AZ 5104
Item No. 17994

CAS Registry No.: 1421373-98-9
Formal Name: N-[2-[[2-(dimethylamino)ethyl]methylamino]-5-[[4-{1H-indol-3-yl}-2-pyrimidinyl]amino]-4-methoxyphenyl]-2-propenamide
MF: C_{27}H_{31}N_{7}O_{2}
FW: 485.6
Purity: ≥95%
UV/Vis.: λ_{max}: 277, 313 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AZ 5104 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZ 5104 in the solvent of choice. AZ 5104 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of AZ 5104 in these solvents is approximately 30 mg/ml.

AZ 5104 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZ 5104 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. AZ 5104 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method.

We do not recommend storing the aqueous solution for more than one day.

Description

AZ 5104 is an active, demethylated metabolite of AZD 9291 (Item No. 16237), an irreversible inhibitor of EGFR-sensitizing and T790M resistance mutations (IC_{50}s = 15-17 nM) that spares the wild-type form of the receptor (IC_{50} = 480 nM).\textsuperscript{1,3} AZ 5104 displays a similar overall activity profile as the parent compound.\textsuperscript{2,3}

References

1. Finlay, M.R., Anderton, M., Ashton, S., et al. Discovery of a potent and selective EGFR inhibitor (AZD9291) of both sensitizing and T790M resistance mutations that spares the wild-type form of the receptor (IC_{50} = 480 nM).\textsuperscript{1,3} J. Med. Chem. 57(20), 8249-8267 (2014).