Product Specification Sheet

Product Name: AV-951 (Tivozanib)

Catalog Number: C2895

Technical information:

Chemical Formula: C₂₂H₁₉ClN₄O₅

CAS #: 475108-18-0

Molecular Weight: 454.86

Purity: > 98%

Appearance: Off White solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: 1-(2-chloro-4-(6,7-dimethoxyquinolin-4-yloxy)phenyl)-3-(5-methylisoxazol-3-yl)urea

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months

under proper storage condition.

Handling: • To make 10 mM stock solution, add 0.22mL of DMSO for each mg of AV-951 (Tivozanib)

• For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum

sample recovery.

Biological Activity: AV-951 is an potent and selective orally bioavailable isoxazole inhibitor of VEGFR1, 2, and 3,

kinases at 0.21, 0.16, and 0.24 nM, respectively. Additionally it has nanomolar activity for cKIT and PDGFR at 1.63 and 1.72 nM, respectively. [1] In vitro, AV-951 blocks MAP kinase activation and suppresses the proliferation and migration of endothelial cells. In vivo, it suppresses angiogenesis

and tumor progression in human xenografts. [2]

AV-951 has been widely studied in clinical trials for the treatment of advanced renal cell carcinoma (RCC) and non-small cell lung cancers (NSCLC). More recent studies are exploring combination

therapies with paclitaxel and bevacizumab for breast and colorectal cancer, respectively.

Reference: 1. Eskens et al., Biologic and clinical activity of tivozanib (AV-951, KRN-951), a selective inhibitor of VEGF

receptor-1, -2, and -3 tyrosine kinases, in a 4-week-on, 2-week-off schedule in patients with advanced solid

tumors. Clin Cancer Res 2011, 17, 7156-7163 Pubmed ID: 21976547

2. Campas et al., Tivozanib. Drugs Fut 2009, 34 (10), 793 Pubmed ID: ISSN: 0377-8282

To reorder: http://www.cellagentech.com/AV-951-Tivozanib/

For Technical Support: <u>technical@cellagentech.com</u>

Chemicals are sold for research use only, not for clinical or diagnostic use.