JNJ-42756493
Item No. 21813

CAS Registry No.: 1346242-81-6
Formal Name: N1-(3,5-dimethoxyphenyl)-N2-(1-methylethyl)-N1-[3-(1-methyl-1H-pyrazol-4-yl)-6-quinoxalinyl]-1,2-ethanediamine
Synonym: Erdafitinib
MF: C25H30N6O2
FW: 446.5
Purity: ≥98%
UV/Vis.: λmax: 224, 257, 297, 411 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

JNJ-42756493 is supplied as a crystalline solid. A stock solution may be made by dissolving the JNJ-42756493 in the solvent of choice. JNJ-42756493 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of JNJ-42756493 in these solvents is approximately 1, 10, and 20 mg/ml, respectively.

JNJ-42756493 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, JNJ-42756493 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. JNJ-42756493 has a solubility of approximately 0.12 mg/ml in a 1:7 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

JNJ-42756493 is an orally bioavailable inhibitor of fibroblast growth factor receptor (FGFR) with IC50 values of 1.2, 2.5, 3, and 5.7 nM for FGFR1-4, respectively, using isolated recombinant FGFR kinases. It is selective for FGFR over the VEGF receptor 2 (IC50 = 36.8 nM). It inhibits proliferation in Ba/F3 cells expressing FGFR subtypes with IC50 values of 22.1, 13.2, and 25 nM for FGFR1, 3, and 4, respectively. It inhibits FGFR activity in non-tumor and tumor cell lines, as well as in xenograft models. Formulations containing JNJ-42756493 are in Phase II clinical trials for patients with various cancers.

Reference