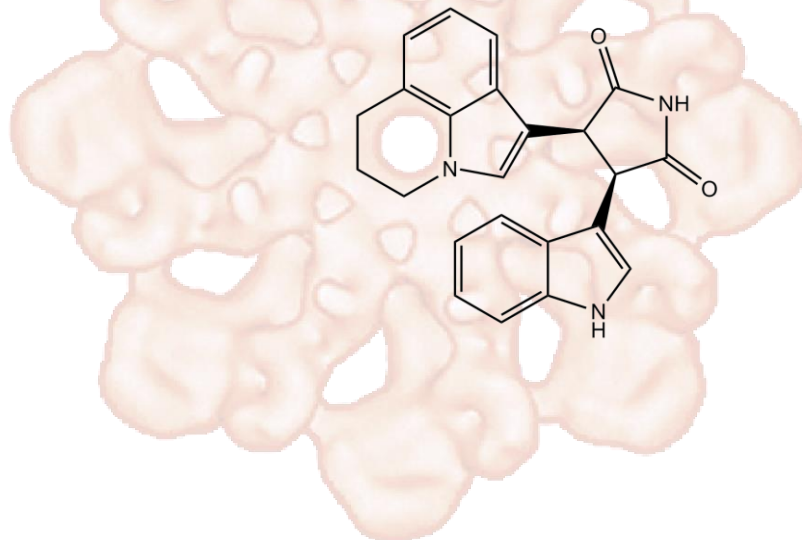


Tivantinib (ARQ 197)

Cat. No.:	A8325
CAS No.:	905854-02-6
Formula:	C ₂₃ H ₁₉ N ₃ O ₂
M.Wt:	369.42
Synonyms:	ARQ-197;ARQ197
Target:	Tyrosine Kinase
Pathway:	c-MET
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

 ≥ 18.471 mg/mL in DMSO

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1mg	5mg	10mg
	1 mM		2.7069 mL	13.5347 mL	27.0695 mL
	5 mM		0.5414 mL	2.7069 mL	5.4139 mL
	10 mM		0.2707 mL	1.3535 mL	2.7069 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

C-Met inhibitor, non-ATP-competitive

 IC₅₀ & Target

 0.355 μ M (Ki) (c-Met)

In Vitro

Cell Viability Assay

Cell Line:	EBC1, MKN45, SNU638, A549, H460 and HCC827 cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.
Reacting conditions:	0 ~ 4 nM; 72 hrs
Applications:	Compared with A549, H460 and HCC827 cells,

	Tyr1234/Tyr1235-phosphorylated and total c-MET were highly expressed in the EBC1, MKN45 and SNU638 cells. In addition, the EGFR-addicted HCC827 cell line showed high expression of c-MET as well, which, however, was driven by EGFR signaling and thus resistant to c-MET inhibitors.	
In Vivo	Animal experiment	
	Animal models:	Nude mice bearing 1833/TGL cell xenografts
	Dosage form:	30, 60 and 120 mg/kg, p.o.; q.d.
	Applications:	The appearance of cancer cells in the leg bones showed differences since 11 to 14 days after cell implant, and increased over time, both in control and Tivantinib-treated groups. Of note, the signal from the hindlimbs of Tivantinib-treated (30 mg/kg) mice was very similar to that of control mice as well. At the doses of 60 and 120 mg/kg, Tivantinib induced a dose-dependent delay and a reduction of bone metastatic growth.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Cheriyan VT, Alsaab H, et al. "A CARP-1 functional mimetic compound is synergistic with BRAF-targeting in non-small cell lung cancers." *Oncotarget*. 2018 Jul 3;9(51):29680-29697.PMID:30038713
2. Shi P, Oh YT, et al. "Met gene amplification and protein hyperactivation is a mechanism of resistance to both first and third generation EGFR inhibitors in lung cancer treatment." *Cancer Lett*. 2016 Jul 19;380(2):494-504.PMID:27450722

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References

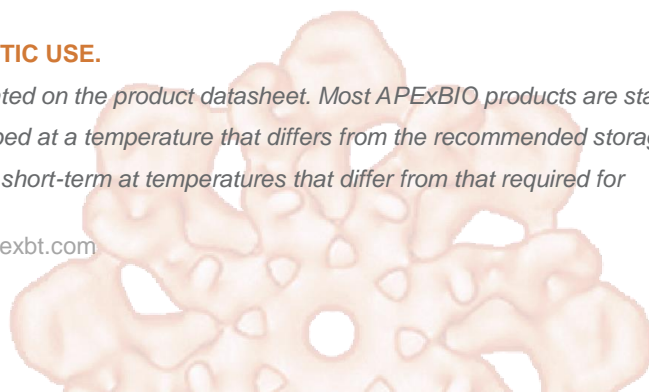
- [1]. Munshi N, Jeay S, Li Y, Chen CR, France DS, Ashwell MA, Hill J, Moussa MM, Leggett DS, Li CJ. ARQ 197, a novel and selective inhibitor of the human c-Met receptor tyrosine kinase with antitumor activity. *Mol Cancer Ther*. 2010 Jun;9(6):1544-53.
- [2]. Ryohei Katayama, Aki Aoyama, Takao Yamori, et al. Cytotoxic Activity of Tivantinib (ARQ 197) Is Not Due Solely to c-MET Inhibition. *Cancer Research*, 2013, 73(10): 3087-3097.
- [3]. Sara Previdi, Giovanni Abbadessa, Francesca Dalò, et al. Breast Cancer-Derived Bone Metastasis Can Be Effectively Reduced through Specific c-MET Inhibitor Tivantinib (ARQ 197) and shRNA c-MET Knockdown. *Mol Cancer Ther*, 2011, 11(1):214-23.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for



long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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