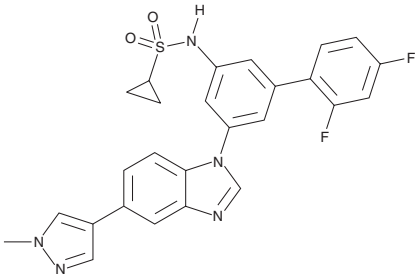
PRODUCT INFORMATION

ODM-203

Item No. 31451

CAS Registry No.:	1430723-35-5
Formal Name:	N-[2′,4′-difluoro-5-[5-(1-methyl-1H-pyrazol-4-yl)-1H-benzimidazol-1-yl][1,1′-biphenyl]-3-yl]-cyclopropanesulfonamide
MF:	$C_{26}H_{21}F_2N_5O_2S$
FW:	505.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 239 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

ODM-203 is supplied as a crystalline solid. A stock solution may be made by dissolving the ODM-203 in the solvent of choice, which should be purged with an inert gas. ODM-203 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of ODM-203 in these solvents is approximately 10 and 2 mg/ml, respectively.

ODM-203 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ODM-203 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. ODM-203 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ODM-203 is a dual inhibitor of VEGFR and FGFR (IC_{50}s = 5-26 and 6-35 nM for VEGFR1-3 and FGFR1-4, respectively).[1] It is selective for VEGFR1-3 and FGFR1-4 over a panel of 308 kinases at 1 µM, but does inhibit the receptor tyrosine kinases PDGFRα, PDGFRβ, and DDR1 (IC_{50}s = 35, 169, and 6 nM, respectively), as well as MAP4K4, MINK1, RET, SIK2, YES1, and Tie2 (IC_{50}s = 49, 41, 8, 23, 152, and 174 nM, respectively). ODM-203 inhibits FGFR-dependent proliferation in H1581 lung, SNU-16 stomach, and RT4 bladder cancer cells (IC_{50}s = 104, 132, and 192 nM, respectively) and VEGF-induced tube formation by human umbilical vein endothelial cells (HUVECs; IC_{50} = 33 nM). *In vivo*, ODM-203 (20 and 40 mg/kg) decreases tumor volume in an RT4 mouse xenograft model. It also reduces tumor growth and intratumor phosphorylation of FGFR in a SNU-16 mouse xenograft model when administered at a dose of 30 mg/kg.

Reference

1. Holmström, T.H., Moilanen, A.-M., Ikonen, T., *et al*. ODM-203, a selective inhibitor of FGFR and VEGFR, shows strong antitumor activity, and induces antitumor immunity. *Mol. Cancer Ther.* **18(1)**, 28-38 (2019).

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