PRODUCT INFORMATION



JNJ-7706621

Item No. 18494

440707.044

CAS Registry No.:	443797-96-4		
Formal Name:	4-[[5-amino-1-(2,6-		
	difluorobenzoyl)-1H-1,2,4-triazol-		
	3-yl]amino]-benzenesulfonamide	ł	- F
Synonyms:	Aurora A Inhibitor I, Aurora	<u> </u>	
	Kinase/CDK Inhibitor		
MF:	C ₁₅ H ₁₂ F ₂ N ₆ O ₃ S		
FW:	394.4	H ₂ N	N O
Purity:	≥98%		\
Supplied as:	A crystalline solid	0 0	NH ₂
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 (IC₅₀s = 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 GSK3^{β,1} JNJ-7706621 blocks the growth of a large variety of cancer cell lines (IC₅₀ values range from 112 to 514 nM), with lower potency against normal cells (IC₅₀ values between 3.67 and 5.42 μ M). 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).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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