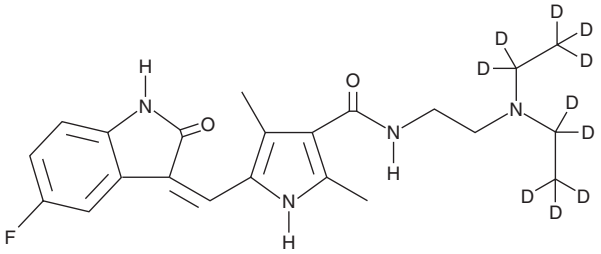
PRODUCT INFORMATION

Sunitinib-d_{10}

Item No. 22614

CAS Registry No.: 1126721-82-1
Formal Name: N-[2-[di(ethyl-1,1,2,2,2-d_5)amino]ethyl]-5-[(Z)-(5-fluoro-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrole-3-carboxamide
MF: $C_{22}H_{17}D_{10}FN_4O_2$
FW: 408.5
Chemical Purity: ≥98% (Sunitinib)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_{10}); ≤1% d_0
Supplied as: A 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

Sunitinib-d_{10} is intended for use as an internal standard for the quantification of sunitinib (Item No. 13159) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Sunitinib-d_{10} is supplied as a solid. A stock solution may be made by dissolving the sunitinib-d_{10} in the solvent of choice, which should be purged with an inert gas. Sunitinib-d_{10} is soluble in the organic solvent DMSO.

Description

Sunitinib is a small molecule inhibitor of receptor tyrosine kinases, including FLK1 (K_i = 9 nM), PDGFRβ (K_i = 8 nM), and FLT3.[1,2] It is at least 10-fold selective for FLK1 and PDGFRβ over a variety of tyrosine kinases in a panel, including EGFR, Cdk2, Met, IGFR-1, Abl, and Src.[2] Sunitinib inhibits VEGF-dependent FLK1 and PDGF-dependent PDGFRβ phosphorylation (IC_{50}s = 10 and 10 nM, respectively) as well as phosphorylation of FLT3 and FLT3 carrying the activating internal tandem duplication mutation (FLT3-ITD; IC_{50}s = 250 and 50 nM, respectively).[1,2] It decreases VEGF- and FGF-induced proliferation of human umbilical vein endothelial cells (HUVECs; IC_{50}s = 30 and 700 nM, respectively) and reduces tumor growth in a variety of mouse xenograft models when administered at doses ranging from 20 to 80 mg/kg per day.[2] Formulations containing sunitinib have been used in the treatment of gastrointestinal stromal tumors and metastatic renal cell carcinoma.

References

1. O'Farrell, A.M., Abrams, T.J., Yuen, H.A., *et al.* SU11248 is a novel FLT3 tyrosine kinase inhibitor with potent activity in vitro and *in vivo*. *Blood* **101(9)**, 3597-3605 (2003).
2. Mendel, D.B., Laird, A.D., Xin, X., *et al. In vivo* antitumor activity of SU11248, a novel tyrosine kinase inhibitor targeting vascular endothelial growth factor and platelet-derived growth factor receptors: Determination of a pharmacokinetic/pharmacodynamic relationship. *Clin. Cancer Res.* **9(1)**, 327-337 (2003).

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.

WARRANTY AND LIMITATION OF REMEDY
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