PRODUCT INFORMATION



Tivantinib

Item No. 17135

CAS Registry No.: 905854-02-6

Formal Name: (3R,4R)-3-(5,6-dihydro-4H-pyrrolo[3,2,1-

ij]quinolin-1-yl)-4-(1H-indol-3-yl)-2,5-

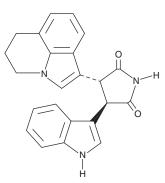
pyrrolidinedione

Synonym: **ARQ 197** MF: $C_{23}H_{19}N_3O_2$ FW: 369.4 **Purity:**

UV/Vis.: λ_{max} : 224, 281, 289 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

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



Laboratory Procedures

Tivantinib is supplied as a crystalline solid. A stock solution may be made by dissolving the tivantinib in the solvent of choice, which should be purged with an inert gas. Tivantinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of tivantinib in ethanol is approximately 5 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Tivantinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, tivantinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Tivantinib has a solubility of approximately 0.1 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Tivantinib is an inhibitor of c-Met ($K_i = 0.355 \mu M$).¹ It is selective for c-Met over a panel of 229 additional kinases at 10 µM. Tivantinib also inhibits tubulin polymerization in a cell-free assay in a concentrationdependent manner.² It is cytotoxic to c-Met-dependent and -independent cancer cell lines when used at concentrations ranging from 0.01 to 1 µM. Tivantinib (200 mg/kg) reduces tumor growth in HT-29, MKN45, and MDA-MB-231 mouse xenograft models.¹

References

- 1. Munshi, N., Jeay, S., Li, Y., et al. ARQ 197, a novel and selective inhibitor of the human c-Met receptor tyrosine kinase with antitumor activity. Mol. Cancer Ther. 9(6), 1544-1553 (2010).
- 2. Katayama, R., Aoyama, A., Yamori, T., et al. Cytotoxic activity of tivantinib (ARQ 197) is not due solely to c-MET inhibition. Cancer Res. 73(10), 3087-3096 (2013).

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

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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