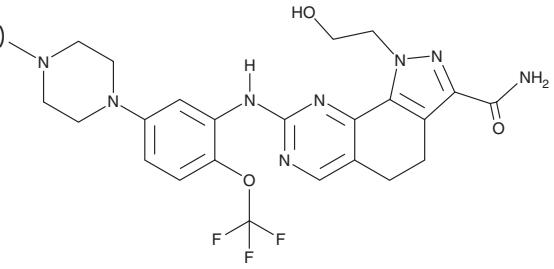
PRODUCT INFORMATION

NMS-1286937

Item No. 28762

CAS Registry No.: 1034616-18-6
Formal Name: 4,5-dihydro-1-(2-hydroxyethyl)-8-[[5-(4-methyl-1-piperazinyl)-2-(trifluoromethoxy)phenyl]amino]-1H-pyrazolo[4,3-h]quinazoline-3-carboxamide
Synonyms: NMS-P937, Onvansertib, PCM-075
MF: $C_{24}H_{27}F_3N_8O_3$
FW: 532.5
Purity: ≥98%
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

NMS-1286937 is supplied as a solid. A stock solution may be made by dissolving the NMS-1286937 in the solvent of choice, which should be purged with an inert gas. NMS-1286937 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of NMS-1286937 in these solvents is approximately 3 and 5 mg/ml, respectively.

NMS-1286937 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, NMS-1286937 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. NMS-1286937 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

NMS-1286937 is an inhibitor of polo-like kinase 1 (Plk1; IC_{50} = 2 nM).[1] It is selective for Plk1 over Plk2 and Plk3 (IC_{50}s = >10,000 nM for both). It inhibits proliferation of A2780 cells with an IC_{50} value of 42 nM. NMS-1286937 halts the cell cycle at the G_2/M phase in A2780 cells when used at a concentrations ranging from 20 to 200 nmol/L and induces apoptosis.[2] It reduces tumor growth in an HCT116 mouse xenograft model when administered at a dose of 60 mg/kg once per day.[1] NMS-1286937 (45 mg/kg) also induces tumor regression in an HT-29 mouse xenograft model when administered in combination with CPT11 (irinotecan; Item No. 14180).[2]

References

1. Beria, I., Bossi, R.T., Brasca, M.G., *et al.* NMS-P937, a 4,5-dihydro-1H-pyrazolo[4,3-h]quinazoline derivative as potent and selective Polo-like kinase 1 inhibitor. *Bioorg. Med. Chem. Lett.* **21(10),** 2969-2974 (2011).
2. Valsasina, B., Beria, I., Alli, C., *et al.* NMS-P937, an orally available, specific small-molecule polo-like kinase 1 inhibitor with antitumor activity in solid and hematologic malignancies. *Mol. Cancer Ther.* **11(4),** 1006-1016 (2012).

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