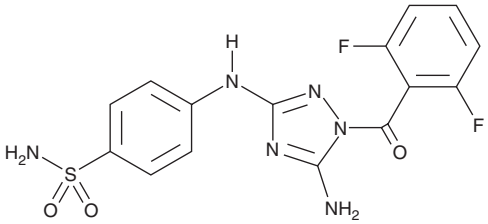
PRODUCT INFORMATION

JNJ-7706621

Item No. 18494

CAS Registry No.:	443797-96-4
Formal Name:	4-[[5-amino-1-(2,6-difluorobenzoyl)-1H-1,2,4-triazol-3-yl]amino]-benzenesulfonamide
Synonyms:	Aurora A Inhibitor I, Aurora Kinase/CDK Inhibitor
MF:	$C_{15}H_{12}F_2N_6O_3S$
FW:	394.4
Purity:	≥98%
Supplied as:	A crystalline solid
UV/Vis.:	λ_{max}: 202, 269, 307 nm
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

JNJ-7706621 is supplied as a crystalline solid. A stock solution may be made by dissolving the JNJ-7706621 in the solvent of choice. JNJ-7706621 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-7706621 in ethanol and DMSO is approximately 20 mg/ml and approximately 30 mg/ml in DMF.

JNJ-7706621 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, JNJ-7706621 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. JNJ-7706621 has a solubility of approximately 0.5 mg/ml in a 1:1 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-7706621 is a dual inhibitor of cyclin-dependent kinases (CDKs) and Aurora kinases. It potently inhibits Cdk1/cyclin B, Cdk2/cyclin A, Cdk2/cyclin E, Cdk3/cyclin E, Cdk4/cyclin D1, Cdk6/cyclin D1, Aurora A, and Aurora B *in vitro* (IC_{50}s = 9, 4, 3, 58, 253, 175, 11, and 15 nM, respectively).[1] It shows selectivity for these enzymes over a panel of other receptors and kinases, although it exhibits submicromolar inhibition of VEGF and FGF receptors, as well as GSK3β.[1] JNJ-7706621 blocks the growth of a large variety of cancer cell lines (IC_{50} values range from 112 to 514 nM), with lower potency against normal cells (IC_{50} values between 3.67 and 5.42 µM). It induces the regression of A375 melanoma human tumor xenografts in mice.[1] JNJ-7706621 is a substrate for the ATP-binding cassette transporter G2, also known as breast cancer resistance protein.[2]

References

1. Emanuel, S., Rugg, C.A., Gruninger, R.H., *et al.* The *in vitro* and *in vivo* effects of JNJ-7706621: A dual inhibitor of cyclin-dependent kinases and aurora kinases. *Cancer Res.* **65(19)**, 9038-9046 (2005).
2. Seamon, J.A., Rugg, C.A., Emanuel, S., *et al.* Role of the ABCG2 drug transporter in the resistance and oral bioavailability of a potent cyclin-dependent kinase/Aurora kinase inhibitor. *Mol. Cancer Ther.* **5(10)**, 2459-2467 (2006).

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