PRODUCT INFORMATION



BLZ-945

Purity:

Item No. 19626

CAS Registry No.: 953769-46-5 Formal Name: 4-[[2-[[(1R,2R)-2-

> hydroxycyclohexyl]amino]-6benzothiazolyl]oxy]-N-methyl-2-

pyridinecarboxamide

MF: $C_{20}H_{22}N_4O_3S$ FW: 398.5

UV/Vis.: λ_{max} : 229, 273 nm A crystalline solid Supplied as:

≥98%

-20°C Storage: Stability: ≥4 years

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

Laboratory Procedures

BLZ-945 is supplied as a crystalline solid. A stock solution may be made by dissolving the BLZ-945 in the solvent of choice. BLZ-945 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of BLZ-945 in these solvents is approximately 1, 15, and 25 mg/ml, respectively.

BLZ-945 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BLZ-945 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BLZ-945 has a solubility of approximately 0.11 mg/ml in a 1:8 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BLZ-945 is a selective inhibitor of the colony stimulating factor 1 receptor (CSF1R) with an IC_{50} value of 1 nM.¹ It is more than 1,000-fold selective against its closest receptor tyrosine kinase homologs. ¹ It has been shown to inhibit CSF1-dependent proliferation with an EC_{50} value of 67 nM in bone marrow-derived macrophages.¹ In glioma-bearing mice, CSF1R inhibition via BLZ-945 can block tumor progression and significantly improve survival. At 200 mg/kg, BLZ-945 decreased the growth of malignant cells in a mouse mammary tumor virus-driven polyomavirus middle T antigen model of mammary carcinogenesis and in a keratin 14-expressing human papillomavirus type 16 transgenic model of cervical carcinogenesis.²

References

- 1. Pyonteck, S.M., Akkari, L., Schuhmacher, A.J., et al. CSF-1R inhibition alters macrophage polarization and blocks glioma progression. Nat Med. 19(10), 1264-1272 (2013).
- 2. Strachan, D.C., Ruffell, B., Oei, Y., et al. CSF1R inhibition delays cervical and mammary tumor growth in murine models by attenuating the turnover of tumor-associated macrophages and enhancing infiltration by CD8+ T cells. Oncoimmunology 2(12), (2013).

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

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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