

PRODUCT INFORMATION



GSK778

Item No. 41280

CAS Registry No.: 2451862-42-1
Formal Name: 7-(3,5-dimethyl-4-isoxazolyl)-
2-(methoxymethyl)-1-[(1R)-

1-phenylethyl]-8-[(3S)-3-
pyrrolidinylmethoxy]-1H-
imidazo[4,5-c]quinoline
Synonyms: iBET-BD1,
iBET-Bromodomain 1

MF: C₃₀H₃₃N₅O₃

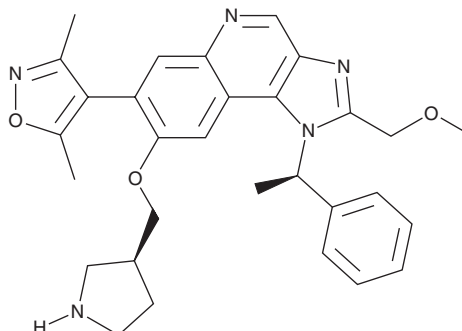
FW: 511.6

Purity: ≥95%

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

GSK778 is supplied as a solid. A stock solution may be made by dissolving the GSK778 in the solvent of choice, which should be purged with an inert gas. GSK778 is soluble (≥10 mg/ml) in ethanol and DMSO.

Description

GSK778 is a bromodomain 1 (BD1) inhibitor.¹ It selectively inhibits BD1 in bromodomain-containing protein 2 (BRD2), BRD3, BRD4, and bromodomain testis-specific protein (BRDT; IC₅₀s = 79.43, 39.81, 39.81, and 158.49 nM, respectively, in time-resolved FRET assays) over BD2 in BRD2, BRD3, BRD4, and BRDT (IC₅₀s = 3,162, 1,000, 6,309, and >10,000 nM, respectively, in time-resolved FRET assays). GSK778 inhibits LPS-induced increases in IL-6 and chemokine (C-C motif) ligand 2 (CCL2) secretion from primary human peripheral blood mononuclear cells (PBMCs; IC₅₀s = 63.12 and 50.12 nM, respectively). It inhibits the proliferation of MV4-11 acute myeloid leukemia cells (IC₅₀ = 200 nM).

Reference

1. Wellaway, C.R., Bamborough, P., Bernard, S.G., *et al.* Structure-based design of a bromodomain and extraterminal domain (BET) inhibitor selective for the N-terminal bromodomains that retains an anti-inflammatory and antiproliferative phenotype. *J. Med. Chem.* **63**(17), 9020-9044 (2020).

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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