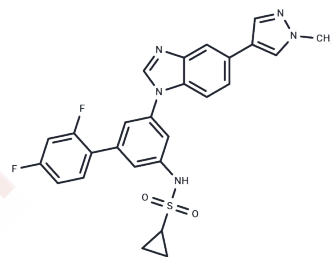


## ODM-203

## Chemical Properties

CAS No. :	1430723-35-5
Formula:	C <sub>26</sub> H <sub>21</sub> F <sub>2</sub> N <sub>5</sub> O <sub>2</sub> S
Molecular Weight:	505.54
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## Biological Description

Description	ODM-203, a Selective Inhibitor of FGFR and VEGFR, Shows Strong Antitumor Activity, and Induces Antitumor Immunity
Targets(IC50)	FGFR, VEGFR
In vitro	ODM-203 inhibits FGFR and VEGFR family kinases selectively and with equal potency in the low nanomolar range (IC <sub>50</sub> 6–35 nmol/L) in biochemical assays. In cellular assays, ODM-203 inhibits VEGFR-induced tube formation (IC <sub>50</sub> 33 nmol/L) with similar potency as it inhibits proliferation in FGFR-dependent cell lines (IC <sub>50</sub> 50–150 nmol/L).
In vivo	In vivo, ODM-203 shows strong antitumor activity in both FGFR-dependent xenograft models and in an angiogenic xenograft model at similar well-tolerated doses.
Cell Research	Inhibition of FRS2 Tyrosine 196 phosphorylation by ODM-203 in FGFR-dependent cell lines was measured using an MSD 96-well multiarray Phospho-FRS2 Tyr196 assay (MesoScale Diagnostics). Briefly, the cell lines were seeded at a density of 75,000 cells/well on poly-d-lysine-coated 96-well plates in the cell culture media. The cells were allowed to attach overnight and subsequently treated with the vehicle (0.5% DMSO) or increasing concentrations of ODM-203 for 20 minutes. The cell culture media were aspirated and the cells lysed in MSD Tris Lysis Buffer supplemented with 10 mmol/L NaF, 1× phosphatase inhibitor cocktail 2 and 3 and Complete Protease Inhibitor Cocktail. The electrochemiluminescence signal was detected with a SECTOR Imager 2400 plate reader coupled to a CCD camera. Data were expressed as percentages of vehicle control values and analyzed with GraphPad Prism 7.03. Each test concentration was studied at least in triplicate and inhibition percentages were calculated for the parallel samples. Average IC <sub>50</sub> values were calculated from two independent experiments.
Animal Research	Athymic Nude-Foxn1nu female mice (9 weeks old; Harlan, the Netherlands) were subcutaneously injected with 1 million H1581, KMS11, RT4, or SNU16 cells in 100 μL of McCoy's 5a modified medium and Matrigel (BD) (1:1). Tumor growth was monitored twice weekly by caliper measurements. Oral treatment with ODM-203 and AZD-4547 was started when the average tumor volume reached 100 mm <sup>3</sup> and continued for 21 days for the RT4 xenograft model (n = 12/group) and 12 days for the SNU16 xenograft model (n = 6/group). Necropsy, and plasma and tumor sampling were carried out 4 hours after the last dosing. Doses for 12.5 mg/kg AZD4547 and 40 mg/kg sorafenib were chosen based on published data. Oral treatment (ODM-203 or AZD4547) was initiated

## A DRUG SCREENING EXPERT

Animal Research	when the average tumor volume reached $\approx 125 \text{ mm}^3$ . Mean tumor volumes were calculated for each treatment group.
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### Solubility Information

Solubility	DMSO: 13 mg/mL (25.72 mM), Sonication is recommended. ( $< 1 \text{ mg/ml}$ refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (3.96 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9781 mL	9.8904 mL	19.7808 mL
5 mM	0.3956 mL	1.9781 mL	3.9562 mL
10 mM	0.1978 mL	0.989 mL	1.9781 mL
50 mM	0.0396 mL	0.1978 mL	0.3956 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Tim H Holmström , Anu-Maarit Moilanen , Tarja Ikonen, et al. ODM-203, a Selective Inhibitor of FGFR and VEGFR, Shows Strong Antitumor Activity, and Induces Antitumor Immunity[J]. Mol Cancer Ther, 18 (1), 28-38 Jan 2019

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