

# PRODUCT INFORMATION



**MK-2461**

Item No. 32964

**CAS Registry No.:** 917879-39-1  
**Formal Name:** N-[(2R)-1,4-dioxan-2-ylmethyl]-N-methyl-N'-[3-(1-methyl-1H-pyrazol-4-yl)-5-oxo-5H-benzo[4,5]cyclohepta[1,2-b]pyridin-7-yl]-sulfamide

**MF:** C<sub>24</sub>H<sub>25</sub>N<sub>5</sub>O<sub>5</sub>S  
**FW:** 495.6

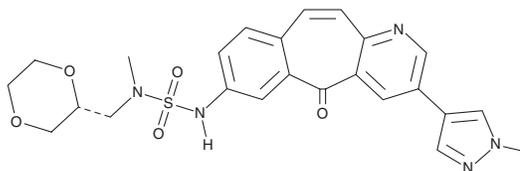
**Purity:** ≥98%

**UV/Vis.:** λ<sub>max</sub>: 262, 346 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

MK-2461 is supplied as a crystalline solid. A stock solution may be made by dissolving the MK-2461 in the solvent of choice, which should be purged with an inert gas. MK-2461 is soluble in the organic solvent DMSO at a concentration of approximately 30 mg/ml.

## Description

MK-2461 is an inhibitor of wild-type and mutant forms of c-MET (IC<sub>50</sub>s = 0.4-2 nM).<sup>1</sup> It is selective for c-MET over a panel of 13 additional kinases (IC<sub>50</sub>s = 22-7,800 nM) but does inhibit Ron and FLT1 (IC<sub>50</sub>s = 7 and 10 nM, respectively). MK-2461 also inhibits autophosphorylation of FGFR2 and PDGFRα in KATO III cells but not EGF-induced phosphorylation of EGFR in A549 lung cancer cells when used at a concentration of 2 μM.<sup>2</sup> It reduces proliferation in a panel of cancer cell lines, including cells expressing constitutively active c-MET, FGFR2, or PDGFR (IC<sub>50</sub>s = ≤ 1 μM for all).<sup>2</sup> MKT-2461 (10-200 mg/kg) reduces tumor growth in a GTL-16 gastric cancer mouse xenograft model.<sup>1</sup>

## References

1. Katz, J.D., Jewell, J.P., Guerin, D.J., *et al.* Discovery of a 5H-benzo[4,5]cyclohepta[1,2-b]pyridin-5-one (MK-2461) inhibitor of c-Met kinase for the treatment of cancer. *J. Med. Chem.* **54**(12), 4092-4108 (2011).
2. Pan, B.-S., Chan, G.K.Y., Chenard, M., *et al.* MK-2461, a novel multitargeted kinase inhibitor, preferentially inhibits the activated c-Met receptor. *Cancer. Res.* **70**(4), 1524-1533 (2010).

### 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.

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