

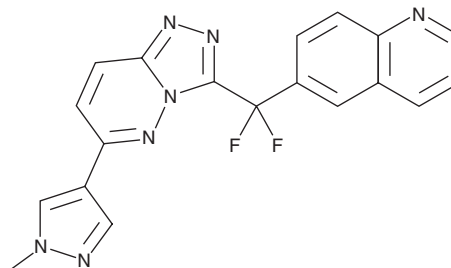
PRODUCT INFORMATION

JNJ-38877605

Item No. 27650



CAS Registry No.: 943540-75-8
Formal Name: 6-[difluoro[6-(1-methyl-1H-pyrazol-4-yl)-1,2,4-triazolo[4,3-b]pyridazin-3-yl]methyl]-quinoline
MF: C₁₉H₁₃F₂N₇
FW: 377.4
Purity: ≥98%
UV/Vis.: λ_{max}: 227, 253, 302 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

JNJ-38877605 is supplied as a crystalline solid. A stock solution may be made by dissolving the JNJ-38877605 in the solvent of choice, which should be purged with an inert gas. JNJ-38877605 is soluble in the organic solvent chloroform at a concentration of approximately 30 mg/ml.

Description

JNJ-38877605 is an ATP-competitive inhibitor of Met kinase (IC₅₀ = 4 nM).¹ It is at least 600-fold selective for Met in a panel of approximately 250 tyrosine and serine-threonine kinases. JNJ-38877605 inhibits the growth of cancer cell lines with *MET* gene amplification (IC₅₀s = 11-50 nM) but has no effect on the growth of control cells with normal *MET* gene copy number or no *MET* expression.² The efficacy of JNJ-38877605 against *MET*-amplified cells decreases in the presence of increasing concentrations of recombinant human hepatocyte growth factor (HGF). JNJ-38877605 (50 mg/kg) sensitizes tumors to radiotherapy in U251 glioma and MDA-MB-231 breast cancer mouse xenograft models and increases apoptosis in irradiated tumors in the MDA-MB-231 mouse xenograft model.³ It reduces tumor size by 6-fold and the number of blood vessels in tumors by 80% in an RU-P melanoma mouse xenograft model when administered at a dose of 20 mg/kg.⁴

References

1. Perera, T., Lavrijssen, T., Janssens, B., et al. JNJ-38877605: A selective Met kinase inhibitor inducing regression of Met-driven tumor models. *Cancer Res.* **68(9Supp.)**, (2008).
2. Pennacchietti, S., Cazzanti, M., Bertotti, A., et al. Microenvironment-derived HGF overcomes genetically determined sensitivity to anti-MET drugs. *Cancer Res.* **74(22)**, 6598-6609 (2014).
3. De Bacco, F., Luraghi, P., Medico, E., et al. Induction of MET by ionizing radiation and its role in radioresistance and invasive growth of cancer. *J. Natl. Cancer Inst.* **103(8)**, 645-661 (2011).
4. Etnyre, D., Stone, A.L., Fong, J.T., et al. Targeting c-Met in melanoma: Mechanism of resistance and efficacy of novel combinatorial inhibitor therapy. *Cancer Biol. Ther.* **15(9)**, 1129-1141 (2014).

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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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM