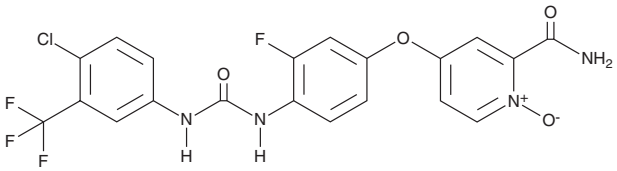
PRODUCT INFORMATION

N-desmethyl Regorafenib N-oxide

Item No. 35102

CAS Registry No.: 835621-12-0
Formal Name: 4-[4-[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]-3-fluorophenoxy]-2-pyridinecarboxamide 1-oxide
MF: $C_{20}H_{13}ClF_4N_4O_4$
FW: 484.8
Purity: ≥90%
UV/Vis.: λ_{max}: 264 nm
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

N-desmethyl Regorafenib N-oxide is supplied as a solid. A stock solution may be made by dissolving the N-desmethyl Regorafenib N-oxide in the solvent of choice, which should be purged with an inert gas. N-desmethyl Regorafenib N-oxide is soluble in DMSO.

Description

N-desmethyl Regorafenib N-oxide is an active metabolite of the multi-kinase inhibitor regorafenib (Item No. 18498).[2] It is formed from regorafenib by the cytochrome P450 (CYP) isoform CYP3A4. N-desmethyl Regorafenib N-oxide inhibits VEGFR2, Tie2, c-Kit, and B-RAF *in vitro*, as well as inhibits tumor growth in HT-29 and MDA-MB-231 mouse xenograft models when administered at a dose of 1 mg/kg.[1]

References

1. Zopf, D., Heinig, R., Thierauch, K.-H., *et al.* Abstract 1666: Regorafenib (BAY 73-4506): Preclinical pharmacology and clinical identification and quantification of its major metabolites. *Cancer. Res.* **70(8 Suppl.)**, (2010).
2. Li, Y.-H., Lin, Q.-M., Pang, N.-H., *et al.* Functional characterization of 27 CYP3A4 protein variants to metabolize regorafenib in vitro. *Basic Clin. Pharmacol. Toxicol.* **125(4)**, 337-344 (2019).

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