

# 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:  $C_{24}H_{27}F_3N_8O_3$

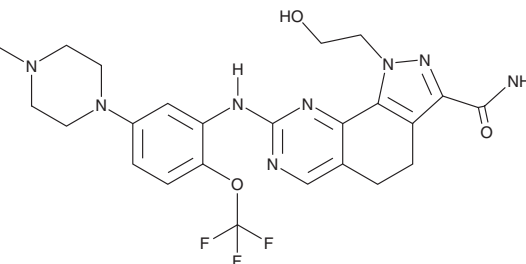
FW: 532.5

Purity:  $\geq 98\%$

Supplied as: A solid

Storage:  $-20^{\circ}\text{C}$

Stability:  $\geq 4$  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;  $IC_{50} = 2 \text{ nM}$ ).<sup>1</sup> It is selective for Plk1 over Plk2 and Plk3 ( $IC_{50}$ s =  $>10,000 \text{ nM}$  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.<sup>2</sup> It reduces tumor growth in an HCT116 mouse xenograft model when administered at a dose of 60 mg/kg once per day.<sup>1</sup> 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).<sup>2</sup>

### References

- 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).
- 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).

#### 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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