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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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PRODUCT INFORMATION

SGC0946 (trifluoroacetate salt)

Item No. 42012

Formal Name: 5-bromo-7-[5-deoxy-5-[[3-[[[[4-(1,1-dimethylethyl)phenyl]amino]carbonyl]amino]propyl](1-methylethyl)amino]-β-D-ribofuranosyl]-7H-pyrrolo[2,3-d]pyrimidin-4-amine, trifluoroacetate salt

MF: $C_{28}H_{40}BrN_7O_4 \bullet XCF_3COOH$

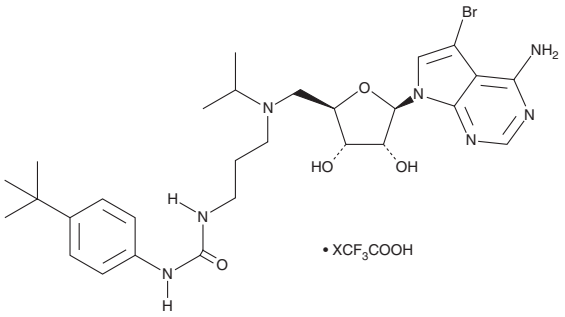
FW: 618.6

Purity: ≥98%

Supplied as: A solid

Storage: -20°C

Stability: ≥ years



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

Laboratory Procedures

SGC0946 (trifluoroacetate salt) is supplied as a solid. A stock solution may be made by dissolving the SGC0946 (trifluoroacetate salt) in the solvent of choice, which should be purged with an inert gas. SGC0946 (trifluoroacetate salt) is sparingly soluble (1-10 mg/ml) in ethanol and slightly soluble (0.1-1 mg/ml) in DMSO.

Description

SGC0946 is an inhibitor of the non-SET domain-containing methyltransferase DOT1L (IC_{50} = 0.3 nM).[1] It is selective for DOT1L over a panel of 12 additional protein methyltransferases, DNA methyltransferase 1 (DNMT1), and a panel of 29 receptors and ion channels. SGC0946 reduces the levels of dimethylated lysine 79 on histone 3 (H3K79me2) in MCF-10A breast cancer cells (IC_{50} = 8.8 nM). It selectively reduces the viability of isolated human cord blood cells transformed with an *MLL-AF9* fusion oncogene over those transformed with a *TLS-ERG* fusion oncogene when used at concentrations of 1 and 5 µM. Adoptive transfer of isolated human $CD3^+$ T cells pre-incubated with SGC0946 (0.5 µM) prevents weight loss, increases survival, and reduces hepatic and colonic lymphocyte infiltration in a xenogeneic mouse model of graft versus host disease (GVHD).[2]

References

1. Yu, W., Chory, E.J., Wernimont, A.K., *et al.* Catalytic site remodelling of the DOT1L methyltransferase by selective inhibitors. *Nat. Commun.* **3**, 1288 (2012).
2. Kagoya, Y., Nakatsugawa, M., Saso, K., *et al.* DOT1L inhibition attenuates graft-versus-host disease by allogeneic T cells in adoptive immunotherapy models. *Nat. Commun.* **9(1)**, 1915 (2018).

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