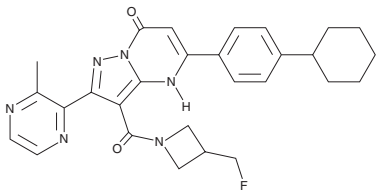
PRODUCT INFORMATION

GNE-7883

Item No. 39332

CAS Registry No.:	2648450-42-2
Formal Name:	5-(4-cyclohexylphenyl)-3-[[3-(fluoromethyl)-1-azetidinyl] carbonyl]-2-(3-methyl-2-pyrazinyl)-pyrazolo[1,5-a] pyrimidin-7(4H)-one
MF:	$C_{28}H_{29}FN_6O_2$
FW:	500.6
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-7883 is supplied as a solid. A stock solution may be made by dissolving the GNE-7883 in the solvent of choice, which should be purged with an inert gas. GNE-7883 is sparingly soluble (1-10 mg/ml) in acetonitrile:water (1:1).

Description

GNE-7883 is an allosteric pan-TEA domain transcription factor (pan-TEAD) inhibitor.[1] It binds to the lipid-binding pockets of TEAD1-4 (IC_{50}s = 0.01-0.05 µM) and inhibits the protein-protein interactions between TEAD1-4 and YAP (IC_{50}s = 0.01-0.09 µM) and between TEAD1-4 and TAZ (IC_{50}s = 0.01-0.1 µM). GNE-7883 inhibits the proliferation of *YAP*-amplified OVCAR-8 ovarian cancer and *NF2*-null HCC1576 adrenocortical carcinoma cells (IC_{50}s = 0.17 and 0.29 µM, respectively). *In vivo*, GNE-7883 (250 mg/kg) reduces tumor volume in NCI H226 lung cancer and MSTO-211H mesothelioma mouse xenograft models. It also resensitizes sotorasib-resistant NCI H358 non-small cell lung cancer (NSCLC) cells to the K-Ras^{G12C} inhibitor sotorasib (AMG 510; Item No. 29465) in a mouse xenograft model.

Reference

1. Hagenbeek, T.J., Zbieg, J.R., Hafner, M., *et al.* An allosteric pan-TEAD inhibitor blocks oncogenic YAP/TAZ signaling and overcomes KRAS G12C inhibitor resistance. *Nat. Cancer* **4(6)**, 812-828 (2023).

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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CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM