

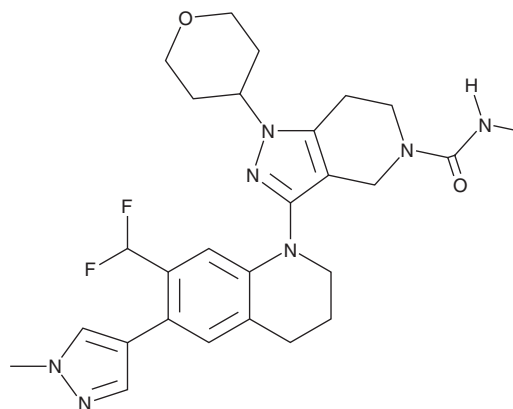
PRODUCT INFORMATION



GNE-781

Item No. 36450

CAS Registry No.: 1936422-33-1
Formal Name: 3-[7-(difluoromethyl)-3,4-dihydro-6-(1-methyl-1H-pyrazol-4-yl)-1(2H)-quinolinyl]-1,4,6,7-tetrahydro-N-methyl-1-(tetrahydro-2H-pyran-4-yl)-5H-pyrazolo[4,3-c]pyridine-5-carboxamide
MF: C₂₇H₃₃F₂N₇O₂
FW: 525.6
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

GNE-781 is supplied as a solid. A stock solution may be made by dissolving the GNE-781 in the solvent of choice, which should be purged with an inert gas. GNE-781 is soluble in acetonitrile and DMSO.

Description

GNE-781 is an inhibitor of CREB-binding protein (CBP) and histone acetyltransferase p300 (IC₅₀s = 0.94 and 1.2 nM, respectively).¹ It is selective for CBP and p300 over bromodomain-containing protein 4 (BRD4) bromodomain 1, BRD4 bromodomain 2, and bromodomain and PHD finger-containing 1 (BRPF1; IC₅₀s = 5.1, 12, and 4.6 μM, respectively), as well as nine other bromodomain-containing proteins (IC₅₀s = >18 μM for all). GNE-781 inhibits the expression of Myc in MV4-11 leukemia cells (EC₅₀ = 6.6 nM). It reduces the differentiation of isolated human naïve CD4⁺ T cells into FOXP3⁺ inducible regulatory T cells (Tregs) in a concentration-dependent manner without affecting cell viability. Oral administration of GNE-781 (3-30 mg/kg) decreases tumor volume in a MOLM-16 acute myeloid leukemia (AML) mouse xenograft model.

Reference

1. Romero, F.A., Murray, J., Lai, K.W., *et al.* GNE-781, a highly advanced potent and selective bromodomain inhibitor of cyclic adenosine monophosphate response element binding protein, binding protein (CBP). *J. Med. Chem.* **60**(22), 9162-9183 (2017).

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.

WARRANTY AND LIMITATION OF REMEDY

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