# Product data sheet

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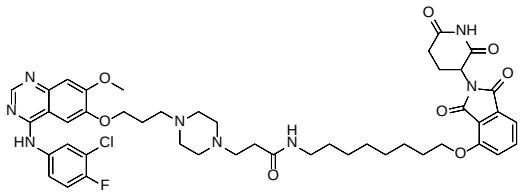
|---|---|
| MedKoo Cat#: 533717<br>Name: MS154<br>CAS: 2550393-21-8<br>Chemical Formula: $C_{46}H_{54}ClFN_8O_8$<br>Exact Mass: 900.3737<br>Molecular Weight: 901.4344 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**
MS 154 is a potent and selective cereblon-recruiting Degrader (PROTAC®) of mutant epidermal growth factor receptor (EGFR), comprising a cereblon-binding moiety joined by a linker to gefitinib. MS 154 decreases EGFR protein levels, inhibits downstream signaling in and inhibits proliferation of mutant EGFR-bearing lung cancer cells (DC50 values are 11 and 25 nM in HCC-827 and H3255 cells, respectively; Dmax > 95% at 50 nM), but not in WT-EGFR-bearing ovarian and lung cancer cells lines. It is bioavailable in mice following ip administration.

**2. CoA, QC data, SDS, and handling instruction**
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

**3. Solubility data**

| Solvent | Max Conc. mg/mL | Max Conc. mM |
|---|---|---|
| DMSO | 90.14 | 100.0 |

**4. Stock solution preparation table:**

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.11 mL | 5.55 mL | 11.09 mL |
| 5 mM | 0.22 mL | 1.11 mL | 2.22 mL |
| 10 mM | 0.11 mL | 0.55 mL | 1.11 mL |
| 50 mM | 0.02 mL | 0.11 mL | 0.22 mL |

**5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator**
Please refer the product web page under section of "Calculator"

**6. Recommended literature which reported protocols for in vitro and in vivo study**
In vitro study

Cheng M, Yu X, Lu K, Xie L, Wang L, Meng F, Han X, Chen X, Liu J, Xiong Y, Jin J. Discovery of Potent and Selective Epidermal Growth Factor Receptor (EGFR) Bifunctional Small-Molecule Degraders. J Med Chem. 2020 Feb 13;63(3):1216-1232. doi: 10.1021/acs.jmedchem.9b01566. Epub 2020 Jan 14. PMID: 31895569; PMCID: PMC7318554.

In vivo study

TBD

**7. Bioactivity**
Biological target:

MS 154 is a potent and selective cereblon-recruiting Degrader (PROTAC®) of mutant epidermal growth factor receptor (EGFR).

In vitro activity

This study first examined the EGFR protein degradation induced by compounds 6 and 10 (MS154) in a wide range of concentrations. As illustrated in Figure 6, compounds 6 and 10 effectively reduced the mutant EGFR protein level in a concentration-dependent

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manner in both HCC-827 and H3255 cells. The CRBN-recruiting degrader 10 also inhibited the growth of H3255 cell, albeit it was not as potent as compound 6 and PROTAC3.

Reference: J Med Chem. 2020 Feb 13;63(3):1216-1232. https://pubmed.ncbi.nlm.nih.gov/31895569/

In vivo activity

TBD

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*