

产品名称: JNJ-38877605

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生物活性:

Description

JNJ-38877605 is an ATP-competitive inhibitor of c-Met with IC50 of 4 nM, 600-fold selective for c-Met than 200 other tyrosine and serine-threonine kinases. IC50 value: 4 nM [1] Target: c-Met in vitro: JNJ-38877605 shows more than 600-fold selectivity for c-Met compared with more than 200 other diverse tyrosine and serine-threonine kinases and also potently inhibits HGF-stimulated and constitutively activated c-Met phosphorylation in vitro. [1] In EBC1, GTL16, NCI-H1993, and MKN45 cells, JNJ-38877605 (500 nM) leads to a significant reduction of phosphorylation of Met and RON, another key player in invasive growth [2]. A recent study shows that JNJ-38877605 is involved in modulating secretion of IL-8, GROα, uPAR and IL-6 in GTL16 cells [3]. in vivo: In mice bearing established GTL16 xenografts, JNJ-38877605, dosed orally with 40 mg/kg/day for 72 hours, results in a statistically significant decrease in the plasma levels of human IL-8 (from 0.150 ng/mL to 0.050 ng/mL) and GROα (from 0.080 ng/mL to 0.030 ng/mL). While concentrations of uPAR in the blood become reduced to more than 50% at the same dose [3].

In Vitro:

DMSO : ≥ 30 mg/mL (79.50 mM)

* "≥" means soluble, but saturation unknown.

Preparing <
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	<p>3.请依序添加每种溶剂： 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.08 mg/mL (5.51 mM); Clear solution</p> <p>此方案可获得 ≥ 2.08 mg/mL (5.51 mM, 饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例，取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。</p>
References	<p>[1]. <u>Perera T, et al. JNJ-38877605: a selective Met kinase inhibitor inducing regression of Met-driven tumor models. Presented at the 99th AACR Annual Meeting; 2008 Apr 12-16</u></p> <p>[2]. <u>De Bacco F, et al. Induction of MET by ionizing radiation and its role in radioresistance and invasive growth of cancer. J Natl Cancer Inst. 2011 Apr, 103(8), 645-661.</u></p> <p>[3]. <u>Torti D, et al. A preclinical algorithm of soluble surrogate biomarkers that correlate with therapeutic inhibition of the MET oncogene in gastric tumors. Int J Cancer. 2012, 130(6), 1357-1366.</u></p>



源叶生物