

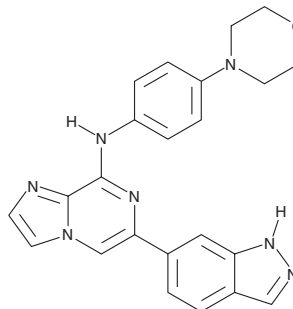
# PRODUCT INFORMATION



## GS-9973

Item No. 17653

**CAS Registry No.:** 1229208-44-9  
**Formal Name:** 6-(1H-indazol-6-yl)-N-[4-(4-morpholinyl)phenyl]-imidazo[1,2-a]pyrazin-8-amine  
**MF:** C<sub>23</sub>H<sub>21</sub>N<sub>7</sub>O  
**FW:** 411.5  
**Purity:** ≥95%  
**UV/Vis.:** λ<sub>max</sub>: 244, 328 nm  
**Supplied as:** A crystalline 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

GS-9973 is supplied as a crystalline solid. A stock solution may be made by dissolving the GS-9973 in the solvent of choice, which should be purged with an inert gas. GS-9973 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of GS-9973 in these solvents is approximately 15 and 10 mg/ml, respectively.

GS-9973 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GS-9973 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GS-9973 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Spleen tyrosine kinase (Syk) is a non-receptor tyrosine kinase that upon phosphorylation binds to immunoreceptor tyrosine-based activation motifs of FcRγ chains and mediates downstream signaling related to platelet function and inflammation.<sup>1</sup> GS-9973 is a potent Syk inhibitor (IC<sub>50</sub> = 7.7 nM) that demonstrates 10-35-fold selectivity for Syk over a panel of 359 nonmutant kinases.<sup>2</sup> In conjunction with the PI3Kδ inhibitor CAL-101 (Item No. 15279), it has been used to reduce chronic lymphocytic leukemia cell survival and to disrupt chemokine signaling at nanomolar concentrations.<sup>3</sup>

### References

1. Singh, R., Masuda, E.S., and Payan, D.G. Discovery and development of spleen tyrosine kinase (SYK) inhibitors. *J. Med. Chem.* **55**(8), 3614-3643 (2012).
2. Currie, K.S., Kropf, J.E., Lee, T., et al. Discovery of GS-9973, a selective and orally efficacious inhibitor of spleen tyrosine kinase. *J. Med. Chem.* **57**(9), 3856-3873 (2014).
3. Burke, R.T., Meadows, S., Loriaux, M.M., et al. A potential therapeutic strategy for chronic lymphocytic leukemia by combining Idelalisib and GS-9973, a novel spleen tyrosine kinase (Syk) inhibitor. *Oncotarget* **5**(4), 908-915 (2014).

#### 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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#### 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