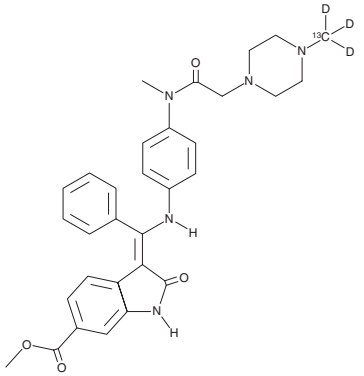
PRODUCT INFORMATION

BIBF 1120-^{13}C-d$_3$

Item No. 25312

Formal Name:	methyl (Z)-3-(((4-(N-methyl-2-(4-(methyl-^{13}C-d$_3$)piperazin-1-yl)acetamido)phenyl)amino)(phenyl)methylene)-2-oxoindoline-6-carboxylate
Synonym:	Nintedanib-^{13}C-d$_3$
MF:	$C_{30}[^{13}C]H_{30}D_3N_5O_4$
FW:	543.7
Chemical Purity:	≥98% (BIBF 1120)
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

BIBF 1120-^{13}C-d$_3$ is intended for use as an internal standard for the quantification of BIBF 1120 (Item Nos. 11022 | 31082) 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).

BIBF 1120-^{13}C-d$_3$ is supplied as a solid. A stock solution may be made by dissolving the BIBF 1120-^{13}C-d$_3$ in the solvent of choice, which should be purged with an inert gas. BIBF 1120-^{13}C-d$_3$ is soluble in the organic solvent DMSO at a concentration of approximately 25 mg/ml.

Description

BIBF 1120-^{13}C-d$_3$ is intended for use as an internal standard for the quantification of BIBF 1120 (Item Nos. 11022 | 31082) by GC- or LC-MS. BIBF 1120 is an inhibitor of the receptor tyrosine kinases VEGFR, FGFR, and PDGFR (IC_{50}s = 13-34, 37-610, 59, and 65 nM for VEGFR1-3, FGFR1-4, PDGFRα, and PDGFRβ, respectively).[1] It is selective for VEGFR, FGFR, and PDGFR over a panel of 33 kinases but does inhibit FLT3, LCK, LYN, and Src (IC_{50}s = 16-156 nM). BIBF 1120 inhibits growth factor-dependent proliferation of human umbilical vascular endothelial cells (HUVECs), human microvascular skin endothelial cells (HSMECs), human umbilical artery smooth muscle cells (HUASMCs), and bovine retinal pericytes (BRPs; EC_{50}s = 7-290 nM). *In vivo*, BIBF 1120 (100 mg/kg) reduces tumor microvessel density and the number of PDGFRβ-expressing perivascular cells in a FaDu head and neck small cell carcinoma mouse xenograft model. It also inhibits tumor growth in a Caki-1 renal cancer mouse xenograft model. Formulations containing BIBF 1120 have been used in the treatment of idiopathic pulmonary fibrosis and non-small cell lung cancer (NSCLC).

Reference

1. Hilberg, F., Roth, G.J., Krssak, M., *et al.* BIBF 1120: Triple angiokinase inhibitor with sustained receptor blockade and good antitumor efficacy. *Cancer Res.* **68(12)**, 4774-4782 (2008).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM