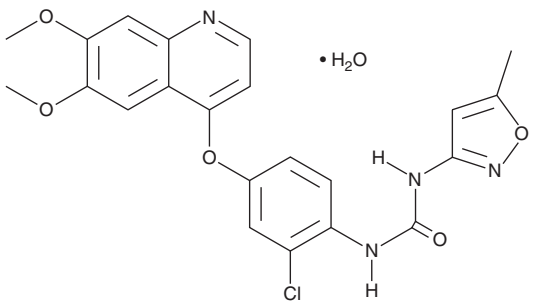
PRODUCT INFORMATION

Tivozanib (hydrate)

Item No. 18493

CAS Registry No.:	682745-40-0
Formal Name:	N-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-N'-(5-methyl-3-isoxazolyl)-urea, monohydrate
Synonyms:	AV-951, KRN 951
MF:	$C_{22}H_{19}ClN_4O_5 \bullet H_2O$
FW:	472.9
Purity:	≥95%
UV/Vis.:	λ_{max}: 243, 286, 322, 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

Tivozanib (hydrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the tivozanib (hydrate) in the solvent of choice, which should be purged with an inert gas. Tivozanib (hydrate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of tivozanib (hydrate) in these solvents is approximately 25 and 30 mg/ml, respectively.

Tivozanib (hydrate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, tivozanib (hydrate) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Tivozanib (hydrate)has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Tivozanib is an orally available, selective VEGFR inhibitor with IC_{50} values of 0.21, 0.16, and 0.24 nM for VEGFR1, VEGFR2, and VEGFR3, respectively.[1,2] It can also inhibit c-Kit and PDGFRβ with IC_{50} values of 1.63 and 1.72 nM, respectively.[2] When administered to athymic rats, tivozanib was shown to decrease the microvessel density within tumor xenografts and attenuate VEGFR2 phosphorylation levels in tumor endothelium.[2] It also displays antitumor activity against a wide variety of human tumor xenografts, including lung, breast, colon, ovarian, pancreas, and prostate cancer.[2]

References

1. Bhargava, P. and Robinson, M.O. Development of second-generation VEGFR tyrosine kinase inhibitors: Current status. *Curr. Oncol. Rep.* **13(2)**, 103-111 (2011).
2. Nakamura, K., Taguchi, E., Miura, T., *et al*. KRN951, a Highly Potent Inhibitor of Vascular Endothelial Growth Factor Receptor Tyrosine Kinases, Has Antitumor Activities and Affects Functional Vascular Properties. *Cancer Res.* **66(18)**, 9134-9142 (2006).

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