

Idelalisib PRODUCT DATA SHEET

issue date 01/06/2020

Product Name: Idelalisib

Product Number: 1044

CAS Number: 870281-82-6 Molecular Formula: $C_{22}H_{18}FN_7O$

Molecular Weight: 415.42 g/mol

Form: Powder

Appearance: Pale yellow to white solid powder

Solubility: DMSO (≥59.7 mg/ml)

Source: Synthetic

Storage Conditions: -20C

Description: Idelalisib is the free base version of the compound. It is a small molecule

inhibitor of phosphoinositide 3-kinase. It also inhibits other class I P13K enzymes. It also inhibits several signaling pathways, including B-cell receptor

signaling.

Mechanism of Action: Idelalisib blocks the delta isoform of the enzyme phosphoinositide 3-kinase

signaling, $P110\delta$. The result is decreased phosphorylation of Akt and other effectors. By blocking ongcogenic signaling, the compound has utility for B-cell

malignancies.

Cancer Applications Cytotoxicity p110 δ -positive multiple myeloma cells was induced by Idelalisib,

but was non toxic to healthy PBMCs. The compound blocked in vitro capillary-like tube formation (angiogenesis). A hallmark of autophagy is LC3-II, and Idelalisib was also found to induce LC3-II (Ikeda et al, 2010). In cell-based assays with B-cell tumor lines and primary cells, Idelalisib had 240- to 2500-fold selectivity for P110 δ over the other class I P13K isoforms. In SU-DHL-5, WSU-NHL, and CCRF-SB tumor cell lines, exposure to Idelalisib induced apoptosis, reflected in a 3- to 5-fold increase in annexin V staining. By

blocking oncogenic signaling, the compound has utility for B-cell malignancies

(Lannutti et al, 2011).

References: Ikeda H et al (2010) PI3K/p110 δ is a novel therapeutic target in multiple

myeloma. Blood 116: 1460-1468 PMID 20505158 Lannutti BJ et al (2011) CAL-101, a p110delta selective phosphatidylinositol-3-kinase inhibitor for the treatment of B-cell malignancies, inhibits PI3K signaling and cellular viability.

Blood 117: 591-594