Product Datasheet

AZD4547

Catalog No. **BP-01833** · CAS **1035270-39-3** · Form **free base** · Physical state **solid** · Color **White to yellow** · Version **1.0** · Revision **2026-09-08**

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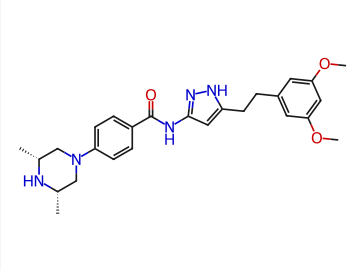
Target	Research area
FGFR	**Cancer**

Molecular formula	$C_{26}H_{33}N_5O_3$
Molecular weight	**463.5719**

STRUCTURE



DESCRIPTION

Fexagratinib (AZD4547; ADSK091) is a potent inhibitor of the FGFR family with IC50s of 0.2 nM, 2.5 nM, 1.8 nM, and 165 nM for FGFR1, FGFR2, FGFR3, and FGFR4, respectively.

BIOLOGICAL ACTIVITY

In Vitro

Fexagratinib also inhibits recombinant VEGFR2 (KDR) kinase activity with an IC50 of 24 nM. In KG1a, Sum52-PE, MCF7, and KMS11 cell lines, Fexagratinib potently inhibits autophosphorylation of FGFR1, 2, and 3 tyrosine kinases (IC50 values of 12, 2, and 40 nM, respectively) and displays weaker inhibition of FGFR4 cellular kinase activity (IC50=142 nM). Significantly weaker inhibitory activity is observed versus cellular KDR and IGFR ligand-induced phosphorylation (IC50 values of 258 and 828 nM, respectively), representing approximately 20- and 70-fold selectivity over cellular FGFR1. Besides, Fexagratinib potently inhibits FGFR phosphorylation and downstream signaling affected through FRS2, PLCγ, and MAPK at the cellular level.

In Vivo

Female SCID mice bearing KMS11 tumors are randomized and treated chronically with Fexagratinib at a range of well-tolerated doses. Oral Fexagratinib treatment results in dose-dependent tumor growth inhibition. Twice daily administration of Fexagratinib at 3 mg/kg gives statistically significant tumor growth inhibition of 53% ($P<0.0005$ by one-tailed t test) when compare with vehicle-treated controls, whereas doses of 12.5 mg/kg once daily and 6.25 mg/kg twice daily results in complete tumor stasis ($P<0.0001$). A further efficacy study in the KG1a model with 12.5 mg/kg once daily Fexagratinib results in 65% tumor growth inhibition ($P=0.002$).

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Gavine PR, et al. AZD4547: an orally bioavailable, potent, and selective inhibitor of the fibroblast growth factor receptor tyrosine kinase family. Cancer Res, 2012, 72(8), 2045-2056.

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