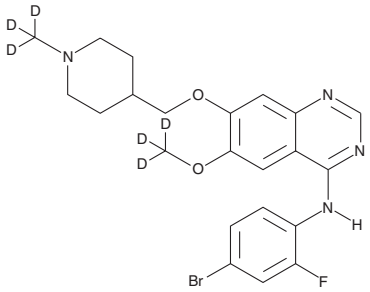
PRODUCT INFORMATION

Vandetanib-d_6

Item No. 28710

CAS Registry No.:	1174683-49-8
Formal Name:	N-(4-bromo-2-fluorophenyl)-6-(methoxy-d_3)-7-[[1-(methyl-d_3)-4-piperidinyl] methoxy]-4-quinazolinamine
MF:	$C_{22}H_{18}BrD_6FN_4O_2$
FW:	481.4
Chemical Purity:	≥98% (Vandetanib)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_6); ≤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

Vandetanib-d_6 is intended for use as an internal standard for the quantification of vandetanib (Item No. 14706) 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).

Vandetanib-d_6 is supplied as a solid. A stock solution may be made by dissolving the vandetanib-d_6 in the solvent of choice, which should be purged with an inert gas. Vandetanib-d_6 is soluble in organic solvents such as methanol, DMSO, and THF.

Description

Vandetanib is a multi-kinase inhibitor that inhibits VEGFR2, VEGFR3, VEGFR1, EGFR, PDGFRβ, Tie-2, and FGFR1 in cell-free assays (IC_{50}s = 40, 110, 1,600, 500, 1,100, 2,500, and 3,600 nM, respectively).[1,2] It also binds to 142 additional kinases in a panel of 442 kinases (K_ds = 4.6-7,900 nM). Vandetanib (1 and 2.5 µM) induces apoptosis and cell cycle arrest at the G_0/G_1 phase in GEO colon and OVCAR-3 ovarian cancer cells.[3] It inhibits proliferation of HAK1-B, KYN-2, and Huh7 hepatocarcinoma cells, as well as human umbilical vein endothelial cells (HUVECs), with IC_{50} values of 10, 8.1, 9.4, and 7.1 µM, respectively.[4] Vandetanib (200 mg/kg) increases survival and decreases tumor angiogenesis and VEGFR2 levels in a D54MG glioblastoma mouse xenograft model.[5] It reduces tumor growth in a variety of mouse xenograft models, including lung, colon, and breast cancer models, when administered at doses of 25, 50, and 100 mg/kg per day.[1] Formulations containing vandetanib have been used in the treatment of medullary thyroid cancer.

References

1. Wedge, S.R., Ogilvie, D.J., Dukes, M., *et al. Cancer Res.* **62(16)**, 4645-4655 (2002).
2. Davis, M.I., Hunt, J.P., Herrgard, S., *et al. Nat. Biotechnol.* **29(11)**, 1046-1051 (2011).
3. Ciardiello, F., Caputo, R., Damiano, V., *et al. Clin. Cancer Res.* **9(4)**, 1546-1556 (2003).
4. Inoue, K., Torimura, T., Nakamura, T., *et al. Clin. Cancer Res.* **18(14)**, 3924-3933 (2012).
5. Rich, J.N., Sathornsumetee, S., Keir, S.T., *et al. Clin. Cancer Res.* **11(22)**, 8145-8157 (2005).

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