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Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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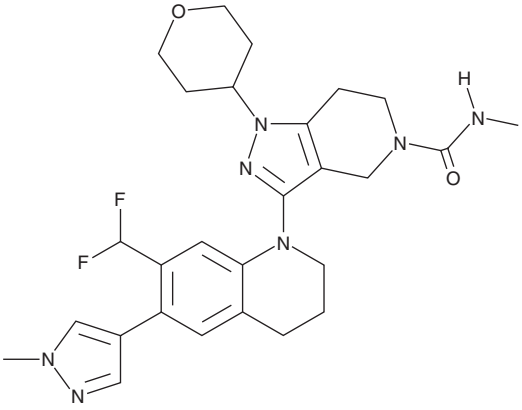
PRODUCT INFORMATION

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:	$C_{27}H_{33}F_2N_7O_2$
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 (IC_{50}s = 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; IC_{50}s = 5.1, 12, and 4.6 µM, respectively), as well as nine other bromodomain-containing proteins (IC_{50}s = >18 µM for all). GNE-781 inhibits the expression of *Myc* in MV4-11 leukemia cells (EC_{50} = 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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