PRODUCT INFORMATION



Vandetanib-d₄

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]	DNN
	methoxy]-4-quinazolinamine	
MF:	C ₂₂ H ₁₈ BrD ₆ FN ₄ O ₂	
FW:	481.4	
Chemical Purity:	≥98% (Vandetanib)	
Deuterium		
Incorporation:	\geq 99% deuterated forms (d ₁ -d ₆); \leq 1% d ₀	Н
Supplied as:	A solid	
Storage:	-20°C	Br
Stability:	≥4 years	

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Vandetanib-d₆ 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, 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 (IC₅₀s = 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_d s = 4.6-7,900 nM). Vandetanib (1 and 2.5 μ M) 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 IC₅₀ 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).

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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