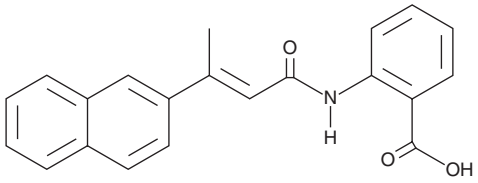
PRODUCT INFORMATION

BIBR 1532

Item No. 16608

CAS Registry No.:	321674-73-1
Formal Name:	2-[[(2E)-3-(2-naphthalenyl)-1-oxo-2-buten-1-yl]amino]-benzoic acid
Synonym:	Telomerase Inhibitor X
MF:	$C_{21}H_{17}NO_3$
FW:	331.4
Purity:	≥95%
UV/Vis.:	λ_{max}: 214, 243, 268, 303, 320 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

BIBR 1532 is supplied as a crystalline solid. A stock solution may be made by dissolving the BIBR 1532 in the solvent of choice, which should be purged with an inert gas. BIBR 1532 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of BIBR 1532 in ethanol is approximately 1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

BIBR 1532 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BIBR 1532 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BIBR 1532 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BIBR 1532 is a mixed-type non-competitive inhibitor of telomerase (IC_{50} = 93 nM) that has little effect on several mammalian DNA and RNA polymerases, bacterial DNA helicase, or HIV-1 reverse transcriptase.[1-3] It specifically targets the telomerase reverse transcriptase catalytic subunit, TERT.[2,4] Through its effects on telomerase, BIBR 1532 induces senescence or apoptosis in cancer cells.[1,5] Apoptosis in triple negative breast cancer cells induced by BIBR 1532 is potentiated by glucose restriction.[5]

References

1. Damm, K., Hemmann, U., Garin-Chesa, P., *et al.* A highly selective telomerase inhibitor limiting human cancer cell proliferation. *EMBO J.* **20(24)**, 6958-6968 (2014).
2. Pascolo, E., Wenz, C., Lingner, J., *et al.* Mechanism of human telomerase inhibition by BIBR1532, a synthetic, non-nucleosidic drug candidate. *J. Biol. Chem.* **277(18)**, 15566-15572 (2002).
3. Piotrowska, K., Kleideiter, E., Mürdter, T.E., *et al.* Optimization of the TRAP assay to evaluate specificity of telomerase inhibitors. *Lab. Invest.* **85(12)**, 1565-1569 (2005).
4. Phatak, P. and Burger, A.M. Telomerase and its potential for therapeutic intervention. *Br. J. Pharmacol.* **152(7)**, 1003-1011 (2007).
5. Wardi, L., Alaaeddine, N., Raad, I., *et al.* Glucose restriction decreases telomerase activity and enhances its inhibitor response on breast cancer cells: Possible extra-telomerase role of BIBR 1532. *Cancer Cell Int.* **14**, 1-14 (2014).

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