

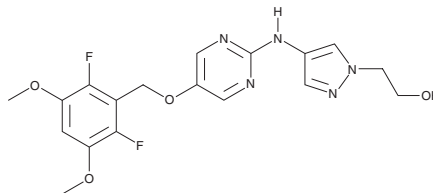
PRODUCT INFORMATION



ASP5878

Item No. 41841

CAS Registry No.: 1453208-66-6
Formal Name: 4-[[5-[(2,6-difluoro-3,5-dimethoxyphenyl)methoxy]-2-pyrimidinyl]amino]-1H-pyrazole-1-ethanol
MF: C₁₈H₁₉F₂N₅O₄
FW: 407.4
Purity: ≥98%
Supplied as: A 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

ASP5878 is supplied as a solid. A stock solution may be made by dissolving the ASP5878 in the solvent of choice, which should be purged with an inert gas. ASP5878 is sparingly soluble (1-10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in acetonitrile.

Description

ASP5878 is an inhibitor of wild-type FGFR1, -2, -3, and -4 (IC₅₀s = 0.47, 0.6, 0.74, and 3.5 nM, respectively) and mutant FGFR3^{K650E}, FGFR3^{K560M}, and FGFR4^{N535K} (IC₅₀s = 1.6, 4.2, and 78 nM, respectively).¹ It is selective for these FGFRs over a panel of 128 additional kinases at 200 nM but does inhibit VEGFR2 and CSF-1 receptor tyrosine kinase (FMS; IC₅₀s = 25 and 150 nM, respectively). It selectively inhibits the proliferation of urothelial cancer cell lines with *FGFR* gene alterations (IC₅₀s = <100 nM) over those without *FGFR* gene alterations (IC₅₀s = ≥300 nM). ASP5878 also inhibits the proliferation of adriamycin-resistant UM-UC-14 bladder cancer cells and gemcitabine-resistant RT-112 bladder cancer cells (IC₅₀s = 11 and 10 nM, respectively). *In vivo*, ASP5878 (3 mg/kg) induces tumor regression in a UM-UC-14 mouse xenograft model. It also increases femur and tibia length and femur growth plate cartilage thickness in *Fgfr3*^{G380R} male mice in a model of achondroplasia when administered at a dose of 300 µg/kg.²

References

1. Kikuchi, A., Suzuki, T., Nakazawa, T., *et al.* ASP5878, a selective FGFR inhibitor, to treat FGFR3-dependent urothelial cancer with or without chemoresistance. *Cancer Sci.* **108**(2), 236-242 (2017).
2. Ozaki, T., Kawamoto, T., Iimori, Y., *et al.* Evaluation of FGFR inhibitor ASP5878 as a drug candidate for achondroplasia. *Sci. Rep.* **10**(1), 20915 (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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