PRODUCT INFORMATION



X-82

Item No. 38765

| CAS Registry No.: | 1013920-15-4 |
|------------------------|--|
| Formal Name: | N-[(3S)-1-[(dimethylamino)carbonyl]-3- |
| | pyrrolidinyl]-5-[(Z)-(5-fluoro-1,2-dihydro- F |
| | 2-oxo-3H-indol-3-ylidene)methyl]-2,4- |
| | dimethyl-1H-pyrrole-3-carboxamide |
| Synonyms: | CM082, Vorolanib |
| MF: | $C_{23}H_{26}FN_5O_3$ |
| FW: | 439.5 N / H |
| Purity: | ≥98% |
| Supplied as: | A solid H |
| Storage: | -20°C |
| Stability: | ≥4 years |
| Information represents | the product specifications. Batch specific analytical results are provided on each certificate of analysis |

Laboratory Procedures

X-82 is supplied as a solid. A stock solution may be made by dissolving the X-82 in the solvent of choice, which should be purged with an inert gas. X-82 is soluble in acetonitrile.

Description

X-82 is a multi-kinase inhibitor.¹ It inhibits VEGFR2, PDGFRβ, FMS-related tyrosine kinase 3 (FLT3), and c-Kit (IC₅₀s = 1.12, 0.13, 0.63, and 0.14 nM, respectively) and is selective for these kinases over RET and AMP-activated kinase $\alpha 1$ (AMPK $\alpha 1$; IC₅₀s = 74.1 and 352.2 nM, respectively). X-82 inhibits VEGF-induced proliferation of human umbilical vein endothelial cells (HUVECs; IC₅₀ = 31 nM).² It decreases VEGF-induced capillary tube formation in HUVECs when used at a concentration of 1 µM and reduces FBS-induced migration of HUVECs at 0.01 or 0.1 μ M. In vivo, X-82 (80 mg/kg per day), alone and in combination with the EGFR inhibitor gefitinib (Item No. 13166), reduces tumor volume and growth in an HCC827 non-small cell lung cancer (NSCLC) mouse xenograft model.

References

- 1. Liang, C., Yuan, X., Shen, Z., et al. Vorolanib, a novel tyrosine receptor kinase receptor inhibitor with potent preclinical anti-angiogenic and anti-tumor activity. Mol. Ther. Oncolytics 24, 577-584 (2022).
- 2. Zhang, K., Wang, L., Wei, A., et al. CM082, a novel angiogenesis inhibitor, enhances the antitumor activity of gefitinib on epidermal growth factor receptor mutant non-small cell lung cancer in vitro and in vivo. Thorac. Cancer 11(6), 1566-1577 (2020).

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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