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| <b>Product Name</b>      | : Olmutinib                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          |
| <b>Synonyms</b>          | : BI1482694, HM61713                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |
| <b>Cat No.</b>           | : M21951                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |
| <b>CAS Number</b>        | : 1802181-20-9                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |
| <b>Molecular Formula</b> | : C <sub>26</sub> H <sub>26</sub> N <sub>6</sub> O <sub>2</sub> S                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |
| <b>Formula Weight</b>    | : 486.6                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |
| <b>Chemical Name</b>     | : —                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |
| <b>Description</b>       | <p>Olmutinib is a Novel Epidermal Growth Factor Receptor Tyrosine Kinase Inhibitor. Olmutinib at 3 μM significantly reversed drug resistance mediated by ABCG2, but not by ABCB1 and ABCC1, by antagonizing the drug efflux function in ABCG2-overexpressing cells. In addition, olmutinib at reversal concentration affected neither the protein expression level nor the localization of ABCG2. The results observed from the accumulation/efflux study of olmutinib showed that olmutinib reversed ABCG2-mediated MDR with an increasing intracellular drug accumulation due to inhibited drug efflux. We also had consistent results with the ATPase assay that olmutinib stimulated ATPase activity of ABCG2 up to 3.5-fold. Additionally, the molecular interaction between olmutinib and ABCG2 was identified by docking simulation. Olmutinib not only interacts directly with ABCG2 but also works as a competitive inhibitor of the transport protein.</p> |
| <b>Pathway</b>           | : Angiogenesis                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |
| <b>Target</b>            | : EGFR                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |
| <b>Receptor</b>          | : EGFR                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |
| <b>Solubility</b>        | : —                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |
| <b>SMILES</b>            | : <chem>CN1CCN(CC1)c1ccc(cc1)Nc1nc2c(c(n1)Oc1cccc(c1)NC(=O)C=C)sc2</chem>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |
| <b>Storage</b>           | : (-20°C)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |
| <b>Stability</b>         | : ≥ 2 years                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          |
| <b>Reference</b>         | :                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |

1. Zhang W, Fan YF, Cai CY, et al. Olmutinib (BI1482694/HM61713), a Novel Epidermal Growth Factor Receptor Tyrosine Kinase Inhibitor, Reverses ABCG2-Mediated Multidrug Resistance in Cancer Cells[J]. *Frontiers in Pharmacology*, 2018, 9.2. Zhang Z, Fu L, Guo X, et al. Olmutinib (HM61713) reversed multidrug resistance by inhibiting the activity of ATP-binding cassette subfamily G member 2 in vitro and in vivo[J]. *2018,008(004):563-574*.