

# PRODUCT INFORMATION

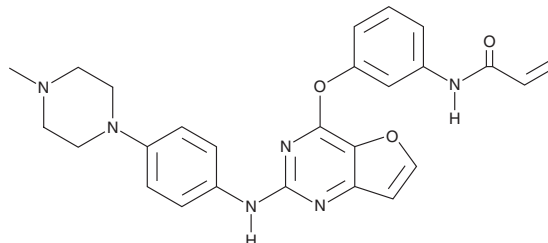


## Poseltinib

Item No. 37324

CAS Registry No.: 1353552-97-2  
Formal Name: N-[3-[[2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]furo[3,2-d]pyrimidin-4-yl]oxy]phenyl]-2-propenamide  
Synonyms: HM71224, LY3337641

MF:  $C_{26}H_{26}N_6O_3$   
FW: 470.5  
Purity:  $\geq 98\%$   
Supplied as: A solid  
Storage:  $-20^{\circ}\text{C}$   
Stability:  $\geq 4$  years



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

### Laboratory Procedures

Poseltinib is supplied as a solid. A stock solution may be made by dissolving the poseltinib in the solvent of choice, which should be purged with an inert gas. Poseltinib is soluble ( $\geq 10$  mg/ml) in DMSO.

### Description

Poseltinib is an irreversible inhibitor of Bruton's tyrosine kinase (BTK;  $IC_{50} = 1.95$  nM).<sup>1</sup> It is selective for BTK over a panel of 85 additional kinases at 2  $\mu\text{M}$  but does inhibit the other Tec family kinases bone marrow tyrosine kinase on chromosome X (BMX), Tec, and TXK ( $IC_{50}$ s = 0.64, 4.57, and 4.62 nM, respectively), as well as EGFR, Blk, and JAK3 ( $IC_{50}$ s = 4.96, 13.5, and 14.6 nM, respectively). Poseltinib decreases the levels of CD40, CD69, or CD86 on primary human B cells activated with anti-IgM F(ab')<sub>2</sub> ( $IC_{50}$ s = 4.2, 4.2, and 7.7 nM, respectively). It reduces the differentiation of isolated human CD14<sup>+</sup> monocytes into osteoclasts when used at a concentration of 1  $\mu\text{M}$ . Poseltinib (10 and 30 mg/kg) decreases disease severity and bone erosion in a mouse model of collagen-induced arthritis.

### Reference

1. Park, J.K., Byun, J.-Y., Park, J.A., et al. HM71224, a novel Bruton's tyrosine kinase inhibitor, suppresses B cell and monocyte activation and ameliorates arthritis in a mouse model: A potential drug for rheumatoid arthritis. *Arthritis Res. Ther.* **18:91**, 1-9 (2016).

#### 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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