PRODUCT INFORMATION



N-desmethyl Regorafenib N-oxide

Item No. 35102

CAS Registry No.: Formal Name:	835621-12-0 4-[4-[[[[4-chloro-3-(trifluoromethyl)phenyl] amino]carbonyl]amino]-3-fluorophenoxy]-2- pyridinecarboxamide 1-oxide		NH ₂
MF:	$C_{20}H_{13}CIF_4N_4O_4$		
FW:	484.8		
Purity:	≥90%	F I I	
UV/Vis.:	λ _{max} : 264 nm	F H H	
Supplied as:	A solid		
Storage:	-20°C		
Stability:	≥4 years		
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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).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY 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.

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