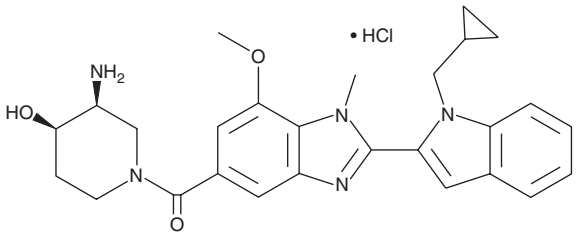
PRODUCT INFORMATION

GSK484 (hydrochloride)

Item No. 17488

CAS Registry No.: 1652591-81-5
Formal Name: [(3S,4R)-3-amino-4-hydroxy-1-piperidinyl][2-[1-(cyclopropylmethyl)-1H-indol-2-yl]-7-methoxy-1-methyl-1H-benzimidazol-5-yl]-methanone, monohydrochloride
MF: $C_{27}H_{31}N_5O_3 \bullet HCl$
FW: 510.0
Purity: ≥95%
UV/Vis.: λ_{max}: 227, 242, 304 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GSK484 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK484 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. GSK484 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GSK484 (hydrochloride) in ethanol and DMSO is approximately 25 mg/ml and approximately 30 mg/ml in DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of GSK484 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of GSK484 (hydrochloride) in PBS, pH 7.2, is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

GSK484 is a reversible inhibitor of PAD4 (IC_{50} = 50 nM) that binds to the low-calcium form of the enzyme.[1] It is selective for PAD4 over PAD1-3. GSK484 blocks the citrullination of PAD4 target proteins in human neutrophils and inhibits the formation of neutrophil extracellular traps in both mouse and human neutrophils.[1] It exhibits favorable pharmacokinetic profiles in mouse and rat. See the Structural Genomics Consortium (SGC) website for more information.

Reference

1. Lewis, H.D., Liddle, J., Coote, J.E., *et al.* Inhibition of PAD4 activity is sufficient to disrupt mouse and human NET formation. *Nat. Chem. Biol.* **11(3)**, 189-191 (2015).

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