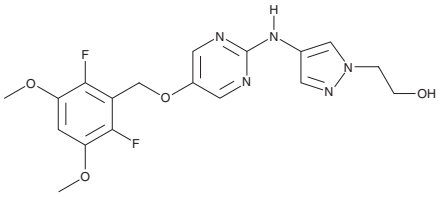
PRODUCT INFORMATION

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: $C_{18}H_{19}F_2N_5O_4$
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 (IC_{50}s = 0.47, 0.6, 0.74, and 3.5 nM, respectively) and mutant $FGFR3^{K650E}$, $FGFR3^{K560M}$, and $FGFR4^{N535K}$ (IC_{50}s = 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; IC_{50}s = 25 and 150 nM, respectively). It selectively inhibits the proliferation of urothelial cancer cell lines with *FGFR* gene alterations (IC_{50}s = <100 nM) over those without *FGFR* gene alterations (IC_{50}s = ≥300 nM). ASP5878 also inhibits the proliferation of adriamycin-resistant UM-UC-14 bladder cancer cells and gemcitabine-resistant RT-112 bladder cancer cells (IC_{50}s = 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).

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