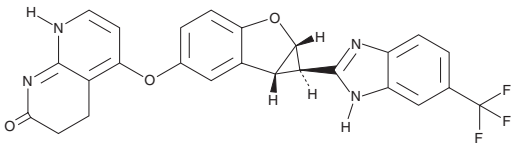
PRODUCT INFORMATION

BGB-283

Item No. 35457

CAS Registry No.:	1446090-79-4
Formal Name:	5-[[(1R,1aS,6bR)-1a,6b-dihydro-1-[6-(trifluoromethyl)-1H-benzimidazol-2-yl]-1H-cyclopropa[b]benzofuran-5-yl]oxy]-3,4-dihydro-1,8-naphthyridin-2(1H)-one
Synonym:	Lifirafenib
MF:	$C_{25}H_{17}F_3N_4O_3$
FW:	478.4
Purity:	≥98%
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

BGB-283 is supplied as a solid. A stock solution may be made by dissolving the BGB-283 in the solvent of choice, which should be purged with an inert gas. BGB-283 is slightly soluble (0.1-1 mg/ml) in DMSO.

Description

BGB-283 is an inhibitor of RAF family kinases and EGFR.[1] It inhibits wild-type A-RAF, B-RAF, and EGFR (IC_{50}s = 5.6, 32, and 29 nM, respectively), the mutants B-RAF^{V600E} and C-$RAF^{Y340/341D}$ (IC_{50}s = 23 and 7 nM, respectively), and, to a lesser extent, $EGFR^{T790M/L858R}$ (IC_{50} = 495 nM). BGB-283 is selective for these kinases over a panel of 236 kinases at 10 µM but does inhibit 40 additional kinases by >80% at 10 µM. It also selectively decreases the viability of B-RAF^{V600E}-expressing cancer cell lines over those expressing wild-type B-RAF or RAS. BGB-283 induces tumor regression in multiple mouse xenograft models.

Reference

1. Tang, Z., Yuan, X., Du, R., *et al.* BGB-283, a novel RAF kinase and EGFR inhibitor, displays potent antitumor activity in BRAF-mutated colorectal cancers. *Mol. Cancer Ther.* **14(10)**, 2187-2197 (2015).

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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