PRODUCT INFORMATION



BI-3406

Item No. 35261

CAS Registry No.: 2230836-55-0

Formal Name: N-[(1R)-1-[3-amino-5-(trifluoromethyl)

phenyllethyll-7-methoxy-2-methyl-6-[[(3S)-tetrahydro-3-furanyl]oxy]-4-

quinazolinamine

MF: $C_{23}H_{25}F_3N_4O_3$

462.5 FW: **Purity:** ≥98% UV/Vis.: λ_{max} : 246 nm Supplied as: A solid -20°C Storage: Stability: ≥4 years

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

Laboratory Procedures

BI-3406 is supplied as a solid. A stock solution may be made by dissolving the BI-3406 in the solvent of choice, which should be purged with an inert gas. BI-3406 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of BI-3406 in these solvents is 10, 2, and 3 mg/ml, respectively.

Description

BI-3406 is an inhibitor of the protein-protein interaction between K-Ras and the guanine nucleotide exchange factor son of sevenless homolog 1 (SOS1; $IC_{50} = 5 \text{ nM}$).¹ It selectively disrupts the protein-protein interaction between K-Ras^{G12C} or K-Ras^{G12D} with SOS1 over SOS2, and it is selective over 321 kinases in a panel at 10 μM but does inhibit PLK4, BMPR2, and LRRK2 activity by greater than 50%. BI-3406 inhibits the growth of DLD-1, A549, NCI H23, and NCI H358 cells expressing mutant K-Ras (IC_{50} S = 16-52 nM) but not NCI H520 and A375 cells expressing wild-type K-Ras. BI-3406 (12 or 50 mg/kg, twice per day) reduces tumor growth in an MIA PaCa-2 mouse xenograft model.

Reference

1. Hofmann, M.H., Gmachl, M., Ramharter, J., et al. BI-3406, a potent and selective SOS1-KRAS interaction inhibitor, is effective in KRAS-driven cancers through combined MEK inhibition. Cancer Discov. 11(1), 142-157 (2021).

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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