

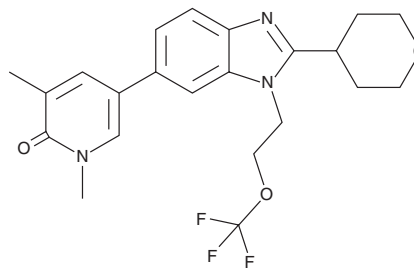
PRODUCT INFORMATION



NEO2734

Item No. 41030

CAS Registry No.: 2081072-29-7
Formal Name: 1,3-dimethyl-5-[2-(tetrahydro-2H-pyran-4-yl)-1-[2-(trifluoromethoxy)ethyl]-1H-benzimidazol-6-yl]-2(1H)-pyridinone
MF: C₂₂H₂₄F₃N₃O₃
FW: 435.4
Purity: ≥98%
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

NEO2734 is supplied as a solid. A stock solution may be made by dissolving the NEO2734 in the solvent of choice, which should be purged with an inert gas. NEO2734 is sparingly soluble (1-10 mg/ml) in ethanol and DMSO.

Description

NEO2734 is a dual inhibitor of the bromodomain and extra terminal domain family of proteins (BET) and p300/CREB-binding protein (CBP).¹ It binds to bromodomain-containing protein 2 (BRD2), BRD3, and BRD4 (K_d s = 3.2, 2.3, and 6.5 nM, respectively), bromodomain testis-specific protein 1 (BRDT1) and BRDT2 (K_d s = 3.1 and 25 nM, respectively), and p300 and CBP (K_d s = 25 and 23 nM, respectively). NEO2734 inhibits the growth of DU145 prostate cancer cells (IC_{50} = 1.08 μ M) and DU145 cells containing the E3 ubiquitin ligase adapter protein speckle-type POZ protein (SPOP) with a glutamine-to-proline mutation at position 165 (SPOP^{Q165P}; IC_{50} = 0.69 μ M).² It also reduces tumor growth, as well as intratumoral levels of prostate-specific antigen (PSA), in prostate cancer patient-derived xenograft (PDX) mouse models expressing either wild-type SPOP or SPOP^{Q165P} when administered at a dose of 30 mg/kg.

References

- Giles, F.J. Treatment of bet inhibitor-resistant cancers and other diseases responsive to dual bet and CBP/EP300 inhibition therapy. *Neomed Institute*. **WO2020/093162A1** (2020).
- Yan, Y., Ma, J., Wang, D., *et al.* The novel BET-CBP/p300 dual inhibitor NEO2734 is active in SPOP mutant and wild-type prostate cancer. *EMBO Mol. Med.* **7(11)**, e10659 (2019).

WARNING

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

SAFETY DATA

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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