

# PRODUCT INFORMATION

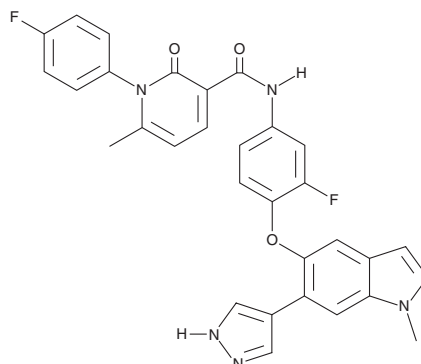


**LY2801653**

Item No. 25978

**CAS Registry No.:** 1206799-15-6  
**Formal Name:** N-[3-fluoro-4-[[1-methyl-6-(1H-pyrazol-4-yl)-1H-indazol-5-yl]oxy]phenyl]-1-(4-fluorophenyl)-1,2-dihydro-6-methyl-2-oxo-3-pyridinecarboxamide

**Synonym:** Merestinib  
**MF:** C<sub>30</sub>H<sub>22</sub>F<sub>2</sub>N<sub>6</sub>O<sub>3</sub>  
**FW:** 552.5  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 237, 337 nm  
**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

LY2801653 is supplied as a solid. A stock solution may be made by dissolving the LY2801653 in the solvent of choice. LY2801653 is soluble in the organic solvent DMSO, which should be purged with an inert gas.

## Description

LY2801653 is an orally bioavailable MET kinase inhibitor ( $K_i = 2$  nM).<sup>1</sup> It also inhibits MST1R, Axl, MNK1/2, FLT3, DDR1, and DDR2 ( $IC_{50}$ s = 11, 2, 7, 7, 0.1, and 7 nM, respectively, in a cell-based assay). It inhibits MET autophosphorylation stimulated by hepatocyte growth factor (HGF) in H460 and S114 cells ( $IC_{50}$ s = 35.2 and 59.2 nM, respectively) and inhibits growth of Ba/F3 cells transfected with MET-activating mutations ( $IC_{50}$ s = 12-248 nM). LY2801653 (0.01-10 μM) completely blocks cell scattering induced by HGF in DU145 cells. It reduces tumor growth in MET autocrine (U-87MG) and MET over-expression (H441) mouse xenograft models when administered at doses of 4 and 12 mg/kg.

## Reference

1. Yan, S.B., Peek, V.L., Ajamie, R., *et al.* LY2801653 is an orally bioavailable multi-kinase inhibitor with potent activity against MET, MST1R, and other oncoproteins, and displays anti-tumor activities in mouse xenograft models. *Invest New Drugs* **31**(4), 833-844 (2013).

### 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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