# PRODUCT INFORMATION

## Axitinib-$^{13}$C-d$_3$

*Item No. 26676*

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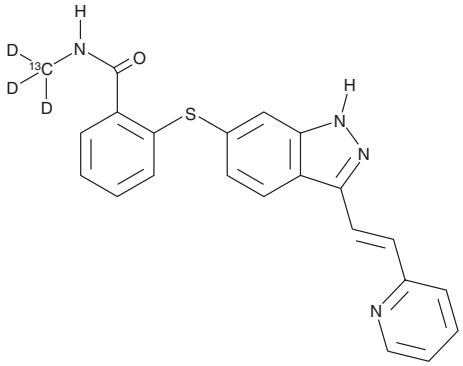
|---|---|
| **CAS Registry No.:** | 1261432-00-1 |
| **Formal Name:** | (E)-N-(methyl-$^{13}$C-d$_3$)-2-((3-(2-(pyridin-2-yl) vinyl)-1H-indazol-6-yl)thio)benzamide |
| **Synonym:** | AG-013736-$^{13}$C-d$_3$ |
| **MF:** | $C_{21}[^{13}C]H_{15}D_3N_4OS$ |
| **FW:** | 390.5 |
| **Chemical Purity:** | ≥95% (Axitinib) |
| **Deuterium Incorporation:** | ≥99% deuterated forms (d$_1$-d$_3$); ≤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

Axitinib-$^{13}$C-d$_3$ is intended for use as an internal standard for the quantification of axitinib (Item No. 13813) 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).

Axitinib-$^{13}$C-d$_3$ is supplied as a solid. A stock solution may be made by dissolving the axitinib-$^{13}$C-d$_3$ in the solvent of choice, which should be purged with an inert gas. Axitinib-$^{13}$C-d$_3$ is soluble in acetonitrile, methanol, and DMSO.

### Description

Axitinib (Item No. 13813) is a VEGFR inhibitor ($IC_{50}$s = 1.2, 0.25, and 0.29 nM for VEGFR1, -2, and -3, respectively).[1] It also inhibits c-Kit and PDGFRβ ($IC_{50}$s = 1.7 and 1.6 nM, respectively). It inhibits VEGF-induced migration of and tube formation by human umbilical vein endothelial cells (HUVECs).[2] Axitinib (1-100 mg/kg) reduces microvessel density, a marker of angiogenesis, and tumor growth in MV522 colon carcinoma, A375 melanoma, SN12C-GFP renal carcinoma, and U87 glioma mouse xenograft models in a dose-dependent manner. Formulations containing axitinib have been used in the treatment of renal cell carcinoma.

### References


1. Giles, F.J., Bellamy, W.T., Estrov, Z., *et al.* The anti-angiogenesis agent, AG-013736, has minimal activity in elderly patients with poor prognosis acute myeloid leukemia (AML) or myelodysplastic syndrome (MDS). *Leuk. Res.* **30(7)**, 801-811 (2006).
2. Hu-Lowe, D.D., Zou, H.Y., Grazzini, M.L., *et al.* Nonclinical antiangiogenesis and antitumor activities of axitinib (AG-013736), an oral, potent, and selective inhibitor of vascular endothelial growth factor receptor tyrosine kinases 1, 2, 3. *Clin. Cancer Res.* **14(22)**, 7272-7283 (2008).





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