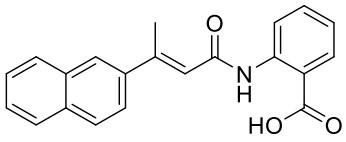
Product data sheet

MedKoo Cat#: 406519 Name: BIBR1532 CAS#: 321674-73-1 Chemical Formula: $C_{21}H_{17}NO_3$ Exact Mass: 331.12084 Molecular Weight: 331.36	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
BIBR1532 is a selective telomerase inhibitor. BIBR1132 is highly selective for inhibition of telomerase, resulting in delayed growth arrest of tumor cells. Treatment of cancer cells with BIBR1532 leads to progressive telomere shortening, consecutive telomere dysfunction, and finally growth arrest after a lag period that is largely dependent on initial telomere length.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	57.29	172.89
DMSO:PBS (pH 7.2) (1:1)	0.5	1.51
DMF	30.0	90.54
Ethanol	4.09	12.34

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.02 mL	15.09 mL	30.18 mL
5 mM	0.60 mL	3.02 mL	6.04 mL
10 mM	0.30 mL	1.51 mL	3.02 mL
50 mM	0.06 mL	0.30 mL	0.60 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Altamura G, Degli Uberti B, Galiero G, De Luca G, Power K, Licenziato L, Maiolino P, Borzacchiello G. The Small Molecule BIBR1532 Exerts Potential Anti-cancer Activities in Preclinical Models of Feline Oral Squamous Cell Carcinoma Through Inhibition of Telomerase Activity and Down-Regulation of TERT. Front Vet Sci. 2021 Jan 20;7:620776. doi: 10.3389/fvets.2020.620776. PMID: 33553285; PMCID: PMC7855307.
2. Bikkul MU, Faragher RGA, Worthington G, Meinke P, Kerr ARW, Sammy A, Riyahi K, Horton D, Schirmer EC, Hubank M, Kill IR, Anderson RM, Slijepcevic P, Makarov E, Bridger JM. Telomere elongation through hTERT immortalization leads to chromosome repositioning in control cells and genomic instability in Hutchinson-Gilford progeria syndrome fibroblasts, expressing a novel SUN1 isoform. Genes Chromosomes Cancer. 2019 Jun;58(6):341-356. doi: 10.1002/gcc.22711. Epub 2019 Jan 7. PMID: 30474255; PMCID: PMC6590296.

In vivo study

Product data sheet

1. Giunco S, Zangrossi M, Dal Pozzolo F, Celeghin A, Ballin G, Petrara MR, Amin A, Argenton F, Godinho Ferreira M, De Rossi A. Anti-Proliferative and Pro-Apoptotic Effects of Short-Term Inhibition of Telomerase In Vivo and in Human Malignant B Cells Xenografted in Zebrafish. Cancers (Basel). 2020 Jul 25;12(8):2052. doi: 10.3390/cancers12082052. PMID: 32722398; PMCID: PMC7463531.
2. Tahtouh R, Azzi AS, Alaaeddine N, Chamat S, Bouharoun-Tayoun H, Wardi L, Raad I, Sarkis R, Antoun NA, Hilal G. Telomerase inhibition decreases alpha-fetoprotein expression and secretion by hepatocellular carcinoma cell lines: in vitro and in vivo study. PLoS One. 2015 Mar 30;10(3):e0119512. doi: 10.1371/journal.pone.0119512. PMID: 25822740; PMCID: PMC4379025.

7. Bioactivity

Biological target:

BIBR 1532 is a non-competitive telomerase inhibitor with IC50 of 100 nM in a cell-free assay.

In vitro activity

In order to define the effects of BIBR1532 on TA in FOSCC, SCCF1, SCCF2, and SCCF3 were treated at 25, 50, and 100 μM for 48 h and subjected to TRAP assay. The results showed readily detectable TA (telomerase activity) at control conditions in SCCF1, SCCF2, and SCCF3 (Figure 1A), and importantly, gel scans and quantitative analysis demonstrated reduction of TA with a dose-dependent trend in BIBR1532-treated cells in all of the three cell lines (Figures 1A,B). However, SCCF3 appeared to be sensitive already at the lower dose (25 μM), whereas SCCF1 and SCCF2 showed significant telomerase inhibition from 50 μM onward (Figures 1A,B).

Reference: Front Vet Sci. 2020; 7: 620776. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7855307/

In vivo activity

In order to find out whether the anti-proliferative and pro-apoptotic effects of short-term TERT inhibition observed in in vitro models were maintained in in vivo context, this study evaluated proliferation and viability of malignant human B cells xenografted in zebrafish. At 2 hpx the percentage of 4134/Late fluorescent tumor cells was similar between the embryos xenografted with DMSO- or BIBR-pretreated cells (1.49 ± 0.11% vs. 1.53 ± 0.07%, respectively; $p = 0.623$), confirming that an equal number of cells had been injected in the two groups. At 24 hpx the percentage of tumor cells remained stable in embryos injected with DMSO-pretreated cells, while significantly decreased in those injected with BIBR-pretreated cells (1.37 ± 0.001% vs. 1.03 ± 0.01%; $p = 0.001$). At 48 hpx the percentage of tumor cells in embryos xenografted with 4134/Late pretreated with DMSO was significantly higher than those in embryos xenografted with BIBR-pretreated cells (2.18 ± 0.8% vs. 0.60 ± 0.37%; $p = 0.011$). Similarly, at 72 hpx DMSO-pretreated cells proliferated up to 3.51%, whereas the percentage of cells pretreated with BIBR was significantly lower (0.52%; $p = 0.004$) (Figure 4a).

Reference: Cancers (Basel). 2020 Aug; 12(8): 2052. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7463531/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.