

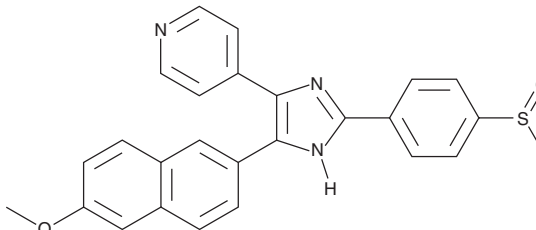
# PRODUCT INFORMATION



## Tie2 Kinase Inhibitor

Item No. 17858

**CAS Registry No.:** 948557-43-5  
**Formal Name:** 4-[4-(6-methoxy-2-naphthalenyl)-2-[4-(methylsulfinyl)phenyl]-1H-imidazol-5-yl]-pyridine  
**Synonym:** Tunica Interna Endothelial Cell Kinase 2 Inhibitor  
**MF:** C<sub>26</sub>H<sub>21</sub>N<sub>3</sub>O<sub>2</sub>S  
**FW:** 439.5  
**Purity:** ≥95%  
**Stability:** ≥2 years at -20°C  
**Supplied as:** A crystalline solid  
**UV/Vis.:** λ<sub>max</sub>: 234, 322 nm



### Laboratory Procedures

For long term storage, we suggest that Tie2 kinase inhibitor be stored as supplied at -20°C. It should be stable for at least two years.

Tie2 kinase inhibitor is supplied as a crystalline solid. A stock solution may be made by dissolving the Tie2 kinase inhibitor in the solvent of choice. Tie2 kinase inhibitor is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of Tie2 kinase inhibitor in these solvents is approximately 10 mg/ml.

Tie2 kinase inhibitor is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Tie2 kinase inhibitor should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Tie2 kinase inhibitor has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Tunica interna endothelial cell kinase 2 (Tie2, also known as angiopoietin-1 receptor or tek) is an endothelium-specific receptor tyrosine kinase important for the development of embryonic vasculature and for angiogenesis and vascular maintenance in adult tissues.<sup>1</sup> Tie2 kinase inhibitor reversibly and selectively blocks Tie2 kinase activity with an IC<sub>50</sub> value of 250 nM.<sup>2</sup> It is 200-fold more potent for inhibition of Tie2 compared to p38.<sup>2</sup> Tie2 kinase inhibitor has been shown to reduce angiogenesis in a Matrigel neovascularization assay and to delay tumor growth in MOPC-315 plasmacytoma and SVR angiosarcoma xenograft models.<sup>2,3</sup>

### References

1. Wong, A.L., Haroon, Z.A., Werner, S., *et al.* Tie2 expression and phosphorylation in angiogenic and quiescent adult tissues. *Circ. Res.* **81**, 567-574 (1997).
2. Semones, M., Feng, Y., Johnson, N., *et al.* Pyridinylimidazole inhibitors of Tie2 kinase. *Bioorg. Med. Chem. Lett.* **17**, 4756-4760 (2007).
3. Hasenstein, J.R., Kasmerchak, K., Buehler, D., *et al.* Efficacy of Tie2 receptor antagonism in angiosarcoma. *Neoplasia* **14**(2), 131-140 (2012).

#### 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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#### 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