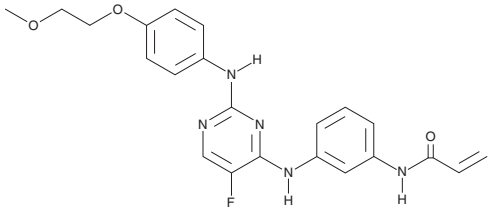
PRODUCT INFORMATION

AVL-292

Item No. 17993

CAS Registry No.: 1202757-89-8
Formal Name: N-[3-[[5-fluoro-2-[[4-(2-methoxyethoxy) phenyl]amino]-4-pyrimidinyl]amino] phenyl]-2-propenamide
Synonyms: CC-292, Spebrutinib
MF: $C_{22}H_{22}FN_5O_3$
FW: 423.4
Purity: ≥98%
UV/Vis.: λ_{max}: 271 nm
Supplied as: A crystalline 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

AVL-292 is supplied as a crystalline solid. A stock solution may be made by dissolving the AVL-292 in the solvent of choice. AVL-292 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of AVL-292 in ethanol is approximately 0.5 mg/ml and approximately 20 mg/ml in DMSO and DMF.

AVL-292 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AVL-292 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AVL-292 has a solubility of approximately 0.03 mg/ml in a 1:30 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AVL-292 is a covalent inhibitor of Bruton's tyrosine kinase (BTK; IC_{50} = 5.9 nM).[1] It is selective for BTK over a panel of 61 kinases when used at a concentration of 1 µM but does inhibit the additional Tec family kinases BMX, Itk, Tec, and TXK (IC_{50}s = 0.7, 36, 6.2, and 8.9 nM, respectively). AVL-292 inhibits naïve human B cell proliferation (EC_{50} = 3 nM). It reduces joint damage, pannus formation, cartilage degradation, and bone erosion in a mouse model of rheumatoid arthritis induced by collagen when used at doses of 3, 10, and 30 mg/kg.

Reference

1. Evans, E.K., Tester, R., Aslanian, S., *et al*. Inhibition of Btk with CC-292 provides early pharmacodynamic assessment of activity in mice and humans. *J. Pharmacol. Exp. Ther.* **346(2)**, 219-228 (2013).

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