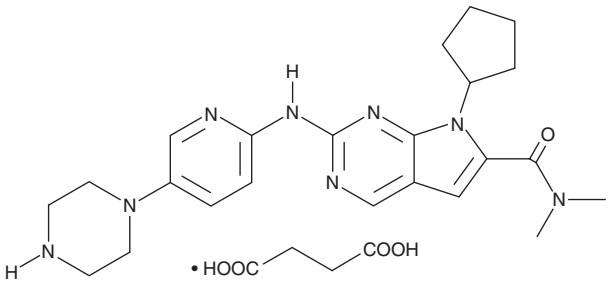
PRODUCT INFORMATION

LEE011 (succinate)

Item No. 36414

CAS Registry No.: 1374639-75-4
Formal Name: butanedioic acid, compd. with 7-cyclopentyl-N,N-dimethyl-2-[[5-(1-piperazinyl)-2-pyridinyl]amino]-7H-pyrrolo[2,3-d]pyrimidine-6-carboxamide
Synonyms: NVP-LEE011, Ribociclib
MF: $C_{23}H_{30}N_8O \bullet C_4H_6O_4$
FW: 552.6
Purity: ≥98%
UV/Vis.: λ_{max}: 276, 345 nm
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

LEE011 (succinate) is supplied as a solid. A stock solution may be made by dissolving the LEE011 (succinate) in the solvent of choice, which should be purged with an inert gas. LEE011 (succinate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of LEE011 (succinate) in these solvents is approximately 5 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of LEE011 (succinate) can be prepared by directly dissolving the solid in aqueous buffers. The solubility of LEE011 (succinate) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

LEE011 is a cyclin-dependent kinase 4 (Cdk4) and Cdk6 inhibitor (IC_{50}s = 0.53 and 2.3 nM, respectively).[1] It is selective for Cdk4/6 over Cdk1, Cdk2, Cdk5, Cdk7, and Cdk9 (IC_{50}s = >1,400, >2,500, >2,000, >2,000, and 190 nM, respectively). LEE011 reduces the proliferation of MCF-7 and T47D breast cancer cells (IC_{50}s = 200 and 260 nM, respectively). It induces cell death in C666-1 and NPC/HK1 nasopharyngeal carcinoma cells (IC_{50}s = 12.25 and 5.07 µM, respectively) and cell cycle arrest at the G_0/G_1 phase in the same cells when used at a concentration of 10 µM.[2] LEE011 (200 mg/kg every two weeks), in combination with the PI3Kα inhibitor alpelisib (BYL719; Item No. 16986), reduces tumor growth in two patient-derived xenograft (PDX) mouse models of nasopharyngeal carcinoma.

References

1. Chen, P., Lee, N.V., Hu, W., *et al.* Spectrum and degree of CDK drug interactions predicts clinical performance. *Mol. Cancer Ther.* **15(10)**, 2273-2281 (2016).
2. Wong, C.-H., Ma, B.B.Y., Hui, C.W.C., *et al.* Preclinical evaluation of ribociclib and its synergistic effect in combination with alpelisib in non-keratinizing nasopharyngeal carcinoma. *Sci. Rep.* **8(1)**, 8010 (2018).

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