

PRODUCT INFORMATION

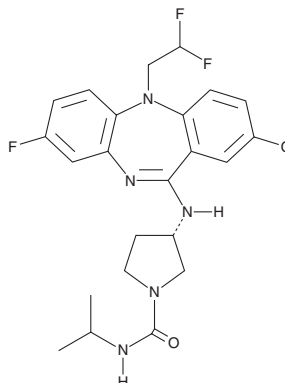


NVS-PAK1-1

Item No. 19964

CAS Registry No.: 1783816-74-9
Formal Name: (3S)-3-[[2-chloro-5-(2,2-difluoroethyl)-8-fluoro-5H-dibenzo[b,e][1,4]diazepin-11-yl]amino]-N-(1-methylethyl)-1-pyrrolidinecarboxamide

MF: C₂₃H₂₅ClF₃N₅O
FW: 479.9
Purity: ≥98%
UV/Vis.: λ_{max}: 264 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

NVS-PAK1-1 is supplied as a crystalline solid. A stock solution may be made by dissolving the NVS-PAK1-1 in the solvent of choice, which should be purged with an inert gas. NVS-PAK1-1 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of NVS-PAK1-1 in ethanol is approximately 20 mg/ml and approximately 50 mg/ml in DMSO and DMF.

NVS-PAK1-1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, NVS-PAK1-1 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. NVS-PAK1-1 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

NVS-PAK1-1 is an allosteric inhibitor of p21-activated kinase 1 (PAK1), a non-receptor serine/threonine kinase involved in tumorigenesis ($K_d = 7$ nM).¹ It has an IC_{50} value of 5.2 nM in a PAK1 dephosphorylation assay. NVS-PAK1-1 is selective for PAK1 over PAK2 ($K_d = 400$ nM) and over a panel of 442 kinases, where it did not inhibit any other kinases by greater than 80%.^{1,2} It inhibits phosphorylation of MEK Ser289 when used at concentrations ranging from 6 to 20 μ M but does not inhibit proliferation of Su86.86 cells at concentrations lower than 2 μ M.¹ See the Structural Genomics Consortium (SGC) website for more information.

References

1. Karpov, A.S., Amiri, P., Bellamacina, C., *et al.* Optimization of a dibenzodiazepine hit to a potent and selective allosteric PAK1 inhibitor. *ACS Med. Chem. Lett.* **6(7)**, 776-781 (2015).
2. Semenova, G. and Chernoff, J. Targeting PAK1. *Biochem. Soc. Trans.* **45(1)**, 79-88 (2017).

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.

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