PRODUCT INFORMATION



SAR131675

Item No. 28385

CAS Registry No.: 1433953-83-3

Formal Name: 2-amino-1-ethyl-1,4-dihydro-7-[(3R)-

> 3-hydroxy-4-methoxy-3-methyl-1butyn-1-yl]-N-methyl-4-oxo-1,8naphthyridine-3-carboxamide

MF: $C_{18}H_{22}N_4O_4$ FW: 358.4 **Purity:** ≥98%

λ_{max}: 230, 257, 328, 340 nm UV/Vis.:

Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

ОН

Laboratory Procedures

SAR131675 is supplied as a crystalline solid. A stock solution may be made by dissolving the SAR131675 in the solvent of choice, which should be purged with an inert gas. SAR131675 is soluble in the organic solvent chloroform at a concentration of approximately 30 mg/ml.

Description

SAR131675 is an ATP-competitive inhibitor of VEGF receptor 3 (VEGFR3) with an IC_{50} value of 23 nM for the human recombinant receptor in a cell-free assay. It is selective for VEGFR3 over VEGFR2 and VEGFR1 (IC₅₀s = 230 and >3,000 nM, respectively). SAR131675 inhibits survival of human lymphatic cells cultured with the VEGFR3-specific ligands VEGF-C and VEGF-D over the non-specific ligand VEGF-A in vitro (IC₅₀s = 14, 17, and 664 nM, respectively). It decreases VEGF-C and VEGF-A-induced migration of human microvascular endothelial cells (HMVECs) when used at concentrations of 100 and 300 nM. SAR131675 (100 mg/kg) reduces tumor size, decreases the number of pancreatic angiogenic islets, and increases survival in the RIP1-Tag2 transgenic mouse model of pancreatic neuroendocrine tumors. It also decreases tumor size, levels of VEGFR3 in mammary tumor lysates, number of tumor-associated macrophages in mammary tumors, and the number of lung metastases in a 4T1 mouse allograft model when administered at a dose of 100 mg/kg.

Reference

1. Alam, A., Blanc, I., Gueguen-Dorbes, G., et al. SAR131675, a potent and selective VEGFR-3-TK inhibitor with antilymphangiogenic, antitumoral, and antimetastatic activities. Mol. Cancer Ther. 11(8), 1637-1649 (2012).

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

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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