}H_{28}N_8O$
FW:	468.6
Purity:	≥95%
UV/Vis.:	λ_{max}: 228, 254 nm
Supplied as:	A crystalline 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

HTH-01-015 is supplied as a crystalline solid. A stock solution may be made by dissolving the HTH-01-015 in the solvent of choice, which should be purged with an inert gas. HTH-01-015 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of HTH-01-015 in ethanol is approximately 20 mg/ml and approximately 30 mg/ml in DMSO and DMF.

HTH-01-015 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, HTH-01-015 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. HTH-01-015 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

NUAK1 (also known as AMPK-related kinase 5) and NUAK2 (also known as SNF1/AMPK-related kinase) are members of the AMP-activated protein kinase (AMPK) family of protein kinases that are activated by the liver kinase B1 tumor suppressor kinase. NUAK kinases are thought to have roles in regulating cell adhesion, cancer cell invasion, embryonic development, senescence, proliferation, neuronal polarity, and axon branching. HTH-01-015 is a selective inhibitor of NUAK1 (IC_{50} = 100 nM) and does not affect the activity of a panel of 139 other kinases, including additional AMPK family members.[1] At 10 µM, HTH-01-015 has been shown to partially inhibit the phosphorylation of the NUAK1 substrate, myosin phosphate-targeting subunit 1 at Ser^{445}.[1] When administered to mouse embryonic fibroblasts *in vitro*, 10 µM HTH-01-015 inhibits proliferation and migration in a wound-healing assay.[1] It has also been shown to impair the invasive potential of U2OS cells at similar concentrations in a 3D cell invasion assay.[1]

Reference

1. Banerjee, S., Buhrlage, S.J., Huang, H.-T., *et al*. Characterization of WZ4003 and HTH-01-015 as selective inhibitors of the LKB1-tumour-suppressor-activated NUAK kinases. *Biochem. J.* **457(1)**, 215-225 (2014).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY
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